

Docket No.: 5000-0103PUS1  
(PATENT)

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

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In re Patent Application of:  
Wolfgang VON DEYN et al.

Application No.: 09/091,300

Confirmation No.: 4798

Filed: June 16, 1998

Art Unit: 1626

For: 3-HETEROCYCLYL-SUBSTITUTED  
BENZOYL DERIVATIVES

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Examiner: R. Gerstl

**LETTER SUBMITTING ENGLISH TRANSLATION OF PRIORITY DOCUMENT**

Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

Sir:

Attached is a verified English Translation of German Priority Application No. 197 01 446.1. This application was filed in Germany on January 17, 1997. It is requested that this English Translation being made of record in connection with the above-identified application.

Dated: August 15, 2008

Respectfully submitted,

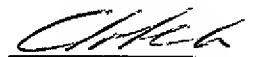
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UNITED STATES PATENT AND TRADEMARK OFFICE

I, Charles Edward SITCH BA,

Deputy Managing Director of RWS Group Ltd UK Translation Division, of Europa House,  
Marsham Way, Gerrards Cross, Buckinghamshire, England declare;

1. That I am a citizen of the United Kingdom of Great Britain and Northern Ireland.
2. That the translator responsible for the attached translation is well acquainted with the German and English languages.
3. That the attached is, to the best of RWS Group Ltd knowledge and belief, a true translation into the English language of the accompanying copy of the specification filed with the application for a patent in Germany on 17 January 1997 under the number 197 01 446.1 and the official certificate attached hereto.
4. That I believe that all statements made herein of my own knowledge are true and that all statements made on information and belief are true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the patent application in the United States of America or any patent issuing thereon.



For and on behalf of RWS Group Ltd

The 29th day of June 2005

FEDERAL REPUBLIC OF GERMANY  
CERTIFICATE

BASF Aktiengesellschaft

of

Ludwigshafen/Germany

have filed a Patent Application under the title:

"3-Heterocycll-substituted benzoyl derivatives"

on 17 January 1997 at the German Patent Office.

The attached document is a correct and accurate reproduction of the original submission for this Patent Application.

The German Patent Office has for the time being given the Application the symbols C 07 D and A 01 N of the International Patent Classification.

Munich, 10 November 1997

President of the German Patent Office

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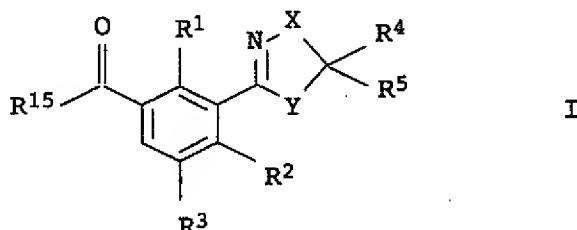
Grüner

File No: 197 01 446.1

We claim

- 5 1. A 3-heterocyclyl-substituted benzoyl derivative of the  
formula I

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where the variables have the following meanings:

20  $R^1, R^2$  are hydrogen, nitro, halogen, cyano,  $C_1-C_6$ -alkyl,  
 $C_1-C_6$ -haloalkyl,  $C_1-C_6$ -alkoxy,  $C_1-C_6$ -haloalkoxy,  
 $C_1-C_6$ -alkylthio,  $C_1-C_6$ -haloalkylthio,  
 $C_1-C_6$ -alkylsulfinyl,  $C_1-C_6$ -haloalkylsulfinyl,  
 $C_1-C_6$ -alkylsulfonyl or  $C_1-C_6$ -haloalkylsulfonyl;

25

$R^3$  is hydrogen, halogen or  $C_1-C_6$ -alkyl;

30  $R^4, R^5$  are hydrogen, halogen, cyano, nitro,  $C_1-C_4$ -alkyl,  
 $C_1-C_4$ -alkoxy- $C_1-C_4$ -alkyl, di( $C_1-C_4$ -alkoxy)- $C_1-C_4$ -alkyl,  
di( $C_1-C_4$ -alkyl)-amino- $C_1-C_4$ -alkyl,  
[2,2-di( $C_1-C_4$ -alkyl)-1-hydrazino]- $C_1-C_4$ -alkyl,  
 $C_1-C_6$ -alkyliminooxy- $C_1-C_4$ -alkyl,  $C_1-C_4$ -alkoxycarbonyl-  
 $C_1-C_4$ -alkyl,  $C_1-C_4$ -alkylthio- $C_1-C_4$ -alkyl,  
 $C_1-C_4$ -haloalkyl,  $C_1-C_4$ -cyanoalkyl,  $C_3-C_8$ -cycloalkyl,  
 $C_1-C_4$ -alkoxy,  $C_1-C_4$ -alkoxy- $C_2-C_4$ -alkoxy,  
 $C_1-C_4$ -haloalkoxy,  $C_1-C_4$ -alkylthio,  
 $C_1-C_4$ -haloalkylthio, di( $C_1-C_4$ -alkyl)amino, COR<sup>6</sup>,  
phenyl or benzyl, it being possible for the two  
last-mentioned substituents to be fully or partially  
halogenated and/or to have attached to them one to  
three of the following groups:  
nitro, cyano,  $C_1-C_4$ -alkyl,  $C_1-C_4$ -haloalkyl,  
 $C_1-C_4$ -alkoxy or  $C_1-C_4$ -haloalkoxy;

40

45 or

2

5           R<sup>4</sup> and R<sup>5</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain which can be mono- to tetrasubstituted by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl;

or

10          R<sup>4</sup> and R<sup>5</sup> together with the corresponding carbon form a carbonyl or thiocarbonyl group;

15          R<sup>6</sup> is C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, C<sub>3</sub>-C<sub>6</sub>-alkynyloxy or NR<sup>7</sup>R<sup>8</sup>;

R<sup>7</sup>       is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;

20          R<sup>8</sup>       is C<sub>1</sub>-C<sub>4</sub>-alkyl;

X           is O, S, NR<sup>9</sup>, CO or CR<sup>10</sup>R<sup>11</sup>;

25          Y           is O, S, NR<sup>12</sup>, CO or CR<sup>13</sup>R<sup>14</sup>;

30          R<sup>9</sup>, R<sup>12</sup>   are hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;

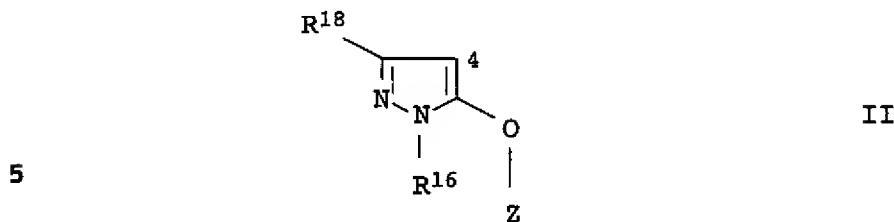
35          R<sup>10</sup>, R<sup>11</sup>, R<sup>13</sup>, R<sup>14</sup> are hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>4</sub>-haloalkoxycarbonyl or CONR<sup>7</sup>R<sup>8</sup>;

or

40          R<sup>4</sup> and R<sup>9</sup> or R<sup>4</sup> and R<sup>10</sup> or R<sup>5</sup> and R<sup>12</sup> or R<sup>5</sup> and R<sup>13</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain which can be mono- to tetrasubstituted by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl;

45          R<sup>15</sup>      is a pyrazole of the formula II which is linked in the 4-position

3



where

- 10           R<sup>16</sup>       is C<sub>1</sub>-C<sub>6</sub>-alkyl;
- Z        is H or SO<sub>2</sub>R<sup>17</sup>;
- 15           R<sup>17</sup>       is C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, phenyl or phenyl which is partially or fully halogenated and/or has attached to it one to three of the following groups: nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy or C<sub>1</sub>-C<sub>4</sub>-haloalkoxy;
- 20           R<sup>18</sup>       is hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl;

25           where X and Y are not simultaneously oxygen or sulfur;

with the exception of  
 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-ethyl-5-hydroxy-1H-pyrazole,  
 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,  
 4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,  
 4-[2-chloro-3-(4,5-dihydrothiazol-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole and  
 4-[2-chloro-3-(thiazoline-4,5-dion-2-yl)-4-methylsulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole;

- 40           or an agriculturally useful salt thereof.
2.   A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in claim 1, where R<sup>3</sup> is hydrogen.
- 45   3.   A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in any of claims 1 or 2, where

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R<sup>1</sup>, R<sup>2</sup> are nitro, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-haloalkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl or C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfonyl.

- 5
- 10 4. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in any of claims 1 to 3, where Z is SO<sub>2</sub>R<sup>17</sup>.

- 15 5. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in any of claims 1 to 3, where Z is hydrogen.
- 15 6. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in any of claims 1 to 3 or 5, where X is oxygen and Y is CR<sup>13</sup>R<sup>14</sup>.
- 20 7. A 3-heterocyclyl-substituted benzoyl derivative of the formula I as claimed in any of claims 1 to 3 or 5 or 6, where

25 R<sup>4</sup> is halogen, nitro, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-cyanoalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-haloalkylthio, di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, COR<sup>6</sup>, phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated and/or to have attached to them one to three of the following groups:

30 35 nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy or C<sub>1</sub>-C<sub>4</sub>-haloalkoxy;

40 R<sup>5</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;  
or

45 R<sup>4</sup> and R<sup>5</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain which can be mono- to tetrasubstituted by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be interrupted by oxygen or by a

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nitrogen which is unsubstituted or substituted by  
C<sub>1</sub>-C<sub>4</sub>-alkyl;

or

5

R<sup>5</sup> and R<sup>13</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain which can be  
mono- to tetrasubstituted by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or  
which can be interrupted by oxygen or by a  
nitrogen which is unsubstituted or substituted by  
C<sub>1</sub>-C<sub>4</sub>-alkyl.

10

8. A 3-heterocyclyl-substituted benzoyl derivative of the  
formula I as claimed in any of claims 1 to 3 or 5 to 7, where

15

R<sup>4</sup> is C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl,  
C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl or CONR<sup>7</sup>R<sup>8</sup>;

20

R<sup>5</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-Alkyl;

or

25

R<sup>4</sup> and R<sup>5</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain which can be  
mono- to tetrasubstituted by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or  
which can be interrupted by oxygen or by a  
nitrogen which is unsubstituted or substituted by  
C<sub>1</sub>-C<sub>4</sub>-alkyl;

30

or

35

R<sup>5</sup> and R<sup>13</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain which can be  
mono- to tetrasubstituted by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or  
which can be interrupted by oxygen or by a  
nitrogen which is unsubstituted or substituted by  
C<sub>1</sub>-C<sub>4</sub>-alkyl.

40

9. A 3-heterocyclyl-substituted benzoyl derivative of the  
formula I as claimed in any of claims 1 to 3 or 5 or 6, where  
R<sup>4</sup> and R<sup>5</sup> are hydrogen.

45

10. A 3-heterocyclyl-substituted benzoyl derivative of the  
formula I as claimed in any of claims 1 to 3 or 5 or 6 or 9,  
where R<sup>18</sup> is hydrogen.

6

11. 4-[2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-methyl-5-hydroxy-1H-pyrazole.

12. A 3-heterocyclyl-substituted benzoyl derivative of the  
5 formula I as claimed in any of claims 1 to 3 or 5, where

X is S, NR<sup>9</sup>, CO or CR<sup>10</sup>R<sup>11</sup>;

10 or

Y is O, S, NR<sup>12</sup> or CO.

13. A 3-heterocyclyl-substituted benzoyl derivative of the  
15 formula I as claimed in any of claims 1 to 3 or 5 or 12,  
where R<sup>18</sup> is hydrogen.

14. A 3-heterocyclyl-substituted benzoyl derivative of the  
20 formula I as claimed in any of claims 1 to 3 or 5 or 12,  
where

25 R<sup>4</sup> is halogen, cyano, nitro, C<sub>1</sub>-C<sub>4</sub>-alkyl,  
C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl,  
C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl-C<sub>1</sub>-C<sub>4</sub>-alkyl,  
C<sub>1</sub>-C<sub>4</sub>-alkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl,  
C<sub>1</sub>-C<sub>4</sub>-cyanoalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy,  
C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy,  
C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-haloalkylthio,  
30 di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, COR<sup>6</sup>, phenyl or benzyl, it  
being possible for the two last-mentioned  
substituents to be partially or fully halogenated  
and/or to have attached to them one to three of  
the following groups:  
35 nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl,  
C<sub>1</sub>-C<sub>4</sub>-alkoxy or C<sub>1</sub>-C<sub>4</sub>-haloalkoxy;

40 R<sup>5</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;

or

45 R<sup>4</sup> and R<sup>5</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain which can be  
mono- to tetrasubstituted by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or  
which can be interrupted by oxygen or by a

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nitrogen which is unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl;

or

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R<sup>4</sup> and R<sup>9</sup> or R<sup>4</sup> and R<sup>10</sup> or R<sup>5</sup> and R<sup>12</sup> or R<sup>5</sup> and R<sup>13</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain which can be mono- to tetrasubstituted by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl;

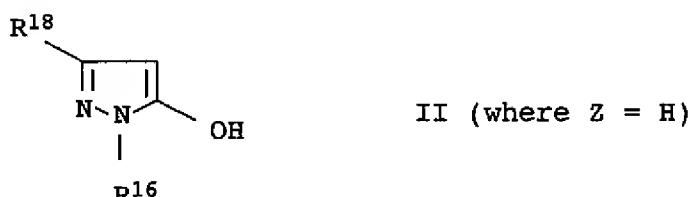
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R<sup>18</sup> is C<sub>1</sub>-C<sub>6</sub>-alkyl.

15 15. A process for the preparation of 3-heterocyclyl-substituted benzoyl derivatives of the formula I as claimed in claim 1, which comprises acylating the pyrazole of the formula II where Z = H, where the variables R<sup>16</sup> and R<sup>18</sup> have the meanings given under claim 1,

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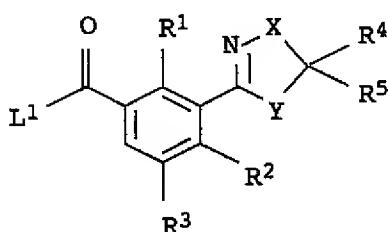
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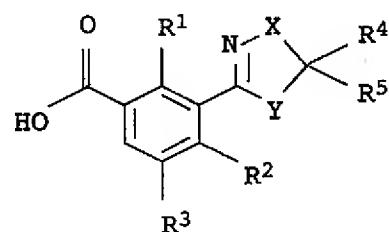
with an activated carboxylic acid IIIα or with a carboxylic acid IIIβ,

35



40

IIIα



IIIβ

45

where the variables R<sup>1</sup> to R<sup>5</sup>, X and Y have the meanings given under claim 1 and L<sup>1</sup> is a nucleophilically displaceable leaving group, subjecting the acylation product to a rearrangement reaction in the presence or absence of a catalyst to give the compounds I (where Z = H) and, if desired, to prepare 3-heterocyclyl-substituted benzoyl

derivatives of the formula I where  $Z = SO_2R^{17}$ , reacting the product with a compound of the formula V,

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 $L^2 - SO_2R^{17}$ 

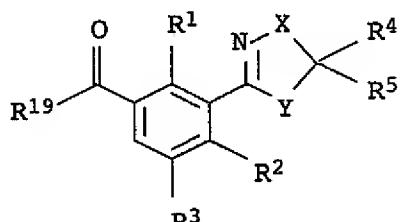
V

where  $R^{17}$  has the meaning given under claim 1 and  $L^2$  is a nucleophilically displaceable leaving group.

10

16. A 3-heterocyclyl-substituted benzoic acid derivative of the formula III,

15



20

III

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where  $R^{19}$  is hydroxyl or a radical which can be removed by hydrolysis and variables  $R^1$  to  $R^5$ , X and Y have the meanings given under the claims 1 to 14, with the exception of methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate and methyl 2-chloro-3-(4,5-dihydrooxazol-2-yl)-4-methylsulfonylbenzoate.

30

17. A 3-heterocyclyl-substituted benzoic acid derivative of the formula III as claimed in claim 16, where

35

$R^{19}$  is halogen, hydroxyl or  $C_1-C_6$ -alkoxy.

40

18. A composition comprising a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl derivative of the formula I or of an agriculturally useful salt of I as claimed in any of claims 1 to 14, and auxiliaries conventionally used for the formulation of crop protection products.

45

19. A process for the preparation of a composition as claimed in claim 18, which comprises mixing a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl derivative of the formula I or of an agriculturally useful salt of I as

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claimed in any of claims 1 to 14 and auxiliaries conventionally used for the formulation of crop protection products.

- 5 20. A method of controlling undesirable vegetation, which comprises allowing a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl derivative of the formula I or of an agriculturally useful salt of I as claimed in any of claims 1 to 14 to act on plants, their environment and/or on seeds.
- 10
- 15 21. The use of a 3-heterocyclyl-substituted benzoyl derivative of the formula I or an agriculturally useful salt thereof as claimed in any of claims 1 to 14 as herbicide.

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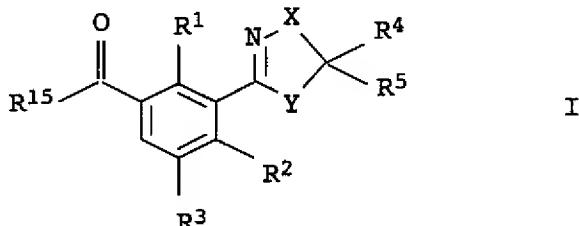
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## 3-Heterocyclyl-substituted benzoyl derivatives

5 The present invention relates to 3-heterocyclyl-substituted benzoyl derivatives of the formula I

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15

where the variables have the following meanings:

20 R<sup>1</sup>, R<sup>2</sup> are hydrogen, nitro, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-haloalkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl or C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfonyl;

25 R<sup>3</sup> is hydrogen, halogen or C<sub>1</sub>-C<sub>6</sub>-alkyl;

30 R<sup>4</sup>, R<sup>5</sup> are hydrogen, halogen, cyano, nitro, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, di(C<sub>1</sub>-C<sub>4</sub>-alkoxy)-C<sub>1</sub>-C<sub>4</sub>-alkyl, di(C<sub>1</sub>-C<sub>4</sub>-alkyl)-amino-C<sub>1</sub>-C<sub>4</sub>-alkyl, [2,2-di(C<sub>1</sub>-C<sub>4</sub>-alkyl)-1-hydrazino]-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkyliminoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-cyanoalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-haloalkylthio, di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, COR<sup>6</sup>, phenyl or benzyl, it being possible for the two last-mentioned substituents to be fully or partially halogenated and/or to have attached to them one to three of the following groups:

35 nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy or C<sub>1</sub>-C<sub>4</sub>-haloalkoxy;

40

45

or

2

R<sup>4</sup> and R<sup>5</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain which can be mono- to tetrasubstituted by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl;

5

or

10 R<sup>4</sup> and R<sup>5</sup> together with the corresponding carbon form a carbonyl or thiocarbonyl group;

15 R<sup>6</sup> is C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, C<sub>3</sub>-C<sub>6</sub>-alkynyloxy or NR<sup>7</sup>R<sup>8</sup>;

20 R<sup>7</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;

R<sup>8</sup> is C<sub>1</sub>-C<sub>4</sub>-alkyl;

25 X is O, S, NR<sup>9</sup>, CO or CR<sup>10</sup>R<sup>11</sup>;

Y is O, S, NR<sup>12</sup>, CO or CR<sup>13</sup>R<sup>14</sup>;

30 R<sup>9</sup>, R<sup>12</sup> are hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;

R<sup>10</sup>, R<sup>11</sup>, R<sup>13</sup>, R<sup>14</sup> are hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>4</sub>-haloalkoxycarbonyl or CONR<sup>7</sup>R<sup>8</sup>;

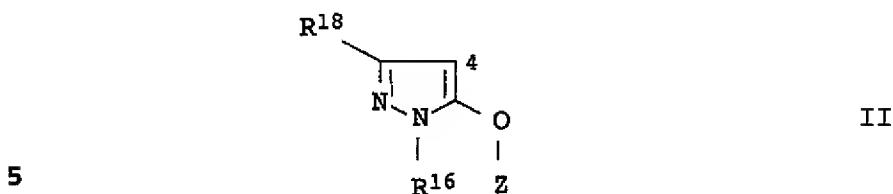
35 or

R<sup>4</sup> and R<sup>9</sup> or R<sup>4</sup> and R<sup>10</sup> or R<sup>5</sup> and R<sup>12</sup> or R<sup>5</sup> and R<sup>13</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain which can be mono- to tetrasubstituted by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl;

40 R<sup>15</sup> is a pyrazole of the formula II which is linked in the 4-position

45

## 3



where

- 10           R<sup>16</sup>       is C<sub>1</sub>-C<sub>6</sub>-alkyl;
- Z        is H or SO<sub>2</sub>R<sup>17</sup>;
- 15           R<sup>17</sup>       is C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, phenyl or  
phenyl which is partially or fully halogenated  
and/or has attached to it one to three of the  
following groups:  
nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl,  
C<sub>1</sub>-C<sub>4</sub>-alkoxy or C<sub>1</sub>-C<sub>4</sub>-haloalkoxy;
- 20           R<sup>18</sup>       is hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl;

25 where X and Y are not simultaneously oxygen or sulfur;

with the exception of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-  
4-methylsulfonylbenzoyl]-1-ethyl-5-hydroxy-1H-pyrazole,  
4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonyl-  
30 benzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,  
4-[2-chloro-3-(5-cyano-4,5-dihydroisoxazol-3-yl)-4-methyl-  
sulfonylbenzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole,  
4-[2-chloro-3-(4,5-dihydrothiazol-2-yl)-4-methylsulfonylbenzoyl]-  
1,3-dimethyl-5-hydroxy-1H-pyrazole and  
35 4-[2-chloro-3-(thiazoline-4,5-dion-2-yl)-4-methylsulfonyl-  
benzoyl]-1,3-dimethyl-5-hydroxy-1H-pyrazole;

or the agriculturally useful salts thereof.

40 The invention furthermore relates to processes and intermediates  
for the preparation of compounds of the formula I, to  
compositions comprising them, and to the use of these derivatives  
or compositions comprising them for the control of harmful  
plants.

Pyrazol-4-yl-benzoyl derivatives have been disclosed in the literature, for example in WO 96/26206.

However, the herbicidal properties of the compounds which have  
5 been known to date and their compatibility properties regarding crop plants are only moderately satisfactory.

It is an object of the present invention to provide novel, in particular herbicidally active, compounds which have improved  
10 properties.

We have found that this object is achieved by the 3-hetero-cyclyl-substituted benzoyl derivatives of the formula I and by  
15 their herbicidal activity.

We have furthermore found herbicidal compositions which comprise the compounds I and which have a very good herbicidal activity. Moreover, we have found processes for the preparation of these  
20 compositions and methods of controlling undesirable vegetation using the compounds I.

Depending on the substitution pattern, the compounds of the formula I can contain one or more chiral centers, in which case  
25 they exist as enantiomer or diastereomer mixtures. The present invention relates to the pure enantiomers or diastereomers and to the mixtures thereof.

30 The compounds of the formula I may also exist in the form of their agriculturally useful salts, the type of salt generally being of no importance. In general, suitable salts are the salts of those cations or the acid addition salts of those acids whose cations, or anions, respectively, do not adversely affect the  
35 herbicidal activity of the compounds I.

Suitable cations are, in particular, ions of the alkali metals, preferably lithium, sodium and potassium, of the alkaline earth metals, preferably calcium and magnesium, and of the transition  
40 metals, preferably manganese, copper, zinc and iron, and also ammonium, it being possible in this case, if desired, for one to four hydrogen atoms to be replaced by C<sub>1</sub>-C<sub>4</sub>-alkyl or hydroxy-C<sub>1</sub>-C<sub>4</sub>-alkyl and/or a phenyl or benzyl, preferably ammonium, diisopropylammonium, tetramethylammonium, tetrabutylammonium,  
45 trimethylbenzylammonium, in addition phosphonium ions, sulfonium

ions, preferably tri(C<sub>1</sub>-C<sub>4</sub>-alkyl)sulfonium and sulfoxonium ions, preferably tri(C<sub>1</sub>-C<sub>4</sub>-alkyl)sulfoxonium.

- Anions of useful acid addition salts are mainly chloride,  
5 bromide, fluoride, hydrogen sulfate, sulfate, dihydrogen phosphate, hydrogen phosphate, nitrate, hydrogen carbonate, carbonate, hexafluorosilicate, hexafluorophosphate, benzoate, and the anions of C<sub>1</sub>-C<sub>4</sub>-alkanoic acids, preferably formate, acetate, propionate and butyrate.

10

- The organic moieties mentioned for the substituents R<sup>1</sup>-R<sup>18</sup> or as radicals on phenyl rings are collective terms for individual enumerations of the individual group members. All hydrocarbon chains, ie. all alkyl, haloalkyl, cyanoalkyl, alkoxy, haloalkoxy,  
15 alkyliminoxy, alkylthio, haloalkylthio, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl, haloalkylsulfonyl, alkoxy carbonyl, haloalkoxycarbonyl, alkenyloxy, alkynyoxy, dialkylamino, dialkylhydrazino, alkoxyalkyl, dialkoxyalkyl, alkylthioalkyl, dialkylaminoalkyl, dialkylhydrazinoalkyl,  
20 alkyliminoxyalkyl, alkoxy carbonylalkyl and alkoxyalkoxy moieties, can be straight-chain or branched. Unless otherwise specified, halogenated substituents preferably have attached to them one to five identical or different halogen atoms. The meaning of halogen is in each case fluorine, chlorine, bromine or iodine.  
25

Other examples of meanings are:

- 30 - C<sub>1</sub>-C<sub>4</sub>-alkyl and the alkyl moieties of di-(C<sub>1</sub>-C<sub>4</sub>-alkoxy)-C<sub>1</sub>-C<sub>4</sub>-alkyl, [2,2-di(C<sub>1</sub>-C<sub>4</sub>-alkyl)-1-hydrazino]-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkyliminoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl: for example methyl, ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl and 1,1-dimethylethyl;

35

- C<sub>1</sub>-C<sub>6</sub>-alkyl: C<sub>1</sub>-C<sub>4</sub>-alkyl as mentioned above and, for example, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-ethylpropyl, hexyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1-ethyl-1-methylpropyl and 1-ethyl-3-methylpropyl;

45

## 6

- C<sub>1</sub>-C<sub>4</sub>-haloalkyl: a C<sub>1</sub>-C<sub>4</sub>-alkyl radical as mentioned above which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chlorofluoromethyl, dichlorofluoromethyl, chlorodifluoromethyl, 2-fluoroethyl, 2-chloroethyl, 2-bromoethyl, 2-iodoethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, 2-chloro-2-fluoroethyl, 2-chloro-2,2-difluoroethyl, 2,2-dichloro-2-fluoroethyl, 2,2,2-trichloroethyl, pentafluoroethyl, 2-fluoropropyl, 3-fluoropropyl, 2,2-difluoropropyl, 2,3-difluoropropyl, 2-chloropropyl, 3-chloropropyl, 2,3-dichloropropyl, 2-bromopropyl, 3-bromopropyl, 3,3,3-trifluoropropyl, 3,3,3-trichloropropyl, 2,2,3,3,3-pentafluoropropyl, heptafluoropropyl, 1-(fluoromethyl)-2-fluoroethyl, 1-(chloromethyl)-2-chloroethyl, 1-(bromomethyl)-2-bromoethyl, 4-fluorobutyl, 4-chlorobutyl, 4-bromobutyl and nonafluorobutyl;
- 20 - C<sub>1</sub>-C<sub>6</sub>-haloalkyl: C<sub>1</sub>-C<sub>4</sub>-haloalkyl as mentioned above and, for example, 5-fluoropentyl, 5-chloropentyl, 5-bromopentyl, 5-iodopentyl, undecafluoropentyl, 6-fluorohexyl, 6-chlorohexyl, 6-bromohexyl, 6-iodohexyl and dodecafluorohexyl;
- 25 - C<sub>1</sub>-C<sub>4</sub>-cyanoalkyl: for example cyanomethyl, 1-cyanoeth-1-yl, 2-cyanoeth-1-yl, 1-cyanoprop-1-yl, 2-cyanoprop-1-yl, 3-cyanoprop-1-yl, 1-cyanoprop-2-yl, 2-cyanoprop-2-yl, 1-cyanobut-1-yl, 2-cyanobut-1-yl, 3-cyanobut-1-yl, 4-cyanobut-1-yl, 1-cyanobut-2-yl, 2-cyanobut-2-yl, 1-cyanobut-3-yl, 2-cyanobut-3-yl, 1-cyano-2-methylprop-3-yl, 2-cyano-2-methylprop-3-yl, 3-cyano-2-methylprop-3-yl and 2-cyanomethylprop-2-yl;
- 35 - C<sub>1</sub>-C<sub>4</sub>-alkoxy and the alkoxy moieties of di-(C<sub>1</sub>-C<sub>4</sub>-alkoxy)-C<sub>1</sub>-C<sub>4</sub>-alkyl: for example methoxy, ethoxy, propoxy, 1-methylethoxy, butoxy, 1-methylpropoxy, 2-methylpropoxy and 1,1-dimethylethoxy;
- 40 - C<sub>1</sub>-C<sub>6</sub>-alkoxy: C<sub>1</sub>-C<sub>4</sub>-alkoxy as mentioned above and, for example, pentyloxy, 1-methylbutoxy, 2-methylbutoxy, 3-methoxylbutoxy, 1,1-dimethylpropoxy, 1,2-dimethylpropoxy, 2,2-dimethylpropoxy, 1-ethylpropoxy, hexoxy, 1-methylpentoxy, 2-methylpentoxy, 3-methylpentoxy, 4-methylpentoxy, 1,1-dimethylbutoxy, 1,2-dimethylbutoxy, 1,3-dimethylbutoxy, 2,2-dimethylbutoxy, 2,3-dimethylbutoxy, 3,3-dimethylbutoxy,
- 45 -

1-ethylbutoxy, 2-ethylbutoxy, 1,1,2-trimethylpropoxy,  
1,2,2-trimethylpropoxy, 1-ethyl-1-methylpropoxy and  
1-ethyl-2-methylpropoxy;

5 - C<sub>1</sub>-C<sub>4</sub>-haloalkoxy: a C<sub>1</sub>-C<sub>4</sub>-alkoxy radical as mentioned above  
which is partially or fully substituted by fluorine,  
chlorine, bromine and/or iodine, for example fluoromethoxy,  
difluoromethoxy, trifluoromethoxy, chlorodifluoromethoxy,  
bromodifluoromethoxy, 2-fluoroethoxy, 2-chloroethoxy,

10 2-bromomethoxy, 2-iodoethoxy, 2,2-difluoroethoxy,  
2,2,2-trifluoroethoxy, 2-chloro-2-fluoroethoxy,  
2-chloro-2,2-difluoroethoxy, 2,2-dichloro-2-fluoroethoxy,  
2,2,2-trichloroethoxy, pentafluoroethoxy, 2-fluoropropoxy,  
3-fluoropropoxy, 2-chloropropoxy, 3-chloropropoxy,

15 2-bromopropoxy, 3-bromopropoxy, 2,2-difluoropropoxy,  
2,3-difluoropropoxy, 2,3-dichloropropoxy,  
3,3,3-trifluoropropoxy, 3,3,3-trichloropropoxy,  
2,2,3,3,3-pentafluoropropoxy, heptafluoropropoxy,  
1-(fluoromethyl)-2-fluoroethoxy,

20 1-(chloromethyl)-2-chloroethoxy,  
1-(bromomethyl)-2-bromoethoxy, 4-fluorobutoxy,  
4-chlorobutoxy, 4-bromobutoxy and nonafluorobutoxy;

25 - C<sub>1</sub>-C<sub>6</sub>-haloalkoxy: C<sub>1</sub>-C<sub>4</sub>-haloalkoxy as mentioned above and, for  
example, 5-fluoropentoxy, 5-chloropentoxy, 5-bromopentoxy,  
5-iodopentoxy, undecafluoropentoxy, 6-fluorohexoxy,  
6-chlorohexoxy, 6-bromohexoxy, 6-iodohexoxy and  
dodecafluorohexoxy;

30 - C<sub>1</sub>-C<sub>6</sub>-alkyliminoxy and the C<sub>1</sub>-C<sub>6</sub>-alkyliminoxy moieties of  
C<sub>1</sub>-C<sub>6</sub>-alkyliminoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl: for example methyliminoxy,  
ethyliminoxy, 1-propyliminoxy, 2-propyliminoxy,  
1-butyyliminoxy, 2-butyyliminoxy, 2-methylprop-1-yliminoxy,

35 1-pentyliminoxy, 2-pentyliminoxy, 3-pentyliminoxy,  
3-methylbut-2-yliminoxy, 2-methylbut-1-yliminoxy,  
3-methylbut-1-yliminoxy, 1-hexyliminoxy, 2-hexyliminoxy,  
3-hexyliminoxy, 2-methylpent-1-yliminoxy,

40 3-methylpent-1-yliminoxy, 4-methylpent-1-yliminoxy,  
2-ethylbut-1-yliminoxy, 3-ethylbut-1-yliminoxy,  
2,3-dimethylbut-1-yliminoxy, 3-methylpent-2-yliminoxy,  
4-methylpent-2-yliminoxy and 3,3-dimethylbut-2-yliminoxy;

45 - C<sub>1</sub>-C<sub>4</sub>-alkylthio: for example methylthio, ethylthio,  
propylthio, 1-methylethylthio, butylthio, 1-methylpropylthio,  
2-methylpropylthio and 1,1-dimethylethylthio;

- C<sub>1</sub>-C<sub>6</sub>-alkylthio: C<sub>1</sub>-C<sub>4</sub>-alkylthio as mentioned above and, for example, pentylthio, 1-methylbutylthio, 2-methylbutylthio, 3-methylbutylthio, 2,2-dimethylpropylthio, 1-ethylpropylthio, hexylthio, 1,1-dimethylpropylthio, 1,2-dimethylpropylthio,  
5 1-methylpentylthio, 2-methylpentylthio, 3-methylpentylthio, 4-methylpentylthio, 1,1-dimethylbutylthio, 1,2-dimethylbutylthio, 1,3-dimethylbutylthio, 2,2-dimethylbutylthio, 2,3-dimethylbutylthio, 3,3-dimethylbutylthio, 1-ethylbutylthio, 2-ethylbutylthio,  
10 1,1,2-trimethylpropylthio, 1,2,2-trimethylpropylthio, 1-ethyl-1-methylpropylthio and 1-ethyl-2-methylpropylthio;
- C<sub>1</sub>-C<sub>4</sub>-haloalkylthio: a C<sub>1</sub>-C<sub>4</sub>-alkylthio radical as mentioned above, which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example  
15 fluoromethylthio, difluoromethylthio, trifluoromethylthio, chlorodifluoromethylthio, bromodifluoromethylthio, 2-fluorethylthio, 2-chloroethylthio, 2-bromoethylthio, 2-iodoethylthio, 2,2-difluoroethylthio,  
20 2,2,2-trifluoroethylthio, 2,2,2-trichloroethylthio, 2-chloro-2-fluoroethylthio, 2-chloro-2,2-difluoroethylthio, 2,2-dichloro-2-fluoroethylthio, pentafluoroethylthio, 2-fluoropropylthio, 3-fluoropropylthio, 2-chloropropylthio,  
25 3-chloropropylthio, 2-bromopropylthio, 3-bromopropylthio, 2,2-difluoropropylthio, 2,3-difluoropropylthio, 2,3-dichloropropylthio, 3,3,3-trifluoropropylthio, 3,3,3-trichloropropylthio, 2,2,3,3,3-pentafluoropropylthio, heptafluoropropylthio, 1-(fluoromethyl)-2-fluoroethylthio,  
1-(chloromethyl)-2-chloroethylthio,  
30 1-(bromomethyl)-2-bromoethylthio, 4-fluorobutylthio, 4-chlorobutylthio, 4-bromobutylthio and nonafluorobutylthio;
- C<sub>1</sub>-C<sub>6</sub>-haloalkylthio: C<sub>1</sub>-C<sub>4</sub>-haloalkylthio as mentioned above and, for example, 5-fluoropentylthio, 5-chloropentylthio,  
35 5-bromopentylthio, 5-iodopentylthio, undecafluoropentylthio, 6-fluorohexylthio, 6-chlorohexylthio, 6-bromohexylthio, 6-iodohexylthio and dodecafluorohexylthio;
- 40 - C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl (C<sub>1</sub>-C<sub>6</sub>-alkyl-S(=O)-): for example methylsulfinyl, ethylsulfinyl, propylsulfinyl, 1-methylethylsulfinyl, butylsulfinyl, 1-methylpropylsulfinyl, 2-methylpropylsulfinyl, 1,1-dimethylethylsulfinyl, pentylsulfinyl, 1-methylbutylsulfinyl, 2-methylbutylsulfinyl, 3-methylbutylsulfinyl, 2,2-dimethylpropylsulfinyl, 1-ethylpropylsulfinyl, 1,1-dimethylpropylsulfinyl,  
45 1,2-dimethylpropylsulfinyl, hexylsulfinyl,

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- 1-methylpentylsulfinyl, 2-methylpentylsulfinyl,  
3-methylpentylsulfinyl, 4-methylpentylsulfinyl,  
1,1-dimethylbutylsulfinyl, 1,2-dimethylbutylsulfinyl,  
1,3-dimethylbutylsulfinyl, 2,2-dimethylbutylsulfinyl,  
5 2,3-dimethylbutylsulfinyl, 3,3-dimethylbutylsulfinyl,  
1-ethylbutylsulfinyl, 2-ethylbutylsulfinyl,  
1,1,2-trimethylpropylsulfinyl, 1,2,2-trimethylpropylsulfinyl,  
1-ethyl-1-methylpropylsulfinyl and  
1-ethyl-2-methylpropylsulfinyl;
- 10 - C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfinyl: a C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl radical as  
mentioned above which is partially or fully substituted by  
fluorine, chlorine, bromine and/or iodine, for example  
fluoromethylsulfinyl, difluoromethylsulfinyl,  
15 trifluoromethylsulfinyl, chlorodifluoromethylsulfinyl,  
bromodifluoromethylsulfinyl, 2-fluoroethylsulfinyl,  
2-chloroethylsulfinyl, 2-bromoethylsulfinyl,  
2-iodoethylsulfinyl, 2,2-difluoroethylsulfinyl,  
20 2,2,2-trifluoroethylsulfinyl, 2,2,2-trichloroethylsulfinyl,  
2-chloro-2-fluoroethylsulfinyl,  
2-chloro-2,2-difluoroethylsulfinyl,  
2,2-dichloro-2-fluoroethylsulfinyl, pentafluoroethylsulfinyl,  
2-fluoropropylsulfinyl, 3-fluoropropylsulfinyl,  
25 2-chloropropylsulfinyl, 3-chloropropylsulfinyl,  
2-bromopropylsulfinyl, 3-bromopropylsulfinyl,  
2,2-difluoropropylsulfinyl, 2,3-difluoropropylsulfinyl,  
2,3-dichloropropylsulfinyl, 3,3,3-trifluoropropylsulfinyl,  
3,3,3-trichloropropylsulfinyl,  
30 2,2,3,3,3-pentafluoropropylsulfinyl,  
heptafluoropropylsulfinyl,  
1-(fluoromethyl)-2-fluoroethylsulfinyl,  
1-(chloromethyl)-2-chloroethylsulfinyl,  
1-(bromomethyl)-2-bromoethylsulfinyl, 4-fluorobutylsulfinyl,  
4-chlorobutylsulfinyl, 4-bromobutylsulfinyl,  
35 nonafluorobutylsulfinyl, 5-fluoropentylsulfinyl,  
5-chloropentylsulfinyl, 5-bromopentylsulfinyl,  
5-iodopentylsulfinyl, undecafluoropentylsulfinyl,  
6-fluorohexylsulfinyl, 6-chlorohexylsulfinyl,  
6-bromohexylsulfinyl, 6-iodohexylsulfinyl and  
40 dodecafluorohexylsulfinyl;
- C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl (C<sub>1</sub>-C<sub>6</sub>-alkyl-S(=O)<sub>2</sub>-): for example  
methylsulfonyl, ethylsulfonyl, propylsulfonyl,  
1-methylethylsulfonyl, butylsulfonyl, 1-methylpropylsulfonyl,  
45 2-methylpropylsulfonyl, 1,1-dimethylethylsulfonyl,  
pentylsulfonyl, 1-methylbutylsulfonyl, 2-methylbutylsulfonyl,  
3-methylbutylsulfonyl, 1,1-dimethylpropylsulfonyl,

## 10

- 1,2-dimethylpropylsulfonyl, 2,2-dimethylpropylsulfonyl,  
1-ethylpropylsulfonyl, hexylsulfonyl, 1-methylpentylsulfonyl,  
2-methylpentylsulfonyl, 3-methylpentylsulfonyl,  
4-methylpentylsulfonyl, 1,1-dimethylbutylsulfonyl,  
5 1,2-dimethylbutylsulfonyl, 1,3-dimethylbutylsulfonyl,  
2,2-dimethylbutylsulfonyl, 2,3-dimethylbutylsulfonyl,  
3,3-dimethylbutylsulfonyl, 1-ethylbutylsulfonyl,  
2-ethylbutylsulfonyl, 1,1,2-trimethylpropylsulfonyl,  
1,2,2-trimethylpropylsulfonyl, 1-ethyl-1-methylpropylsulfonyl  
10 and 1-ethyl-2-methylpropylsulfonyl;
- C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfonyl: a C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl radical as  
mentioned above which is partially or fully substituted by  
fluorine, chlorine, bromine and/or iodine, for example  
15 fluoromethylsulfonyl, difluoromethylsulfonyl,  
trifluoromethylsulfonyl, chlorodifluoromethylsulfonyl,  
bromodifluoromethylsulfonyl, 2-fluoroethylsulfonyl,  
2-chloroethylsulfonyl, 2-bromoethylsulfonyl,  
2-iodoethylsulfonyl, 2,2-difluoroethylsulfonyl,  
20 2,2,2-trifluoroethylsulfonyl, 2-chloro-2-fluoroethylsulfonyl,  
2-chloro-2,2-difluoroethylsulfonyl,  
2,2-dichloro-2-fluoroethylsulfonyl,  
2,2,2-trichloroethylsulfonyl, pentafluoroethylsulfonyl,  
25 2-fluoropropylsulfonyl, 3-fluoropropylsulfonyl,  
2-chloropropylsulfonyl, 3-chloropropylsulfonyl,  
2-bromopropylsulfonyl, 3-bromopropylsulfonyl,  
2,2-difluoropropylsulfonyl, 2,3-difluoropropylsulfonyl,  
2,3-dichloropropylsulfonyl, 3,3,3-trifluoropropylsulfonyl,  
30 3,3,3-trichloropropylsulfonyl,  
2,2,3,3,3-pentafluoropropylsulfonyl,  
heptafluoropropylsulfonyl,  
1-(fluoromethyl)-2-fluoroethylsulfonyl, 1-(chloromethyl)-2-  
chloroethylsulfonyl, 1-(bromomethyl)-2-bromoethylsulfonyl,  
4-fluorobutylsulfonyl, 4-chlorobutylsulfonyl,  
35 4-bromobutylsulfonyl, nonafluorobutylsulfonyl,  
5-fluoropentylsulfonyl, 5-chloropentylsulfonyl,  
5-bromopentylsulfonyl, 5-iodopentylsulfonyl,  
6-fluorohexylsulfonyl, 6-bromohexylsulfonyl,  
6-iodohexylsulfonyl and dodecafluorohexylsulfonyl;  
40
- C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl: for example methoxycarbonyl,  
ethoxycarbonyl, propoxycarbonyl, 1-methylethoxycarbonyl,  
butoxycarbonyl, 1-methylpropoxycarbonyl,  
2-methylpropoxycarbonyl and 1,1-dimethoxycarbonyl;
- 45

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- C<sub>1</sub>-C<sub>4</sub>-haloalkoxycarbonyl: a C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl as mentioned above which is partially or fully substituted by fluorine, chlorine, bromine and/or iodine, for example fluoromethoxycarbonyl, difluoromethoxycarbonyl,  
5 trifluoromethoxycarbonyl, chlorodifluoromethoxycarbonyl, bromodifluoromethoxycarbonyl, 2-fluoroethoxycarbonyl, 2-chloroethoxycarbonyl, 2-bromoethoxycarbonyl, 2-iodoethoxycarbonyl, 2,2-difluoroethoxycarbonyl, 2,2,2-trifluoroethoxycarbonyl,  
10 2-chloro-2-fluoroethoxycarbonyl, 2-chloro-2,2-difluoroethoxycarbonyl, 2,2-dichloro-2-fluoroethoxycarbonyl, 2,2,2-trichloroethoxycarbonyl, pentafluoroethoxycarbonyl, 2-fluoropropoxycarbonyl, 3-fluoropropoxycarbonyl,  
15 2-chloropropoxycarbonyl, 3-chloropropoxycarbonyl, 2-bromopropoxycarbonyl, 3-bromopropoxycarbonyl, 2,2-difluoropropoxycarbonyl, 2,3-difluoropropoxycarbonyl, 2,3-dichloropropoxycarbonyl, 3,3,3-trifluoropropoxycarbonyl, 3,3,3-trichloropropoxycarbonyl,  
20 2,2,3,3,3-pentafluoropropoxycarbonyl, heptafluoropropoxycarbonyl, 1-(fluoromethyl)-2-fluoroethoxycarbonyl, 1-(chloromethyl)-2-chloroethoxycarbonyl, 1-(bromomethyl)-2-bromoethoxycarbonyl,  
25 4-fluorobutoxycarbonyl, 4-chlorobutoxycarbonyl, 4-bromobutoxycarbonyl and 4-iodobutoxycarbonyl;
  
- C<sub>3</sub>-C<sub>6</sub>-alkenyloxy: for example prop-1-en-1-yloxy, prop-2-en-1-yloxy, 1-methylethoxyloxy, buten-1-yloxy, buten-2-yloxy, buten-3-yloxy, 1-methylprop-1-en-1-yloxy, 2-methylprop-1-en-1-yloxy, 1-methylprop-2-en-1-yloxy, 2-methylprop-2-en-1-yloxy, penten-1-yloxy, penten-2-yloxy, penten-3-yloxy, penten-4-yloxy, 1-methylbut-1-en-1-yloxy, 2-methylbut-1-en-1-yloxy, 3-methylbut-1-en-1-yloxy,  
30 1-methylbut-2-en-1-yloxy, 2-methylbut-2-en-1-yloxy, 3-methylbut-2-en-1-yloxy, 1-methylbut-3-en-1-yloxy, 2-methylbut-3-en-1-yloxy, 3-methylbut-3-en-1-yloxy, 1,1-dimethylprop-2-en-1-yloxy, 1,2-dimethylprop-1-en-1-yloxy, 1,2-dimethylprop-2-en-1-yloxy, 1-ethylprop-1-en-2-yloxy,  
35 1-ethylprop-2-en-1-yloxy, hex-1-en-1-yloxy, hex-2-en-1-yloxy, hex-3-en-1-yloxy, hex-4-en-1-yloxy, hex-5-en-1-yloxy, 1-methylpent-1-en-1-yloxy, 2-methylpent-1-en-1-yloxy, 3-methylpent-1-en-1-yloxy, 4-methylpent-1-en-1-yloxy, 1-methylpent-2-en-1-yloxy, 2-methylpent-2-en-1-yloxy,  
40 3-methylpent-2-en-1-yloxy, 4-methylpent-2-en-1-yloxy, 1-methylpent-3-en-1-yloxy, 2-methylpent-3-en-1-yloxy, 3-methylpent-3-en-1-yloxy, 4-methylpent-3-en-1-yloxy,

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- 1-methylpent-4-en-1-yloxy, 2-methylpent-4-en-1-yloxy,  
3-methylpent-4-en-1-yloxy, 4-methylpent-4-en-1-yloxy,  
1,1-dimethylbut-2-en-1-yloxy, 1,1-dimethylbut-3-en-1-yloxy,  
1,2-dimethylbut-1-en-1-yloxy, 1,2-dimethylbut-2-en-1-yloxy,  
5 1,2-dimethylbut-3-en-1-yloxy, 1,3-dimethylbut-1-en-1-yloxy,  
1,3-dimethylbut-2-en-1-yloxy, 1,3-dimethylbut-3-en-1-yloxy,  
2,2-dimethylbut-3-en-1-yloxy, 2,3-dimethylbut-1-en-1-yloxy,  
2,3-dimethylbut-2-en-1-yloxy, 2,3-dimethylbut-3-en-1-yloxy,  
3,3-dimethylbut-1-en-1-yloxy, 3,3-dimethylbut-2-en-1-yloxy,  
10 1-ethylbut-1-en-1-yloxy, 1-ethylbut-2-en-1-yloxy,  
1-ethylbut-3-en-1-yloxy, 2-ethylbut-1-en-1-yloxy,  
2-ethylbut-2-en-1-yloxy, 2-ethylbut-3-en-1-yloxy,  
1,1,2-trimethylprop-2-en-1-yloxy,  
1-ethyl-1-methylprop-2-en-1-yloxy,  
15 1-ethyl-2-methylprop-1-en-1-yloxy and  
1-ethyl-2-methylprop-2-en-1-yloxy;
- C<sub>3</sub>-C<sub>6</sub>-alkynyloxy: for example prop-1-yn-1-yloxy,  
20 prop-2-yn-1-yloxy, but-1-yn-1-yloxy, but-1-yn-3-yloxy,  
but-1-yn-4-yloxy, but-2-yn-1-yloxy, pent-1-yn-1-yloxy,  
pent-1-yn-3-yloxy, pent-1-yn-4-yloxy, pent-1-yn-5-yloxy,  
pent-2-yn-1-yloxy, pent-2-yn-4-yloxy, pent-2-yn-5-yloxy,  
3-methylbut-1-yn-3-yloxy, 3-methylbut-1-yn-4-yloxy,  
hex-1-yn-1-yloxy, hex-1-yn-3-yloxy, hex-1-yn-4-yloxy,  
25 hex-1-yn-5-yloxy, hex-1-yn-6-yloxy, hex-2-yn-1-yloxy,  
hex-2-yn-4-yloxy, hex-2-yn-5-yloxy, hex-2-yn-6-yloxy,  
hex-3-yn-1-yloxy, hex-3-yn-2-yloxy,  
3-methylpent-1-yn-1-yloxy, 3-methylpent-1-yn-3-yloxy,  
3-methylpent-1-yn-4-yloxy, 3-methylpent-1-yn-5-yloxy,  
30 4-methylpent-1-yn-1-yloxy, 4-methylpent-2-yn-4-yloxy and  
4-methylpent-2-yn-5-yloxy;
- di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino: for example N,N-dimethylamino,  
35 N,N-diethylamino, N,N-dipropylamino,  
N,N-di(1-methylethyl)amino, N,N-dibutylamino,  
N,N-di(1-methylpropyl)amino, N,N-di(2-methylpropyl)amino,  
N,N-di(1,1-dimethylethyl)amino, N-ethyl-N-methylamino,  
N-methyl-N-propylamino, N-methyl-N-(1-methylethyl)amino,  
40 N-butyl-N-methylamino, N-methyl-N-(1-methylpropyl)amino,  
N-methyl-N-(2-methylpropyl)amino,  
N-(1,1-dimethylethyl)-N-methylamino, N-ethyl-N-propylamino,  
N-ethyl-N-(1-methylethyl)amino, N-butyl-N-ethylamino,  
N-ethyl-N-(1-methylpropyl)amino,  
45 N-ethyl-N-(2-methylpropyl)amino,  
N-ethyl-N-(1,1-dimethylethyl)amino,  
N-(1-methylethyl)-N-propylamino, N-butyl-N-propylamino,  
N-(1-methylpropyl)-N-propylamino,

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- N-(2-methylpropyl)-N-propylamino,  
N-(1,1-dimethylethyl)-N-propylamino,  
N-butyl-N-(1-methylethyl)amino,  
N-(1-methylethyl)-N-(1-methylpropyl)amino,  
5 N-(1-methylethyl)-N-(2-methylpropyl)amino,  
N-(1,1-dimethylethyl)-N-(1-methylethyl)amino,  
N-butyl-N-(1-methylpropyl)amino,  
N-butyl-N-(2-methylpropyl)amino,  
N-butyl-N-(1,1-dimethylethyl)amino,  
10 N-(1-methylpropyl)-N-(2-methylpropyl)amino,  
N-(1,1-dimethylethyl)-N-(1-methylpropyl)amino and  
N-(1,1-dimethylethyl)-N-(2-methylpropyl)amino;
- [2,2-di(C<sub>1</sub>-C<sub>4</sub>-alkyl)-1-hydrazino], and the dialkylhydrazino  
15 moieties of [2,2-di(C<sub>1</sub>-C<sub>4</sub>-alkyl)-1-hydrazino]-C<sub>1</sub>-C<sub>4</sub>-alkyl: for  
example 2,2-dimethylhydrazino-1, 2,2-diethylhydrazino-1,  
2,2-dipropylhydrazino-1, 2,2-di(1-methylethyl)-1-hydrazino,  
2,2-dibutylhydrazino-1, 2,2-di(1-methylpropyl)-1-hydrazino,  
2,2-di(2-methylpropyl)-1-hydrazino,  
20 2,2-di(1,1-dimethylethyl)-1-hydrazino,  
2-ethyl-2-methyl-1-hydrazino, 2-methyl-2-propyl-1-hydrazino,  
2-methyl-2-(1-methylethyl)-1-hydrazino,  
2-butyl-2-methyl-1-hydrazino,  
2-methyl-2-(1-methylpropyl)-1-hydrazino,  
25 2-methyl-2-(2-methylpropyl)-1-hydrazino,  
2-(1,1-dimethylethyl)-2-methyl-1-hydrazino,  
2-ethyl-2-propyl-1-hydrazino,  
2-ethyl-2-(1-methylethyl)-1-hydrazino,  
2-butyl-2-ethyl-1-hydrazino,  
30 2-ethyl-2-(1-methylpropyl)-1-hydrazino,  
2-ethyl-2-(2-methylpropyl)-1-hydrazino,  
2-ethyl-2-(1,1-dimethylethyl)-1-hydrazino,  
2-(1-methylethyl)-2-propyl-1-hydrazino,  
2-butyl-2-propyl-1-hydrazino,  
35 2-(1-methylpropyl)-2-propyl-1-hydrazino,  
2-(2-methylpropyl)-2-propyl-1-hydrazino,  
2-(1,1-dimethylethyl)-2-propyl-1-hydrazino,  
2-butyl-2-(1-methylethyl)-1-hydrazino,  
2-(1-methylethyl)-2-(1-methylpropyl)-1-hydrazino,  
40 2-(1-methylethyl)-2-(2-methylpropyl)-1-hydrazino,  
2-(1,1-dimethylethyl)-2-(1-methylethyl)-1-hydrazino,  
2-butyl-2-(1-methylpropyl)-1-hydrazino,  
2-butyl-2-(2-methylpropyl)-1-hydrazino,  
2-butyl-2-(1,1-dimethylethyl)-1-hydrazino,  
45 2-(1-methylpropyl)-2-(2-methylpropyl)-1-hydrazino,

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2-(1,1-dimethylethyl)-2-(1-methylpropyl)-1-hydrazino and  
2-(1,1-dimethylethyl)-2-(2-methylpropyl)-1-hydrazino;

- di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino-C<sub>1</sub>-C<sub>4</sub>-alkyl: C<sub>1</sub>-C<sub>4</sub>-alkyl which is  
5 substituted by di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino as mentioned above, for  
example N,N-dimethylaminomethyl, N,N-diethylaminomethyl,  
N,N-dipropylaminomethyl, N,N-di(1-methylethyl)aminomethyl,  
N,N-dibutylaminomethyl, N,N-di(1-methylpropyl)aminomethyl,  
N,N-di(2-methylpropyl)aminomethyl,  
10 N,N-di(1,1-dimethylethyl)aminomethyl,  
N-ethyl-N-methylaminomethyl, N-methyl-N-propylaminomethyl,  
N-methyl-N-(1-methylethyl)aminomethyl,  
N-butyl-N-methylaminomethyl,  
N-methyl-N-(1-methylpropyl)aminomethyl,  
15 N-methyl-N-(2-methylpropyl)aminomethyl,  
N-(1,1-dimethylethyl)-N-methylaminomethyl,  
N-ethyl-N-propylaminomethyl,  
N-ethyl-N-(1-methylethyl)aminomethyl,  
N-butyl-N-ethylaminomethyl,  
20 N-ethyl-N-(1-methylpropyl)aminomethyl,  
N-ethyl-N-(2-methylpropyl)aminomethyl, N-ethyl-N-(1,1-di-  
methylethyl)aminomethyl,  
N-(1-methylethyl)-N-propylaminomethyl,  
N-butyl-N-propylaminomethyl,  
25 N-(1-methylpropyl)-N-propylaminomethyl,  
N-(2-methylpropyl)-N-propylaminomethyl,  
N-(1,1-dimethylethyl)-N-propylaminomethyl, N-butyl-N-  
(1-methylethyl)aminomethyl,  
N-(1-methylethyl)-N-(1-methylpropyl)aminomethyl,  
30 N-(1-methylethyl)-N-(2-methylpropyl)aminomethyl,  
N-(1,1-dimethylethyl)-N-(1-methylethyl)aminomethyl,  
N-butyl-N-(1-methylpropyl)aminomethyl,  
N-butyl-N-(2-methylpropyl)aminomethyl, N-butyl-N-  
(1,1-dimethylethyl)aminomethyl,  
35 N-(1-methylpropyl)-N-(2-methylpropyl)aminomethyl,  
N-(1,1-dimethylethyl)-N-(1-methylpropyl)aminomethyl,  
N-(1,1-dimethylethyl)-N-(2-methylpropyl)aminomethyl,  
2-(N,N-dimethylamino)ethyl, 2-(N,N-diethylamino)ethyl,  
2-(N,N-dipropylamino)ethyl,  
40 2-[N,N-di(1-methylethyl)amino]ethyl,  
2-[N,N-dibutylamino]ethyl,  
2-[N,N-di(1-methylpropyl)amino]ethyl,  
2-[N,N-di(2-methylpropyl)amino]ethyl, 2-[N,N-di(1,1-  
dimethylethyl)amino]ethyl, 2-[N-ethyl-N-methylamino]ethyl,  
45 2-[N-methyl-N-propylamino]ethyl,  
2-[N-methyl-N-(1-methylethyl)amino]ethyl,  
2-[N-butyl-N-methylamino]ethyl,

## 15

- 2-[N-methyl-N-(1-methylpropyl)amino]ethyl,  
2-[N-methyl-N-(2-methylpropyl)amino]ethyl,  
2-[N-(1,1-dimethylethyl)-N-methylamino]ethyl,  
2-[N-ethyl-N-propylamino]ethyl,  
5 2-[N-ethyl-N-(1-methylethyl)amino]ethyl,  
2-[N-butyl-N-ethylamino]ethyl,  
2-[N-ethyl-N-(1-methylpropyl)amino]ethyl,  
2-[N-ethyl-N-(2-methylpropyl)amino]ethyl,  
2-[N-ethyl-N-(1,1-dimethylethylamino)]ethyl,  
10 2-[N-(1-methylethyl)-N-propylamino]ethyl,  
2-[N-butyl-N-propylamino]ethyl,  
2-[N-(1-methylpropyl)-N-propylamino]ethyl,  
2-[N-(2-methylpropyl)-N-propylamino]ethyl,  
2-[N-(1,1-dimethylethyl)-N-propylamino]ethyl,  
15 2-[N-butyl-N-(1-methylethyl)amino]ethyl,  
2-[N-(1-methylethyl)-N-(1-methylpropyl)amino]ethyl,  
2-[N-(1-methylethyl)-N-(2-methylpropyl)amino]ethyl,  
2-[N-(1,1-dimethylethyl)-N-(1-methylethyl)amino]ethyl,  
2-[N-butyl-N-(1-methylpropyl)amino]ethyl,  
20 2-[N-butyl-N-(2-methylpropyl)amino]ethyl,  
2-[N-butyl-N-(1,1-dimethylethyl)amino]ethyl,  
2-[N-(1-methylpropyl)-N-(2-methylpropyl)amino]ethyl,  
2-[N-(1,1-dimethylethyl)-N-(1-methylpropyl)amino]ethyl,  
2-[N-(1,1-dimethylethyl)-N-(2-methylpropyl)amino]ethyl,  
25 3-(N,N-dimethylamino)propyl, 3-(N,N-diethylamino)propyl,  
4-(N,N-dimethylamino)butyl und 4-(N,N-diethylamino)butyl;
- C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl: C<sub>1</sub>-C<sub>4</sub>-alkyl which is substituted by  
C<sub>1</sub>-C<sub>4</sub>-alkoxy as mentioned above, for example methoxymethyl,  
30 ethoxymethyl, propoxymethyl, (1-methylethoxy)methyl,  
butoxymethyl, (1-methylpropoxy)methyl,  
(2-methylpropoxy)methyl, (1,1-dimethylethoxy)methyl,  
2-(methoxy)ethyl, 2-(ethoxy)ethyl, 2-(propoxy)ethyl,  
2-(1-methylethoxy)ethyl, 2-(butoxy)ethyl,  
35 2-(1-methylpropoxy)ethyl, 2-(2-methylpropoxy)ethyl,  
2-(1,1-dimethylethoxy)ethyl, 2-(methoxy)-propyl,  
2-(ethoxy)propyl, 2-(propoxy)propyl,  
2-(1-methylethoxy)propyl, 2-(butoxy)propyl,  
2-(1-methylpropoxy)propyl, 2-(2-methylpropoxy)propyl,  
40 2-(1,1-dimethylethoxy)propyl, 3-(methoxy)propyl,  
3-(ethoxy)-propyl, 3-(propoxy)propyl,  
3-(1-methylethoxy)propyl, 3-(butoxy)propyl,  
3-(1-methylpropoxy)propyl, 3-(2-methylpropoxy)propyl,  
3-(1,1-dimethylethoxy)propyl, 2-(methoxy)butyl,  
45 2-(ethoxy)butyl, 2-(propoxy)butyl, 2-(1-methylethoxy)butyl,  
2-(butoxy)butyl, 2-(1-methylpropoxy)butyl,  
2-(2-methylpropoxy)butyl, 2-(1,1-dimethylethoxy)butyl,

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- 3-(methoxy)butyl, 3-(ethoxy)butyl, 3-(propoxy)butyl,  
3-(1-methylethoxy)butyl, 3-(butoxy)butyl,  
3-(1-methylpropoxy)butyl, 3-(2-methylpropoxy)butyl,  
3-(1,1-dimethylethoxy)butyl, 4-(methoxy)butyl,  
5 4-(ethoxy)butyl, 4-(propoxy)butyl, 4-(1-methylethoxy)butyl,  
4-(butoxy)butyl, 4-(1-methylpropoxy)butyl,  
4-(2-methylpropoxy)butyl and 4-(1,1-dimethylethoxy)butyl;
- 10 - C<sub>1</sub>-C<sub>4</sub>-alkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl: C<sub>1</sub>-C<sub>4</sub>-alkyl which is substituted  
by C<sub>1</sub>-C<sub>4</sub>-alkylthio as mentioned above, for example  
methylthiomethyl, ethylthiomethyl, propylthiomethyl,  
(1-methylethylthio)methyl, butylthiomethyl,  
(1-methylpropylthio)methyl, (2-methylpropylthio)methyl,  
(1,1-dimethylethylthio)methyl, 2-methylthioethyl,  
15 2-ethylthioethyl, 2-(propylthio)ethyl,  
2-(1-methylethylthio)ethyl, 2-(butylthio)ethyl,  
2-(1-methylpropylthio)ethyl, 2-(2-methylpropylthio)ethyl,  
2-(1,1-dimethylethylthio)ethyl, 2-(methylthio)propyl,  
3-(methylthio)propyl, 2-(ethylthio)propyl,  
20 3-(ethylthio)propyl, 3-(propylthio)propyl,  
3-(butylthio)propyl, 4-(methylthio)butyl, 4-(ethylthio)butyl,  
4-(propylthio)butyl and 4-(butylthio)butyl;
- 25 - C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl-C<sub>1</sub>-C<sub>4</sub>-alkyl: C<sub>1</sub>-C<sub>4</sub>-alkyl which is  
substituted by C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl as mentioned above, for  
example methoxycarbonylmethyl, ethoxycarbonylmethyl,  
propoxycarbonylmethyl, (1-methylethoxycarbonyl)methyl,  
butoxycarbonylmethyl, (1-methylpropoxycarbonyl)methyl,  
30 (2-methylpropoxycarbonyl)methyl,  
(1,1-dimethylethoxycarbonyl)methyl, 2-(methoxycarbonyl)ethyl,  
2-(ethoxycarbonyl)ethyl, 2-(propoxycarbonyl)ethyl,  
2-(1-methylethoxycarbonyl)ethyl, 2-(butoxycarbonyl)ethyl,  
2-(1-methylpropoxycarbonyl)ethyl,  
2-(2-methylpropoxycarbonyl)ethyl,  
35 2-(1,1-dimethylethoxycarbonyl)ethyl,  
2-(methoxycarbonyl)propyl, 2-(ethoxycarbonyl)propyl,  
2-(propoxycarbonyl)propyl, 2-(1-methylethoxycarbonyl)propyl,  
2-(butoxycarbonyl)propyl, 2-(1-methylpropoxycarbonyl)propyl,  
2-(2-methylpropoxycarbonyl)propyl,  
40 2-(1,1-dimethylethoxycarbonyl)propyl,  
3-(methoxycarbonyl)propyl, 3-(ethoxycarbonyl)propyl,  
3-(propoxycarbonyl)propyl, 3-(1-methylethoxycarbonyl)propyl,  
3-(butoxycarbonyl)propyl, 3-(1-methylpropoxycarbonyl)propyl,  
3-(2-methylpropoxycarbonyl)propyl,  
45 3-(1,1-dimethylethoxycarbonyl)propyl,  
2-(methoxycarbonyl)butyl, 2-(ethoxycarbonyl)butyl,  
2-(propoxycarbonyl)butyl, 2-(1-methylethoxycarbonyl)butyl,

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- 2-(butoxycarbonyl)butyl, 2-(1-methylpropoxycarbonyl)butyl,  
2-(2-methylpropoxycarbonyl)butyl,  
2-(1,1-dimethylethoxycarbonyl)butyl,  
3-(methoxycarbonyl)butyl, 3-(ethoxycarbonyl)butyl,  
5 3-(propoxycarbonyl)butyl, 3-(1-methylethoxycarbonyl)butyl,  
3-(butoxycarbonyl)butyl, 3-(1-methylpropoxycarbonyl)butyl,  
3-(2-methylpropoxycarbonyl)butyl,  
3-(1,1-dimethylethoxycarbonyl)butyl, 4-(methoxycarbonyl)-  
butyl, 4-(ethoxycarbonyl)butyl, 4-(propoxycarbonyl)butyl,  
10 4-(1-methylethoxycarbonyl)butyl, 4-(butoxycarbonyl)butyl,  
4-(1-methylpropoxy)butoxy, 4-(2-methylpropoxy)butoxy und  
4-(1,1-dimethylethoxycarbonyl)butyl;
- 15 - C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkoxy: C<sub>2</sub>-C<sub>4</sub>-alkoxy which is substituted  
by C<sub>1</sub>-C<sub>4</sub>-alkoxy as mentioned above, for example  
2-(methoxy)ethoxy, 2-(ethoxy)ethoxy, 2-(propoxy)ethoxy,  
2-(1-methylethoxy)ethoxy, 2-(butoxy)ethoxy,  
2-(1-methylpropoxy)ethoxy, 2-(2-methylpropoxy)ethoxy,  
2-(1,1-dimethylethoxy)ethoxy, 2-(methoxy)propoxy,  
20 2-(ethoxy)propoxy, 2-(propoxy)propoxy,  
2-(1-methylethoxy)propoxy, 2-(butoxy)propoxy,  
2-(1-methylpropoxy)propoxy, 2-(2-methylpropoxy)propoxy,  
2-(1,1-dimethylethoxy)propoxy, 3-(methoxy)propoxy,  
3-(ethoxy)propoxy, 3-(propoxy)propoxy,  
25 3-(1-methylethoxy)propoxy, 3-(butoxy)propoxy,  
3-(1-methylpropoxy)propoxy, 3-(2-methylpropoxy)propoxy,  
3-(1,1-dimethylethoxy)propoxy, 2-(methoxy)butoxy,  
2-(ethoxy)butoxy, 2-(propoxy)butoxy,  
2-(1-methylethoxy)butoxy, 2-(butoxy)butoxy,  
30 2-(1-methylpropoxy)butoxy, 2-(2-methylpropoxy)butoxy,  
2-(1,1-dimethylethoxy)butoxy, 3-(methoxy)butoxy, 3-(ethoxy)-  
butoxy, 3-(propoxy)butoxy, 3-(1-methylethoxy)butoxy,  
3-(butoxy)butoxy, 3-(1-methylpropoxy)butoxy,  
35 3-(2-methylpropoxy)butoxy, 3-(1,1-dimethylethoxy)butoxy,  
4-(methoxy)butoxy, 4-(ethoxy)butoxy, 4-(propoxy)butoxy,  
4-(1-methylethoxy)butoxy, 4-(butoxy)butoxy,  
4-(1-methylpropoxy)butoxy, 4-(2-methylpropoxy)butoxy and  
4-(1,1-dimethylethoxy)butoxy;
- 40 - C<sub>2</sub>-C<sub>6</sub>-alkanediyl: for example ethane-1,2-diyl,  
propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl and  
hexane-1,6-diyl;
- 45 - C<sub>3</sub>-C<sub>8</sub>-cycloalkyl: for example cyclopropyl, cyclobutyl,  
cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl;

## 18

All phenyl rings are preferably unsubstituted or have attached to them one to three halogen atoms and/or a nitro group, a cyano radical and/or one or two methyl, trifluoromethyl, methoxy or trifluoromethoxy substituents.

5

With a view to the use of the compounds of the formula I according to the invention as herbicides, the variables preferably have the following meanings, in each case alone or in combination:

10

R<sup>1</sup>, R<sup>2</sup> are nitro, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-haloalkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfinyl, 15 C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl or C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfonyl; especially preferably nitro, halogen such as, for example, chlorine and bromine, C<sub>1</sub>-C<sub>6</sub>-alkyl such as, for example, methyl and ethyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy such as, for example, methoxy and ethoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkyl such as, for example, difluoromethyl and trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio such as, for example, methylthio and ethylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl such as, for example, methylsulfinyl and ethylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl such as, for example, methylsulfonyl, ethylsulfonyl and propylsulfonyl or C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfonyl such as, for example, trifluoromethylsulfonyl and pentafluoroethylsulfonyl;

20

25

30 R<sup>3</sup>

is hydrogen;

35

40

45

R<sup>4</sup>, R<sup>5</sup> are hydrogen, halogen, cyano, nitro, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, di(C<sub>1</sub>-C<sub>4</sub>-alkoxy)-C<sub>1</sub>-C<sub>4</sub>-alkyl, di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino-C<sub>1</sub>-C<sub>4</sub>-alkyl, [2,2-di(C<sub>1</sub>-C<sub>4</sub>-alkyl)hydrazino-1]-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkyliminoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-cyanoalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-haloalkylthio, di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, COR<sup>6</sup>, phenyl or benzyl, it being possible for the two last-mentioned substituents to be partially or fully halogenated and/or to have attached to them one to three of the following groups:

19

nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy or C<sub>1</sub>-C<sub>4</sub>-haloalkoxy;

or

5

R<sup>4</sup> and R<sup>5</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain which can be mono- to tetrasubstituted by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl;  
10

R<sup>4</sup> is especially preferably hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl or CONR<sup>7</sup>R<sup>8</sup>;

15

R<sup>5</sup> is especially preferably hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;

or

R<sup>4</sup> and R<sup>5</sup> especially preferably form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain which can be mono- to tetrasubstituted by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl;  
20

R<sup>6</sup>

is C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy or NR<sup>7</sup>R<sup>8</sup>;

25 R<sup>7</sup>

is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;

R<sup>8</sup>

is C<sub>1</sub>-C<sub>4</sub>-alkyl;

30 X

is O, S, NR<sup>9</sup>, CO or CR<sup>10</sup>R<sup>11</sup>;

Y

is O, S, NR<sup>12</sup> or CR<sup>13</sup>R<sup>14</sup>;

35

R<sup>9</sup>, R<sup>12</sup> are hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;

R<sup>10</sup>, R<sup>11</sup>, R<sup>13</sup>, R<sup>14</sup> are hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>4</sub>-haloalkoxycarbonyl or CONR<sup>7</sup>R<sup>8</sup>;

40

or

45

R<sup>4</sup> and R<sup>9</sup> or R<sup>4</sup> and R<sup>10</sup> or R<sup>5</sup> and R<sup>12</sup> or R<sup>5</sup> and R<sup>13</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain which can be mono- to tetrasubstituted by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be

## 20

interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl;

- 5            R<sup>16</sup>        is C<sub>1</sub>-C<sub>6</sub>-alkyl;  
              especially preferably methyl, ethyl, propyl,  
              2-methylpropyl or butyl;
- 10          Z            is H or SO<sub>2</sub>R<sup>17</sup>;
- 15          R<sup>17</sup>        is C<sub>1</sub>-C<sub>4</sub>-alkyl, phenyl or phenyl which is partially or fully halogenated and/or has attached to it one to three of the following groups:  
              nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy or C<sub>1</sub>-C<sub>4</sub>-haloalkoxy;
- 20          R<sup>18</sup>        is hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl;  
              especially preferably hydrogen or methyl.
- 25          The following embodiments of the 3-heterocyclyl-substituted benzoyl derivatives of the formula I must be emphasized:
1. In a preferred embodiment of the 3-heterocyclyl-substituted benzoyl derivatives of the formula I, Z is SO<sub>2</sub>R<sup>17</sup>.
  - 30          - Especially preferred are the 3-heterocyclyl-substituted benzoyl derivatives of the formula I, where R<sup>18</sup> is hydrogen.
  - 35          - Also especially preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I, where R<sup>18</sup> is methyl.
  - 40 2. In a further preferred embodiment of the 3-heterocyclyl-substituted benzoyl derivatives of the formula I, Z is hydrogen.
    - Especially preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where X is oxygen and Y is CR<sup>13</sup>R<sup>14</sup>.

## 21

\* Particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

5            R<sup>4</sup> is halogen, nitro, C<sub>1</sub>-C<sub>4</sub>-alkyl,  
              C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl,  
              C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl-C<sub>1</sub>-C<sub>4</sub>-alkyl,  
              C<sub>1</sub>-C<sub>4</sub>-alkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl,  
              C<sub>1</sub>-C<sub>4</sub>-cyanoalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy,  
              C<sub>1</sub>-C<sub>4</sub>-Alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy,  
10            C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-haloalkylthio,  
              di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, COR<sup>6</sup>, phenyl or benzyl, it  
              being possible for the two last-mentioned  
              substituents to be partially or fully  
              halogenated and/or to have attached to them one  
15            to three of the following groups:  
              nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl,  
              C<sub>1</sub>-C<sub>4</sub>-alkoxy or C<sub>1</sub>-C<sub>4</sub>-haloalkoxy;

20            R<sup>5</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;

or

25            R<sup>4</sup> and R<sup>5</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain  
              which can be mono- to tetrasubstituted by  
              C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be interrupted by  
              oxygen or by a nitrogen which is unsubstituted  
              or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl;

30            or

35            R<sup>5</sup> and R<sup>13</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain  
              which can be mono- to tetrasubstituted by  
              C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be interrupted by  
              oxygen or by a nitrogen which is unsubstituted  
              or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl.

40            • Extraordinarily preferred are 3-heterocyclyl-  
              substituted benzoyl derivatives of the formula I  
              where

45            R<sup>4</sup> is C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl,  
              C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl or CONR<sup>7</sup>R<sup>8</sup>;

R<sup>5</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;

22

or

5           R<sup>4</sup> and R<sup>5</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain  
which can be mono- to tetrasubstituted by  
C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be interrupted by  
oxygen or by a nitrogen which is unsubstituted  
or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl;

10          or

15          R<sup>5</sup> and R<sup>13</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain  
which can be mono- to tetrasubstituted by  
C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be interrupted by  
oxygen or by a nitrogen which is unsubstituted  
or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl.

20          Most extraordinarily preferred are  
3-heterocyclyl-substituted benzoyl derivatives of the  
formula I where R<sup>18</sup> is hydrogen.

25          \* Also particularly preferred are  
3-heterocyclyl-substituted benzoyl derivatives of the  
formula I where R<sup>4</sup> and R<sup>5</sup> are hydrogen.

30          ● Extraordinarily preferred are  
3-heterocyclyl-substituted benzoyl derivatives  
of the formula I where R<sup>18</sup> is hydrogen.

35          Most extraordinarily preferred are  
3-heterocyclyl-substituted benzoyl derivatives  
of the formula I where

40          R<sup>1</sup> is nitro, C<sub>1</sub>-C<sub>6</sub>-alkyl such as, for example,  
methyl and ethyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy such as, for  
example, methoxy and ethoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkyl  
such as, for example, difluoromethyl and  
trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl such  
as, for example, methylsulfonyl and  
ethylsulfonyl, or C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfonyl  
such as, for example,  
trifluoromethylsulfonyl and  
pentafluoroethylsulfonyl;

45

## 23

Also most extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

5 R<sup>2</sup> is nitro, halogen such as, for example, chlorine and bromine, C<sub>1</sub>-C<sub>6</sub>-alkyl such as, for example, methyl and ethyl,

10 C<sub>1</sub>-C<sub>6</sub>-haloalkyl such as, for example, difluoromethyl and trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio such as, for example, methylthio and ethylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl such as, for example, methylsulfinyl and ethylsulfinyl,

15 C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl such as, for example, methylsulfonyl, ethylsulfonyl and propylsulfonyl, or C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfonyl such as, for example, trifluoromethylsulfonyl and pentafluoroethylsulfonyl.

20  
25 Also especially extraordinarily preferred is 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-methyl-5-hydroxy-1H-pyrazole.

- Also extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where R<sup>18</sup> is methyl.

30  
35 Especially extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

40 R<sup>1</sup> is nitro, C<sub>1</sub>-C<sub>6</sub>-alkyl such as, for example, methyl and ethyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy such as, for example, methoxy and ethoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkyl such as, for example, difluoromethyl and trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl such as, for example, methylsulfonyl and ethylsulfonyl, or C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfonyl, for example trifluoromethylsulfonyl and pentafluoroethylsulfonyl.

## 24

Also especially extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

5           R<sup>2</sup> is nitro, halogen such as, for example, chlorine and bromine, C<sub>1</sub>-C<sub>6</sub>-alkyl such as, for example, methyl and ethyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl such as, for example, difluoromethyl and trifluoromethyl,

10           C<sub>1</sub>-C<sub>6</sub>-alkylthio such as, for example, methylthio and ethylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl such as, for example, methylsulfinyl and ethylsulfinyl,

15           C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl such as, for example, methysulfonyl, ethylsulfonyl and propylsulfonyl, or C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfonyl such as, for example, trifluoromethylsulfonyl and pentafluoroethylsulfonyl.

20           - Also especially preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

25           X is S, NR<sup>9</sup>, CO or CR<sup>10</sup>R<sup>11</sup>;

or

30           Y is O, S, NR<sup>12</sup> or CO.

- 35           \* Particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where R<sup>18</sup> is hydrogen.
- 40           \* Also particularly preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where R<sup>18</sup> is C<sub>1</sub>-C<sub>6</sub>-alkyl.
- 45           ● Extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

R<sup>4</sup> is halogen, cyano, nitro, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl,

## 25

C<sub>1</sub>-C<sub>4</sub>-cyanoalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl,  
C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkoxy,  
C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio,  
C<sub>1</sub>-C<sub>4</sub>-haloalkylthio, di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino,  
COR<sup>6</sup>, phenyl or benzyl, it being possible  
for the two last-mentioned substituents to  
be partially or fully halogenated and/or to  
have attached to them one to three of the  
following groups:

5

nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl,  
C<sub>1</sub>-C<sub>4</sub>-alkoxy or C<sub>1</sub>-C<sub>4</sub>-haloalkoxy;

10

R<sup>5</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;

15

or

20

R<sup>4</sup> and R<sup>5</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl  
chain which can be mono- to tetrasubstituted  
by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be  
interrupted by oxygen or by a nitrogen which  
is unsubstituted or substituted by  
C<sub>1</sub>-C<sub>4</sub>-alkyl;

25

or

30

R<sup>4</sup> and R<sup>9</sup> or R<sup>4</sup> and R<sup>10</sup> or R<sup>5</sup> and R<sup>12</sup> or R<sup>5</sup> and  
R<sup>13</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain  
which can be mono- to tetrasubstituted by  
C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be interrupted  
by oxygen or by a nitrogen which is  
unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl.

35

\* Also particularly preferred are 3-heterocyclyl-  
substituted benzoyl derivatives of the formula I  
where

X is S, NR<sup>9</sup> or CO

40

or

Y is O, NR<sup>12</sup> or CO.

45

## 26

- Extraordinarily preferred are 3-heterocyclyl-substituted benzoyl derivatives of the formula I where

5           R<sup>4</sup> is halogen, cyano, nitro, C<sub>1</sub>-C<sub>4</sub>-alkyl,  
          C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl,  
          C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl-C<sub>1</sub>-C<sub>4</sub>-alkyl,  
          C<sub>1</sub>-C<sub>4</sub>-alkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl,  
          C<sub>1</sub>-C<sub>4</sub>-cyanoalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl,  
10          C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkoxy,  
          C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio,  
          C<sub>1</sub>-C<sub>4</sub>-haloalkylthio, di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino,  
          COR<sup>6</sup>, phenyl or benzyl, it being possible  
          for the two last-mentioned substituents to  
15          be partially or fully halogenated and/or to  
          have attached to them one to three of the  
          following groups:  
          nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-Alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl,  
          C<sub>1</sub>-C<sub>4</sub>-alkoxy or C<sub>1</sub>-C<sub>4</sub>-haloalkoxy;

20          R<sup>5</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;  
          or

25          R<sup>4</sup> and R<sup>5</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl  
          chain which can be mono- to tetrasubstituted  
          by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be  
          interrupted by oxygen or by a nitrogen which  
          is unsubstituted or substituted by  
30          C<sub>1</sub>-C<sub>4</sub>-alkyl;

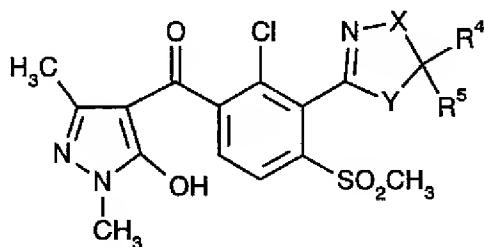
          or

35          R<sup>4</sup> and R<sup>9</sup> or R<sup>4</sup> and R<sup>10</sup> or R<sup>5</sup> and R<sup>12</sup> or R<sup>5</sup> and  
          R<sup>13</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain  
          which can be mono- to tetrasubstituted by  
          C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be interrupted  
          by oxygen or by a nitrogen which is  
40          unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl.

Particularly extraordinarily preferred are the compounds Ia1 ( $\triangleq$  I where  $R^1 = Cl$ ,  $R^2 = SO_2CH_3$ ,  $R^3 = H$ ,  $R^{16} = CH_3$ ,  $Z=H$ ), in particular the compounds of Table 1.

5

10



Ia1

15 Table 1

No.	X	$R^4$	$R^5$	Y
Ia1.1	$CH_2$	H	$CH_3$	O
Ia1.2	$CH_2$	H	H	O
Ia1.3	$C(CH_3)_2$	H	H	O
Ia1.4	$CH_2$	H	$C_2H_5$	O
Ia1.5	$CH_2$	$CH_3$	$CH_3$	O
Ia1.6	$CH(CH_3)$	H	$CH_3$	O
Ia1.7	$CH(C_2H_5)$	H	$CH_3$	O
Ia1.8	$CH[CH(CH_3)_2]$	H	H	O
Ia1.9	$CH_2$	H	$CH(CH_3)_2$	O
Ia1.10	$CH(C_2H_5)$	H	$C_2H_5$	O
Ia1.11	$-CH-(CH_2)_4-$		H	O
Ia1.12	C=O	$CH_3$	$CH_3$	O
Ia1.13	C=O	H	$C_2H_5$	O
Ia1.14	C=O	$C_2H_5$	$C_2H_5$	O
Ia1.15	C=O	H	H	O
Ia1.16	C=O	H	$CH_3$	O
Ia1.17	$CH_2$	H	$CH_3$	S
Ia1.18	$C(CH_3)_2$	H	H	S
Ia1.19	$CH_2$	H	$C_2H_5$	S
Ia1.20	$CH_2$	$CH_3$	$CH_3$	S
Ia1.21	$CH(CH_3)$	H	$CH_3$	S
Ia1.22	$CH(C_2H_5)$	H	$CH_3$	S
Ia1.23	$CH(C_2H_5)$	H	$C_2H_5$	S
Ia1.24	$-CH-(CH_2)_4-$		H	S
Ia1.25	$CH[CH(CH_3)_2]$	H	H	S
Ia1.26	$CH_2$	H	$CH(CH_3)_2$	S
Ia1.27	$CH_2$	H	$CH_3$	NH
Ia1.28	$CH_2$	H	H	NH
Ia1.29	$C(CH_3)_2$	H	H	NH
Ia1.30	$CH_2$	H	$C_2H_5$	NH
Ia1.31	$CH_2$	$CH_3$	$CH_3$	NH

No.	X	R <sup>4</sup>	R <sup>5</sup>	Y
5	Ia1.32 CH(CH <sub>3</sub> )	H	CH <sub>3</sub>	NH
	Ia1.33 CH(C <sub>2</sub> H <sub>5</sub> )	H	CH <sub>3</sub>	NH
	Ia1.34 CH(C <sub>2</sub> H <sub>5</sub> )	H	C <sub>2</sub> H <sub>5</sub>	NH
	Ia1.35 -CH-(CH <sub>2</sub> ) <sub>4</sub> -		H	NH
	Ia1.36 CH[CH(CH <sub>3</sub> ) <sub>2</sub> ]	H	H	NH
	Ia1.37 CH <sub>2</sub>	H	CH(CH <sub>3</sub> ) <sub>2</sub>	NH
	Ia1.38 CH <sub>2</sub>	H	CH <sub>3</sub>	NCH <sub>3</sub>
10	Ia1.39 CH <sub>2</sub>	H	H	NCH <sub>3</sub>
	Ia1.40 C(CH <sub>3</sub> ) <sub>2</sub>	H	H	NCH <sub>3</sub>
	Ia1.41 CH <sub>2</sub>	H	C <sub>2</sub> H <sub>5</sub>	NCH <sub>3</sub>
	Ia1.42 CH <sub>2</sub>	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>3</sub>
	Ia1.43 CH(CH <sub>3</sub> )	H	CH <sub>3</sub>	NCH <sub>3</sub>
	Ia1.44 CH(C <sub>2</sub> H <sub>5</sub> )	H	CH <sub>3</sub>	NCH <sub>3</sub>
	Ia1.45 CH[CH(CH <sub>3</sub> ) <sub>2</sub> ]	H	H	NCH <sub>3</sub>
15	Ia1.46 CH <sub>2</sub>	H	CH(CH <sub>3</sub> ) <sub>2</sub>	NCH <sub>3</sub>
	Ia1.47 CH(C <sub>2</sub> H <sub>5</sub> )	H	C <sub>2</sub> H <sub>5</sub>	NCH <sub>3</sub>
	Ia1.48 -CH-(CH <sub>2</sub> ) <sub>4</sub> -		H	NCH <sub>3</sub>
	Ia1.49 CH <sub>2</sub>	H	CH <sub>3</sub>	NC <sub>2</sub> H <sub>5</sub>
	Ia1.50 CH <sub>2</sub>	H	H	NC <sub>2</sub> H <sub>5</sub>
	Ia1.51 C(CH <sub>3</sub> ) <sub>2</sub>	H	H	NC <sub>2</sub> H <sub>5</sub>
	Ia1.52 CH <sub>2</sub>	H	C <sub>2</sub> H <sub>5</sub>	NC <sub>2</sub> H <sub>5</sub>
20	Ia1.53 CH <sub>2</sub>	CH <sub>3</sub>	CH <sub>3</sub>	NC <sub>2</sub> H <sub>5</sub>
	Ia1.54 CH(CH <sub>3</sub> )	H	CH <sub>3</sub>	NC <sub>2</sub> H <sub>5</sub>
	Ia1.55 CH(C <sub>2</sub> H <sub>5</sub> )	H	CH <sub>3</sub>	NC <sub>2</sub> H <sub>5</sub>
	Ia1.56 CH[CH(CH <sub>3</sub> ) <sub>2</sub> ]	H	H	NC <sub>2</sub> H <sub>5</sub>
	Ia1.57 CH <sub>2</sub>	H	CH(CH <sub>3</sub> ) <sub>2</sub>	NC <sub>2</sub> H <sub>5</sub>
	Ia1.58 CH(C <sub>2</sub> H <sub>5</sub> )	H	C <sub>2</sub> H <sub>5</sub>	NC <sub>2</sub> H <sub>5</sub>
	Ia1.59 -CH-(CH <sub>2</sub> ) <sub>4</sub> -		H	NC <sub>2</sub> H <sub>5</sub>
25	Ia1.60 CH <sub>2</sub>	=O		S
	Ia1.61 CH(CH <sub>3</sub> )	=O		S
	Ia1.62 CH(C <sub>2</sub> H <sub>5</sub> )	=O		S
	Ia1.63 CH[CH(CH <sub>3</sub> ) <sub>2</sub> ]	=O		S
	Ia1.64 C(CH <sub>3</sub> ) <sub>2</sub>	=O		S
	Ia1.65 CCH <sub>3</sub> (C <sub>2</sub> H <sub>5</sub> )	=O		S
	Ia1.66 CCH <sub>3</sub> [CH(CH <sub>3</sub> ) <sub>2</sub> ]	=O		S
30	Ia1.67 CH <sub>2</sub>	=O		NH
	Ia1.68 CH(CH <sub>3</sub> )	=O		NH
	Ia1.69 CH(C <sub>2</sub> H <sub>5</sub> )	=O		NH
	Ia1.70 CH[CH(CH <sub>3</sub> ) <sub>2</sub> ]	=O		NH
	Ia1.71 C(CH <sub>3</sub> ) <sub>2</sub>	=O		NH
	Ia1.72 CCH <sub>3</sub> (C <sub>2</sub> H <sub>5</sub> )	=O		NH
	Ia1.73 CCH <sub>3</sub> [CH(CH <sub>3</sub> ) <sub>2</sub> ]	=O		NH
35	Ia1.74 CH <sub>2</sub>	=O		NCH <sub>3</sub>
	Ia1.75 CH(CH <sub>3</sub> )	=O		NCH <sub>3</sub>
	Ia1.76 CH(C <sub>2</sub> H <sub>5</sub> )	=O		NCH <sub>3</sub>
	Ia1.77 CH[CH(CH <sub>3</sub> ) <sub>2</sub> ]	=O		NCH <sub>3</sub>

No.	X	R <sup>4</sup>	R <sup>5</sup>	Y
la1.78	C(CH <sub>3</sub> ) <sub>2</sub>	=O		NCH <sub>3</sub>
la1.79	CCH <sub>3</sub> (C <sub>2</sub> H <sub>5</sub> )	=O		NCH <sub>3</sub>
5 la1.80	CCH <sub>3</sub> [CH(CH <sub>3</sub> ) <sub>2</sub> ]	=O		NCH <sub>3</sub>
la1.81	O	COOCH <sub>3</sub>	H	CH <sub>2</sub>
la1.82	O	COOC <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub>
la1.83	O	CONHCH <sub>3</sub>	H	CH <sub>2</sub>
10 la1.84	O	CON(CH <sub>3</sub> ) <sub>2</sub>	H	CH <sub>2</sub>
la1.85	O	CONHC <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub>
la1.86	O	CON(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	H	CH <sub>2</sub>
la1.87	O	CH <sub>3</sub>	H	CH <sub>2</sub>
la1.88	O	C <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub>
la1.89	O	CH(CH <sub>3</sub> ) <sub>2</sub>	H	CH <sub>2</sub>
15 la1.90	O	COC <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub>
la1.91	O	CH <sub>2</sub> CN	H	CH <sub>2</sub>
la1.92	O	CH <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>	H	CH <sub>2</sub>
la1.93	O	CH <sub>2</sub> ON=C(CH <sub>3</sub> ) <sub>2</sub>	H	CH <sub>2</sub>
la1.94	O	CH(OC <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	H	CH <sub>2</sub>
20 la1.95	O	CH(OCH <sub>3</sub> ) <sub>2</sub>	H	CH <sub>2</sub>
la1.96	O	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>
la1.97	O	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	CH <sub>2</sub>
la1.98	O	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub>	CH <sub>2</sub>
la1.99	O	-(CH <sub>2</sub> ) <sub>4</sub> -		CH <sub>2</sub>
25 la1.100	O	-(CH <sub>2</sub> ) <sub>2</sub> -O-(CH <sub>2</sub> ) <sub>2</sub> -		CH <sub>2</sub>
la1.101	O	H	-(CH <sub>2</sub> ) <sub>3</sub> -CH-	
la1.102	O	H	-(CH <sub>2</sub> ) <sub>4</sub> -CH-	
la1.103	O	CH <sub>3</sub>	H	CHCH <sub>3</sub>
la1.104	S	=O		O
30 la1.105	CH <sub>2</sub>	=S		S
la1.106	CH(CH <sub>3</sub> )	=S		S
la1.107	CH(C <sub>2</sub> H <sub>5</sub> )	=S		S
la1.108	C(CH <sub>3</sub> ) <sub>2</sub>	=S		S
la1.109	O	=O		NH
la1.110	O	=O		NCH <sub>3</sub>
35 la1.111	O	CH <sub>3</sub>	H	NH
la1.112	O	C <sub>2</sub> H <sub>5</sub>	H	NH
la1.113	O	CH <sub>3</sub>	CH <sub>3</sub>	NH
la1.114	O	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub>	NH
la1.115	O	CH <sub>3</sub>	H	NCH <sub>3</sub>
40 la1.116	O	C <sub>2</sub> H <sub>5</sub>	H	NCH <sub>3</sub>
la1.117	O	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>3</sub>
la1.118	O	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub>	NCH <sub>3</sub>
la1.119	NH	=O		NH
la1.120	NH	=O		NCH <sub>3</sub>
45 la1.121	NCH <sub>3</sub>	=O		NH
la1.122	NCH <sub>3</sub>	=O		NCH <sub>3</sub>

30

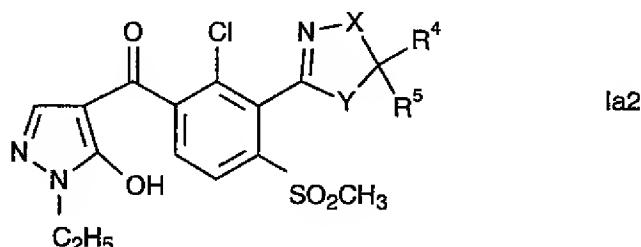
No.	X	R <sup>4</sup>	R <sup>5</sup>	Y
Ia1.123	NC <sub>2</sub> H <sub>5</sub>		=O	NH
Ia1.124	NC <sub>2</sub> H <sub>5</sub>		=O	NC <sub>2</sub> H <sub>5</sub>

5

In addition, the following benzoyl derivatives of the formula I are particularly extraordinarily preferred:

- 10 - The compounds Ia2.1-Ia2.124, which differ from the corresponding compounds Ia1.1-Ia1.124 by the fact that R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.

15

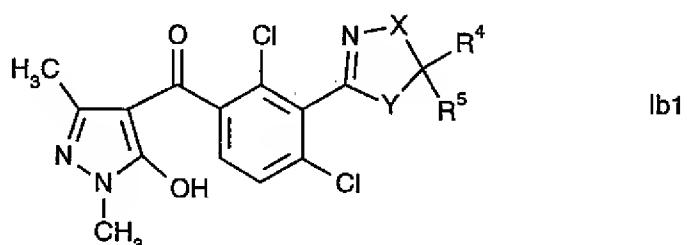


20

Also particularly extraordinarily preferred are the compounds Ib1 ( $\cong$  I where R<sup>1</sup>, R<sup>2</sup> = Cl, R<sup>3</sup> = H, R<sup>16</sup>, R<sup>18</sup> = CH<sub>3</sub>, Z = H) in particular the compounds of Table 2

25

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35 Table 2

No.	X	R <sup>4</sup>	R <sup>5</sup>	Y
Ib1.1	CH <sub>2</sub>	H	CH <sub>3</sub>	O
Ib1.2	CH <sub>2</sub>	H	H	O
Ib1.3	C(CH <sub>3</sub> ) <sub>2</sub>	H	H	O
Ib1.4	CH <sub>2</sub>	H	C <sub>2</sub> H <sub>5</sub>	O
Ib1.5	CH <sub>2</sub>	CH <sub>3</sub>	CH <sub>3</sub>	O
Ib1.6	CH(CH <sub>3</sub> )	H	CH <sub>3</sub>	O
Ib1.7	CH(C <sub>2</sub> H <sub>5</sub> )	H	CH <sub>3</sub>	O
Ib1.8	CH[CH(CH <sub>3</sub> ) <sub>2</sub> ]	H	H	O
Ib1.9	CH <sub>2</sub>	H	CH(CH <sub>3</sub> ) <sub>2</sub>	O
Ib1.10	CH(C <sub>2</sub> H <sub>5</sub> )	H	C <sub>2</sub> H <sub>5</sub>	O

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No.	X	R <sup>4</sup>	R <sup>5</sup>	Y
Ib1.11	-CH-(CH <sub>2</sub> ) <sub>4</sub> -		H	O
Ib1.12	C=O	CH <sub>3</sub>	CH <sub>3</sub>	O
Ib1.13	C=O	H	C <sub>2</sub> H <sub>5</sub>	O
Ib1.14	C=O	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub>	O
Ib1.15	C=O	H	H	O
Ib1.16	C=O	H	CH <sub>3</sub>	O
Ib1.17	CH <sub>2</sub>	H	CH <sub>3</sub>	S
Ib1.18	CH <sub>2</sub>	H	H	S
Ib1.19	C(CH <sub>3</sub> ) <sub>2</sub>	H	H	S
Ib1.20	CH <sub>2</sub>	H	C <sub>2</sub> H <sub>5</sub>	S
Ib1.21	CH <sub>2</sub>	CH <sub>3</sub>	CH <sub>3</sub>	S
Ib1.22	CH(CH <sub>3</sub> )	H	CH <sub>3</sub>	S
Ib1.23	CH(C <sub>2</sub> H <sub>5</sub> )	H	CH <sub>3</sub>	S
Ib1.24	CH(C <sub>2</sub> H <sub>5</sub> )	H	C <sub>2</sub> H <sub>5</sub>	S
Ib1.25	-CH-(CH <sub>2</sub> ) <sub>4</sub> -		H	S
Ib1.26	CH[CH(CH <sub>3</sub> ) <sub>2</sub> ]	H	H	S
Ib1.27	CH <sub>2</sub>	H	CH(CH <sub>3</sub> ) <sub>2</sub>	S
Ib1.28	CH <sub>2</sub>	H	CH <sub>3</sub>	NH
Ib1.29	CH <sub>2</sub>	H	H	NH
Ib1.30	C(CH <sub>3</sub> ) <sub>2</sub>	H	H	NH
Ib1.31	CH <sub>2</sub>	H	C <sub>2</sub> H <sub>5</sub>	NH
Ib1.32	CH <sub>2</sub>	CH <sub>3</sub>	CH <sub>3</sub>	NH
Ib1.33	CH(CH <sub>3</sub> )	H	CH <sub>3</sub>	NH
Ib1.34	CH(C <sub>2</sub> H <sub>5</sub> )	H	CH <sub>3</sub>	NH
Ib1.35	CH(C <sub>2</sub> H <sub>5</sub> )	H	C <sub>2</sub> H <sub>5</sub>	NH
Ib1.36	-CH-(CH <sub>2</sub> ) <sub>4</sub> -		H	NH
Ib1.37	CH[CH(CH <sub>3</sub> ) <sub>2</sub> ]	H	H	NH
Ib1.38	CH <sub>2</sub>	H	CH(CH <sub>3</sub> ) <sub>2</sub>	NH
Ib1.39	CH <sub>2</sub>	H	CH <sub>3</sub>	NCH <sub>3</sub>
Ib1.40	CH <sub>2</sub>	H	H	NCH <sub>3</sub>
Ib1.41	C(CH <sub>3</sub> ) <sub>2</sub>	H	H	NCH <sub>3</sub>
Ib1.42	CH <sub>2</sub>	H	C <sub>2</sub> H <sub>5</sub>	NCH <sub>3</sub>
Ib1.43	CH <sub>2</sub>	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>3</sub>
Ib1.44	CH(CH <sub>3</sub> )	H	CH <sub>3</sub>	NCH <sub>3</sub>
Ib1.45	CH(C <sub>2</sub> H <sub>5</sub> )	H	CH <sub>3</sub>	NCH <sub>3</sub>
Ib1.46	CH[CH(CH <sub>3</sub> ) <sub>2</sub> ]	H	H	NCH <sub>3</sub>
Ib1.47	CH <sub>2</sub>	H	CH(CH <sub>3</sub> ) <sub>2</sub>	NCH <sub>3</sub>
Ib1.48	CH(C <sub>2</sub> H <sub>5</sub> )	H	C <sub>2</sub> H <sub>5</sub>	NCH <sub>3</sub>
Ib1.49	-CH-(CH <sub>2</sub> ) <sub>4</sub> -		H	NCH <sub>3</sub>
Ib1.50	CH <sub>2</sub>	H	CH <sub>3</sub>	NC <sub>2</sub> H <sub>5</sub>
Ib1.51	CH <sub>2</sub>	H	H	NC <sub>2</sub> H <sub>5</sub>
Ib1.52	C(CH <sub>3</sub> ) <sub>2</sub>	H	H	NC <sub>2</sub> H <sub>5</sub>
Ib1.53	CH <sub>2</sub>	H	C <sub>2</sub> H <sub>5</sub>	NC <sub>2</sub> H <sub>5</sub>
Ib1.54	CH(CH <sub>3</sub> )	H	CH <sub>3</sub>	NC <sub>2</sub> H <sub>5</sub>
Ib1.55	CH(C <sub>2</sub> H <sub>5</sub> )	H	CH <sub>3</sub>	NC <sub>2</sub> H <sub>5</sub>
Ib1.56	CH(C <sub>2</sub> H <sub>5</sub> )	H	CH <sub>3</sub>	NC <sub>2</sub> H <sub>5</sub>

No.	X	R <sup>4</sup>	R <sup>5</sup>	Y
Ib1.57	CH[CH(CH <sub>3</sub> ) <sub>2</sub> ]	H	H	NC <sub>2</sub> H <sub>5</sub>
Ib1.58	CH <sub>2</sub>	H	CH(CH <sub>3</sub> ) <sub>2</sub>	NC <sub>2</sub> H <sub>5</sub>
Ib1.59	CH(C <sub>2</sub> H <sub>5</sub> )	H	C <sub>2</sub> H <sub>5</sub>	NC <sub>2</sub> H <sub>5</sub>
Ib1.60	-CH-(CH <sub>2</sub> ) <sub>4</sub> -		H	NC <sub>2</sub> H <sub>5</sub>
Ib1.61	CH <sub>2</sub>	=O		S
Ib1.62	CH(CH <sub>3</sub> )	=O		S
Ib1.63	CH(C <sub>2</sub> H <sub>5</sub> )	=O		S
Ib1.64	CH[CH(CH <sub>3</sub> ) <sub>2</sub> ]	=O		S
Ib1.65	C(CH <sub>3</sub> ) <sub>2</sub>	=O		S
Ib1.66	CCH <sub>3</sub> (C <sub>2</sub> H <sub>5</sub> )	=O		S
Ib1.67	CCH <sub>3</sub> [CH(CH <sub>3</sub> ) <sub>2</sub> ]	=O		S
Ib1.68	CH <sub>2</sub>	=O		NH
Ib1.69	CH(CH <sub>3</sub> )	=O		NH
Ib1.70	CH(C <sub>2</sub> H <sub>5</sub> )	=O		NH
Ib1.71	CH[CH(CH <sub>3</sub> ) <sub>2</sub> ]	=O		NH
Ib1.72	C(CH <sub>3</sub> ) <sub>2</sub>	=O		NH
Ib1.73	CCH <sub>3</sub> (C <sub>2</sub> H <sub>5</sub> )	=O		NH
Ib1.74	CCH <sub>3</sub> [CH(CH <sub>3</sub> ) <sub>2</sub> ]	=O		NH
Ib1.75	CH <sub>2</sub>	=O		NCH <sub>3</sub>
Ib1.76	CH(CH <sub>3</sub> )	=O		NCH <sub>3</sub>
Ib1.77	CH(C <sub>2</sub> H <sub>5</sub> )	=O		NCH <sub>3</sub>
Ib1.78	CH[CH(CH <sub>3</sub> ) <sub>2</sub> ]	=O		NCH <sub>3</sub>
Ib1.79	C(CH <sub>3</sub> ) <sub>2</sub>	=O		NCH <sub>3</sub>
Ib1.80	CCH <sub>3</sub> (C <sub>2</sub> H <sub>5</sub> )	=O		NCH <sub>3</sub>
Ib1.81	CCH <sub>3</sub> [CH(CH <sub>3</sub> ) <sub>2</sub> ]	=O		NCH <sub>3</sub>
Ib1.82	O	COOCH <sub>3</sub>	H	CH <sub>2</sub>
Ib1.83	O	COOC <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub>
Ib1.84	O	CONHCH <sub>3</sub>	H	CH <sub>2</sub>
Ib1.85	O	CON(CH <sub>3</sub> ) <sub>2</sub>	H	CH <sub>2</sub>
Ib1.86	O	CONHC <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub>
Ib1.87	O	CON(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	H	CH <sub>2</sub>
Ib1.88	O	CH <sub>3</sub>	H	CH <sub>2</sub>
Ib1.89	O	C <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub>
Ib1.90	O	CH(CH <sub>3</sub> ) <sub>2</sub>	H	CH <sub>2</sub>
Ib1.91	O	COC <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub>
Ib1.92	O	CH <sub>2</sub> CN	H	CH <sub>2</sub>
Ib1.93	O	CH <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>	H	CH <sub>2</sub>
Ib1.94	O	CH <sub>2</sub> ON=C(CH <sub>3</sub> ) <sub>2</sub>	H	CH <sub>2</sub>
Ib1.95	O	CH(OC <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>	H	CH <sub>2</sub>
Ib1.96	O	CH(OCH <sub>3</sub> ) <sub>2</sub>	H	CH <sub>2</sub>
Ib1.97	O	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>
Ib1.98	O	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	CH <sub>2</sub>
Ib1.99	O	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub>	CH <sub>2</sub>
Ib1.100	O	-(CH <sub>2</sub> ) <sub>4</sub> -		CH <sub>2</sub>
Ib1.101	O	-(CH <sub>2</sub> ) <sub>2</sub> -O-(CH <sub>2</sub> ) <sub>2</sub> -		CH <sub>2</sub>
Ib1.102	O	H	-(CH <sub>2</sub> ) <sub>3</sub> -CH-	

33

No.	X	R <sup>4</sup>	R <sup>5</sup>	Y
Ib1.103	O	H	-(CH <sub>2</sub> ) <sub>4</sub> -CH-	
Ib1.104	O	CH <sub>3</sub>	H	CHCH <sub>3</sub>
5 Ib1.105	O	H	H	CH <sub>2</sub>
Ib1.106	S		=O	O
Ib1.107	CH <sub>2</sub>		=S	S
Ib1.108	CH(CH <sub>3</sub> )		=S	S
Ib1.109	CH(C <sub>2</sub> H <sub>5</sub> )		=S	S
10 Ib1.110	C(CH <sub>3</sub> ) <sub>2</sub>		=S	S
Ib1.111	O		=O	NH
Ib1.112	O		=O	NCH <sub>3</sub>
Ib1.113	O	CH <sub>3</sub>	H	NH
Ib1.114	O	C <sub>2</sub> H <sub>5</sub>	H	NH
15 Ib1.115	O	CH <sub>3</sub>	CH <sub>3</sub>	NH
Ib1.116	O	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub>	NH
Ib1.117	O	CH <sub>3</sub>	H	NCH <sub>3</sub>
Ib1.118	O	C <sub>2</sub> H <sub>5</sub>	H	NCH <sub>3</sub>
Ib1.119	O	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>3</sub>
Ib1.120	O	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub>	NCH <sub>3</sub>
20 Ib1.121	NH		=O	NH
Ib1.122	NH		=O	NCH <sub>3</sub>
Ib1.123	NCH <sub>3</sub>		=O	NH
Ib1.124	NCH <sub>3</sub>		=O	NCH <sub>3</sub>
Ib1.125	NC <sub>2</sub> H <sub>5</sub>		=O	NH
25 Ib1.126	NC <sub>2</sub> H <sub>5</sub>		=O	NC <sub>2</sub> H <sub>5</sub>

In addition, the following 3-heterocyclyl-substituted benzoyl derivatives of the formula I are particularly extraordinarily preferred:

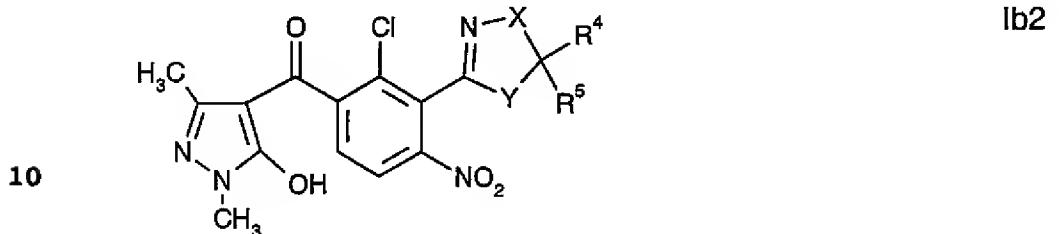
35

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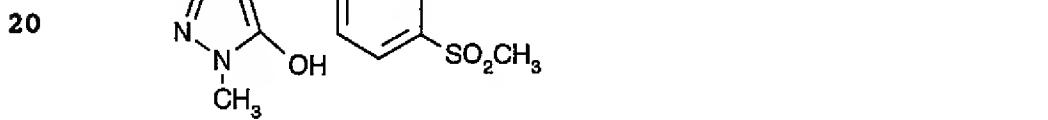
- The compounds Ib2.1-Ib2.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro.

5



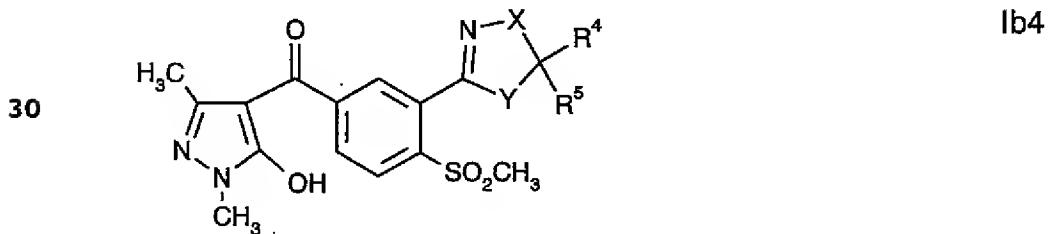
- The compounds Ib3.1-Ib3.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl and R<sup>2</sup> is methylsulfonyl.

15



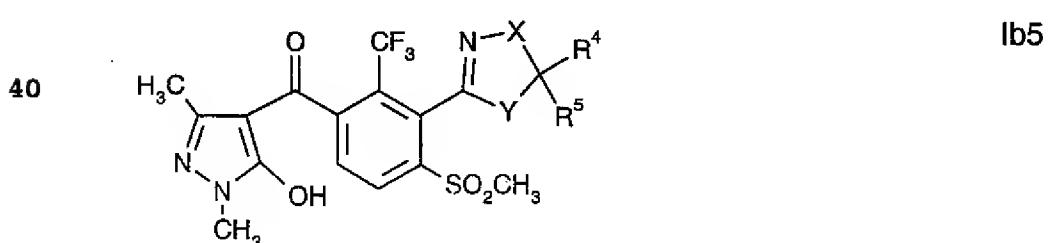
- The compounds Ib4.1-Ib4.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R<sup>1</sup> is hydrogen and R<sup>2</sup> is methylsulfonyl.

25



- 35 - The compounds Ib5.1-Ib5.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is trifluoromethyl and R<sup>2</sup> is methylsulfonyl.

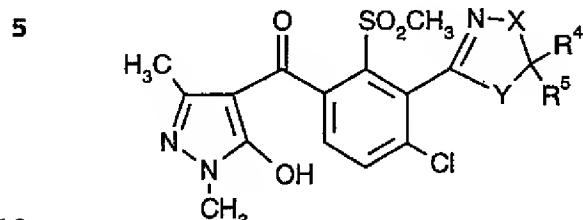
40



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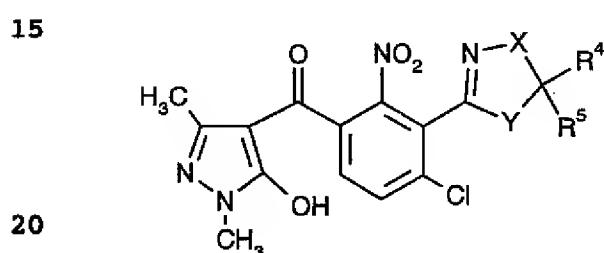
35

- The compounds Ib6.1-Ib6.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl.



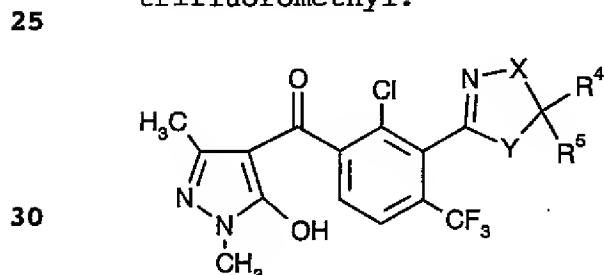
Ib6

- The compounds Ib7.1-Ib7.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro.



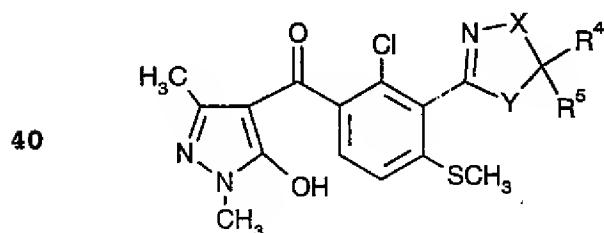
Ib7

- The compounds Ib8.1-Ib8.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is trifluoromethyl.



Ib8

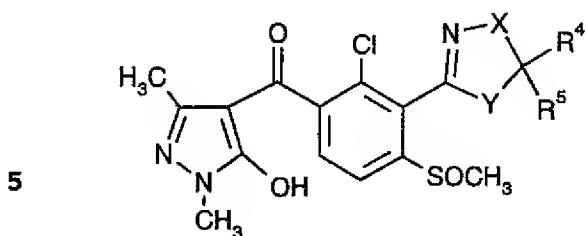
- The compounds Ib9.1-Ib9.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylthio.



Ib9

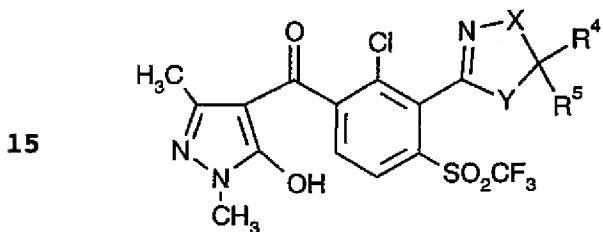
- The compounds Ib10.1-Ib10.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfinyl.

36



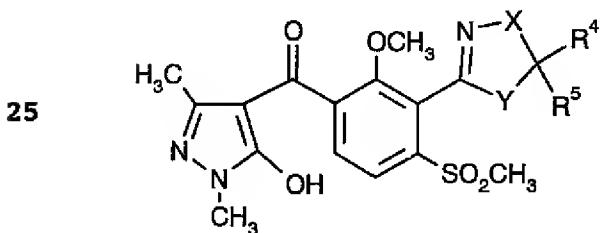
Ib10

- The compounds Ib11.1-Ib11.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is trifluoromethylsulfonyl.



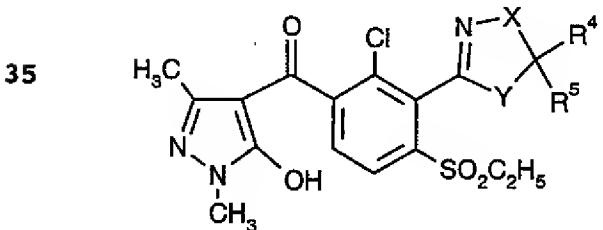
Ib11

- The compounds Ib12.1-Ib12.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methoxy and R<sup>2</sup> is methylsulfonyl.



Ib12

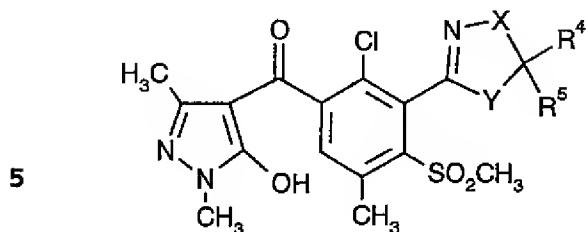
- 30 - The compounds Ib13.1-Ib13.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl.



Ib13

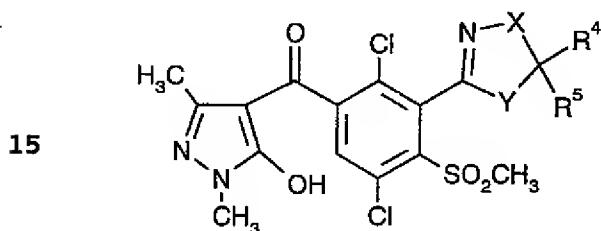
- 40 - The compounds Ib14.1-Ib14.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R<sup>2</sup> is methylsulfonyl and R<sup>3</sup> is methyl.

37



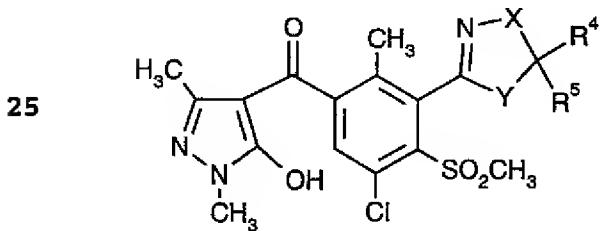
Ib14

- The compounds Ib15.1-Ib15.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl and R<sup>3</sup> is chlorine.



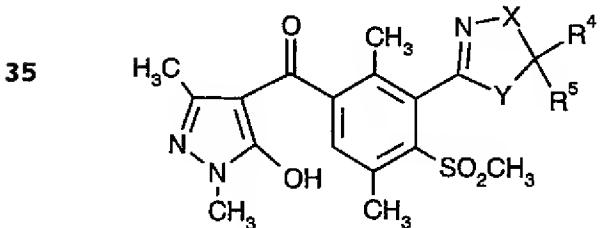
Ib15

- The compounds Ib16.1-Ib16.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl and R<sup>3</sup> is chlorine.



Ib16

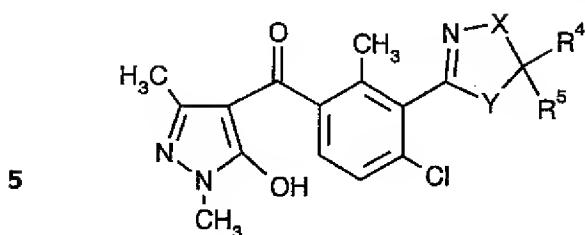
- 30 - The compounds Ib17.1-Ib17.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl and R<sup>3</sup> is methyl.



Ib17

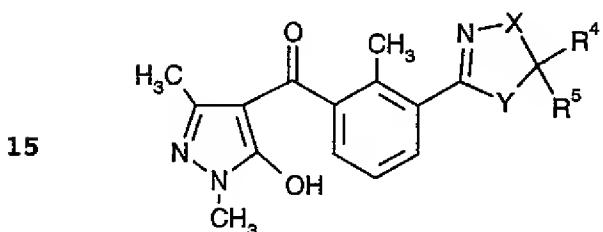
- 40 - The compounds Ib18.1-Ib18.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl.

38



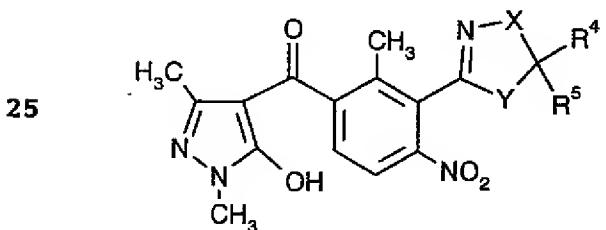
Ib18

- The compounds Ib19.1-Ib19.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl and R<sup>2</sup> is hydrogen.



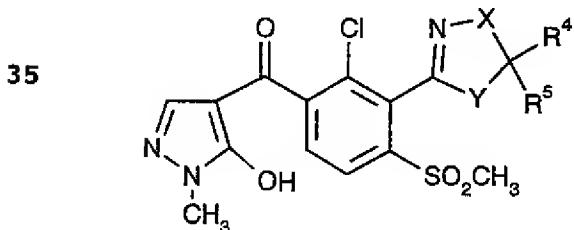
Ib19

- The compounds Ib20.1-Ib20.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R<sup>1</sup> is methyl and R<sup>2</sup> is nitro.



Ib20

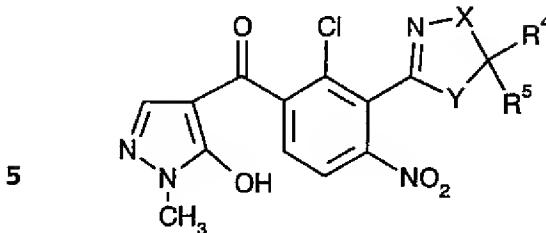
- 30 - The compounds Ib21.1-Ib21.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl and R<sup>18</sup> is hydrogen.



Ib21

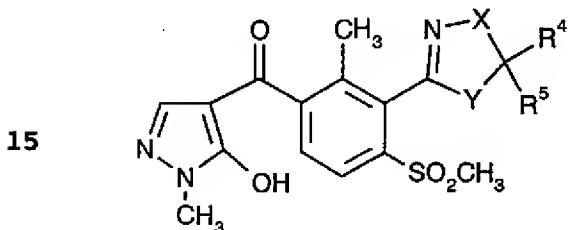
- 40 - The compounds Ib22.1-Ib22.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro and R<sup>18</sup> is hydrogen.

39



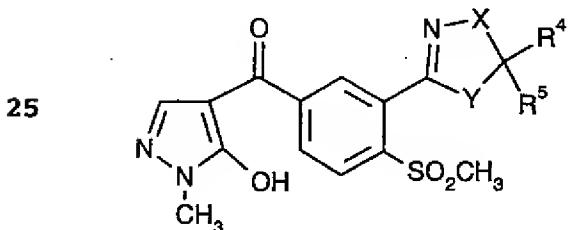
lb22

- The compounds Ib23.1-Ib23.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methysulfonyl and R<sup>18</sup> is hydrogen.



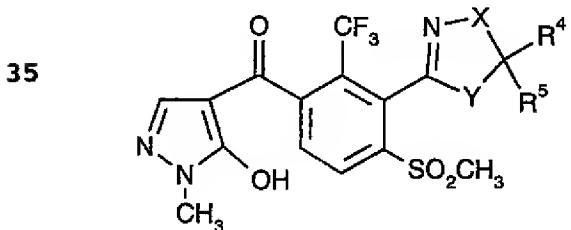
|b23

- The compounds Ib24.1-Ib24.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is hydrogen, R<sup>2</sup> is methylsulfonyl and R<sup>18</sup> is hydrogen.



lb24

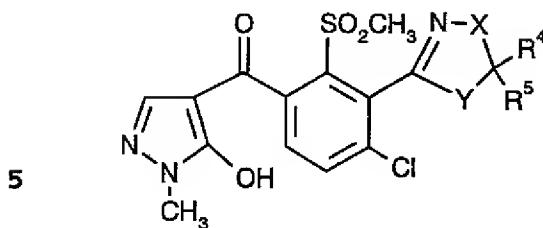
- 30 - The compounds Ib25.1-Ib25.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is trifluoromethyl, R<sup>2</sup> is methylsulfonyl and R<sup>18</sup> is hydrogen.



|b25

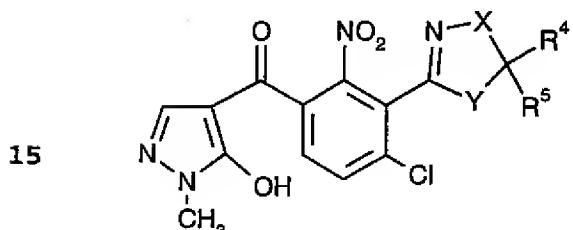
- 40** - The compounds Ib26.1-Ib26.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl and R<sup>18</sup> is hydrogen.

40



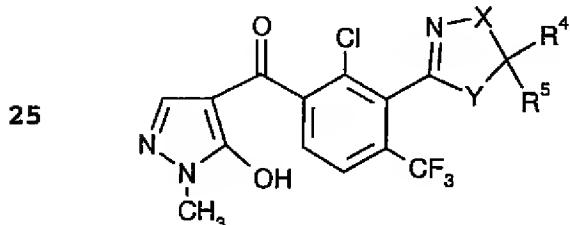
Ib26

- The compounds Ib27.1-Ib27.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R<sup>1</sup> is nitro and R<sup>18</sup> is hydrogen.



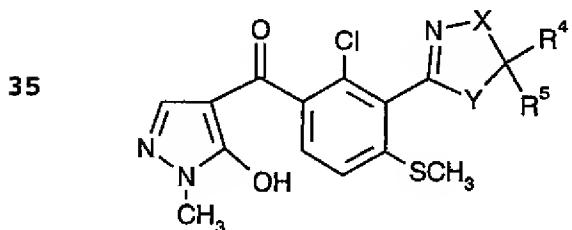
|b27

- The compounds Ib28.1-Ib28.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is trifluoromethyl and R<sup>18</sup> is hydrogen.



lb28

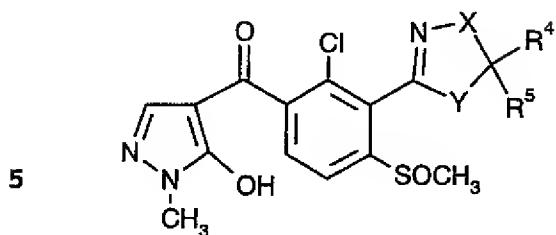
- 30 - The compounds Ib29.1-Ib29.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylthio and R<sup>18</sup> is hydrogen.



Ib29

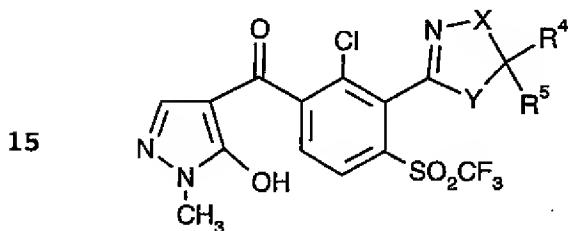
- 40 - The compounds Ib30.1-Ib30.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfinyl and R<sup>18</sup> is hydrogen.

41



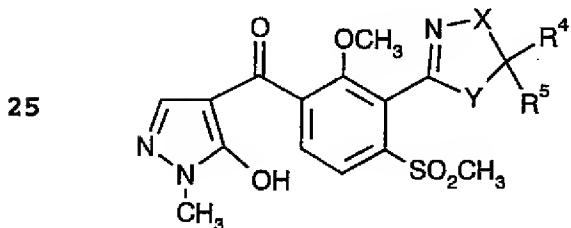
Ib30

- The compounds Ib31.1-Ib31.126, which differ from the corresponding compounds Ibl.1-Ibl.126 by the fact that R<sup>2</sup> is trifluoromethylsulfonyl and R<sup>18</sup> is hydrogen.



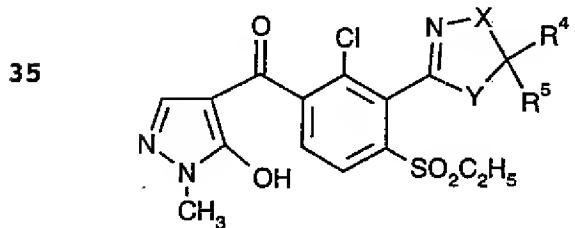
Ib31

- The compounds Ib32.1-Ib32.126, which differ from the corresponding compounds Ibl.1-Ibl.126 by the fact that R<sup>1</sup> is methoxy, R<sup>2</sup> is methylsulfonyl and R<sup>18</sup> is hydrogen.



Ib32

- 30 - The compounds Ib33.1-Ib33.126, which differ from the corresponding compounds Ibl.1-Ibl.126 by the fact that R<sup>2</sup> is ethylsulfonyl and R<sup>18</sup> is hydrogen.

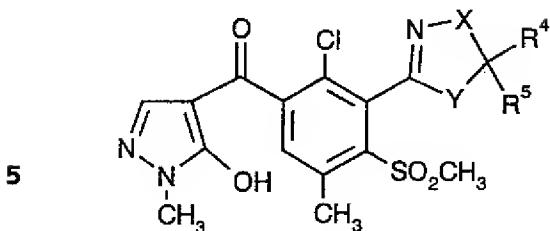


Ib33

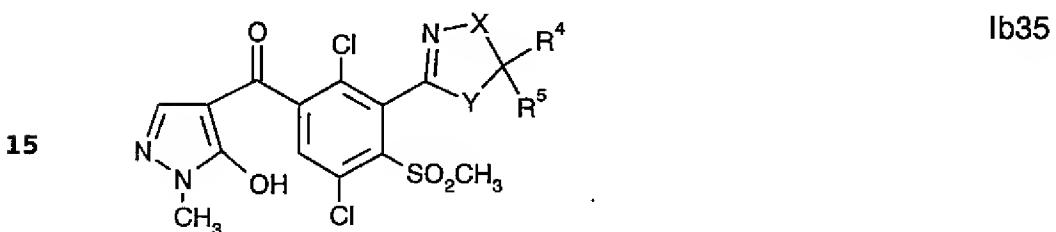
- 40 - The compounds Ib34.1-Ib34.126, which differ from the corresponding compounds Ibl.1-Ibl.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is methyl and R<sup>18</sup> is hydrogen.

45

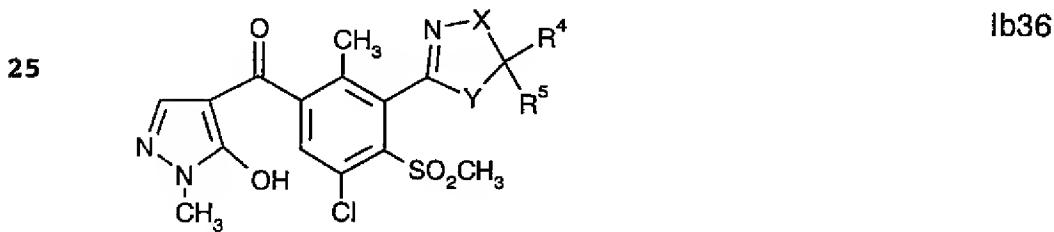
42



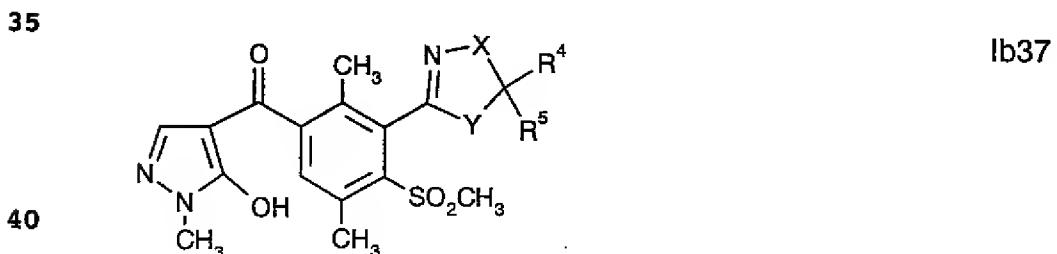
- The compounds Ib35.1-Ib35.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is chlorine and R<sup>18</sup> is hydrogen.



- The compounds Ib36.1-Ib36.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is chlorine and R<sup>18</sup> is hydrogen.

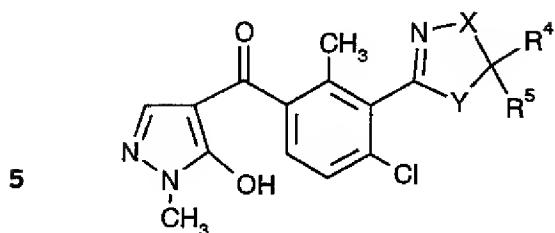


- 30 - The compounds Ib37.1-Ib37.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is methyl and R<sup>18</sup> is hydrogen.



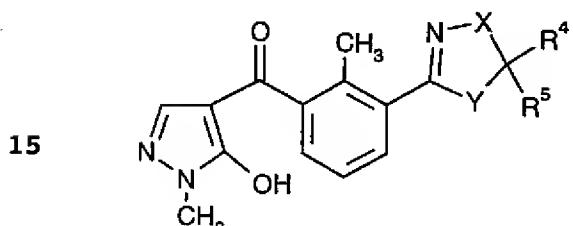
- 45 - The compounds Ib38.1-Ib38.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl and R<sup>18</sup> is hydrogen.

43



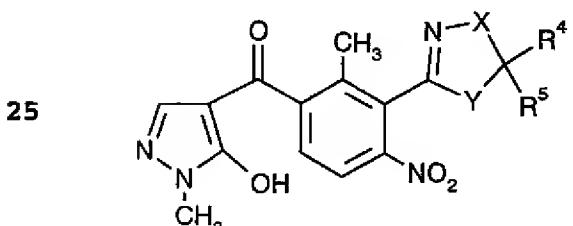
|b38

- The compounds Ib39.1-Ib39.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is hydrogen and R<sup>18</sup> is hydrogen.



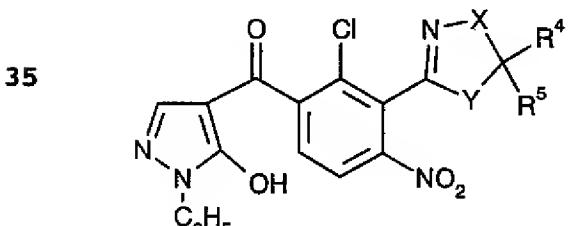
lb39

- The compounds Ib40.1-Ib40.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is nitro and R<sup>18</sup> is hydrogen.



jb40

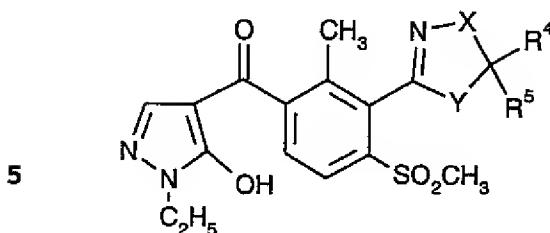
- 30 - The compounds Ib41.1-Ib41.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.



|b41

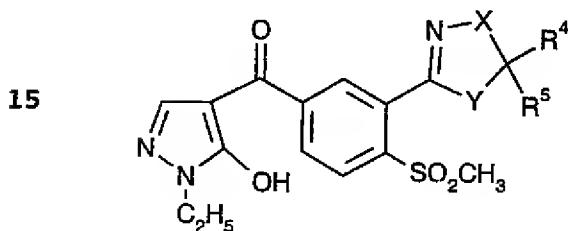
- 40 - The compounds Ib42.1-Ib42.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.

44



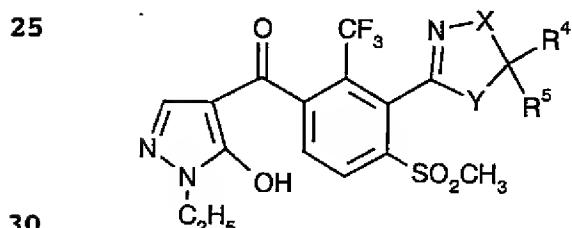
lb42

- The compounds Ib43.1-Ib43.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is hydrogen, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.



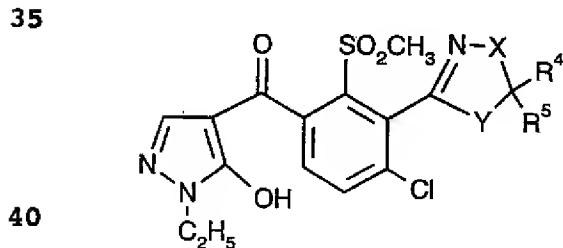
jb43

- 20 - The compounds Ib44.1-Ib44.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is trifluoromethyl, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.



|b44

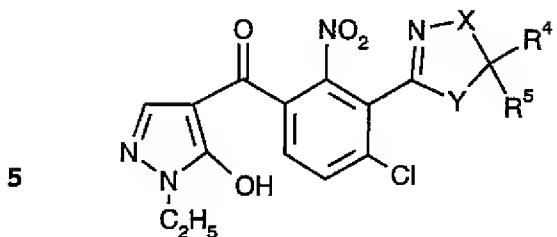
- The compounds Ib45.1-Ib45.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.



lh45

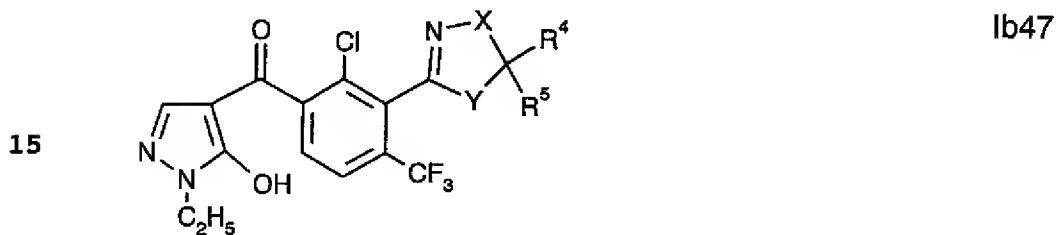
- The compounds Ib46.1-Ib46.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.

45

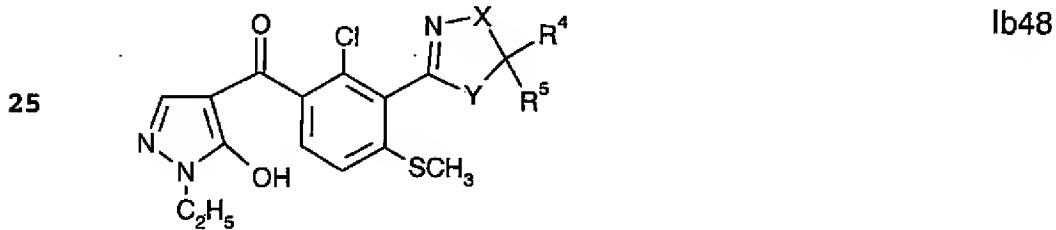


Ib46

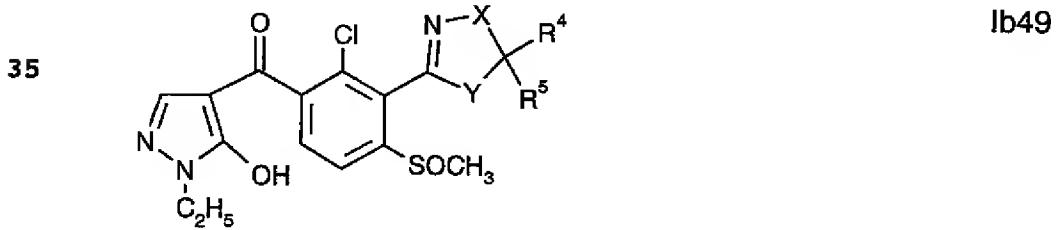
- The compounds Ib47.1-Ib47.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is trifluoromethyl, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.



- The compounds Ib48.1-Ib48.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylthio, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.

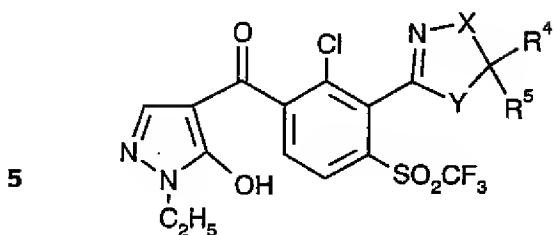


- 30 - The compounds Ib49.1-Ib49.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfinyl, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.



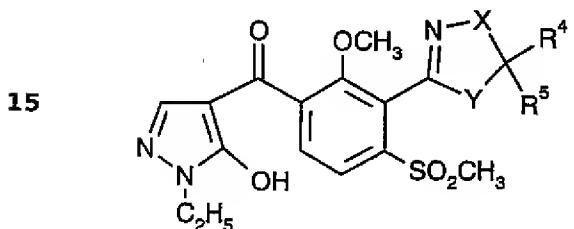
- 40 - The compounds Ib50.1-Ib50.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is trifluoromethylsulfonyl, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.

46



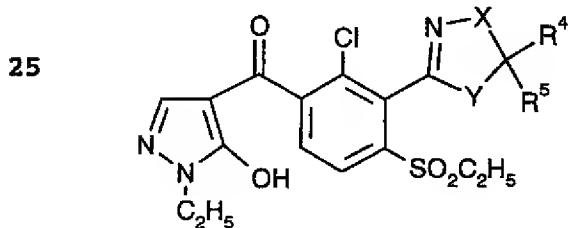
lb50

- The compounds Ib51.1-Ib51.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methoxy, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.



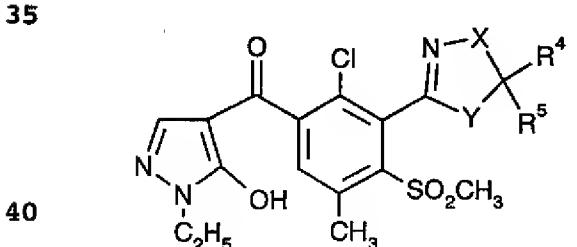
Jb51

- 20 - The compounds Ib52.1-Ib52.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.



Ib52

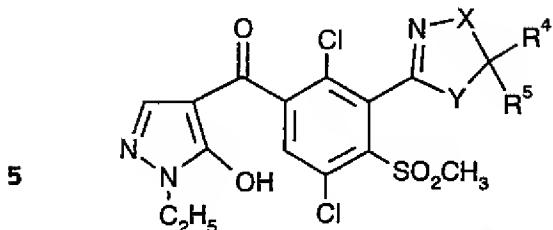
- 30 - The compounds Ib53.1-Ib53.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is methyl, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.



lb53

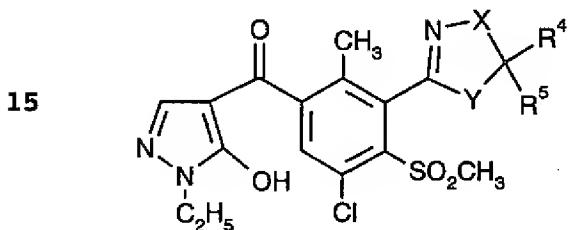
- The compounds Ib54.1-Ib54.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is chlorine, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.

47



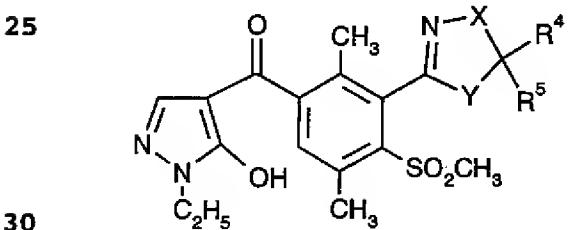
Ib54

- The compounds Ib55.1-Ib55.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is chlorine, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.



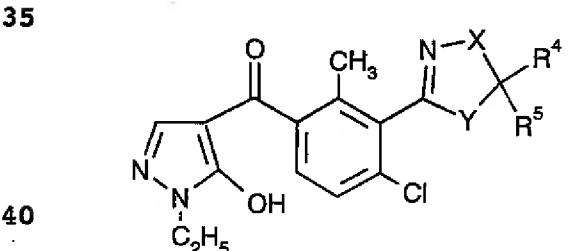
Ib55

- 20 - The compounds Ib56.1-Ib56.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is methyl, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.



Ib56

- The compounds Ib57.1-Ib57.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.

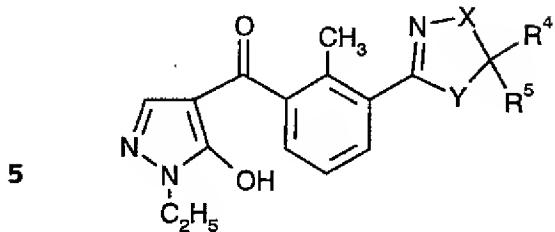


Ib57

- 40 - The compounds Ib58.1-Ib58.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is hydrogen, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.

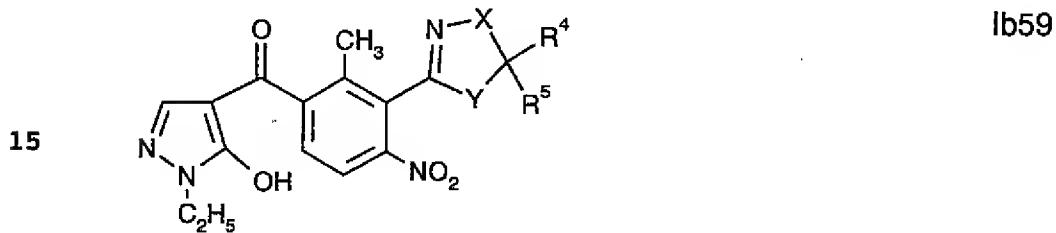
45

48

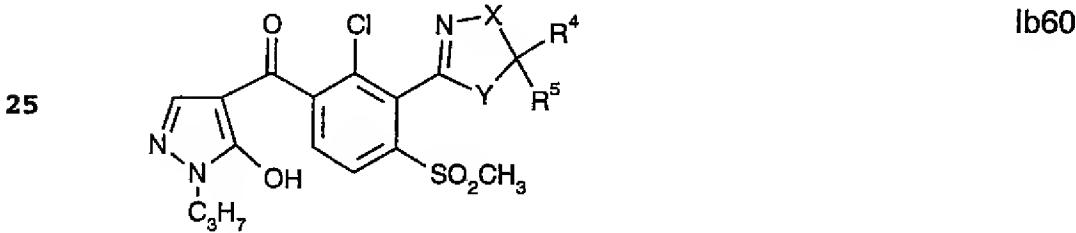


Ib58

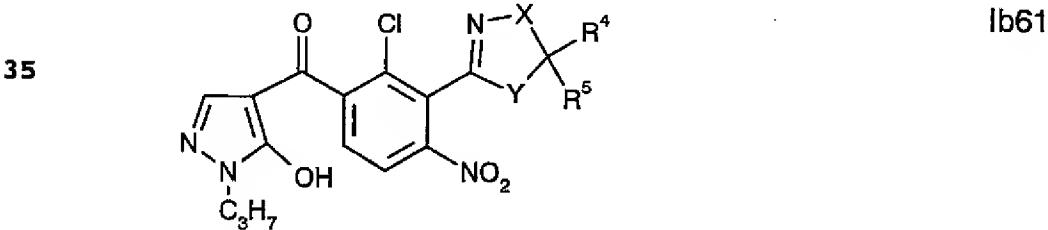
- The compounds Ib59.1-Ib59.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is nitro, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.



- The compounds Ib60.1-Ib60.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.

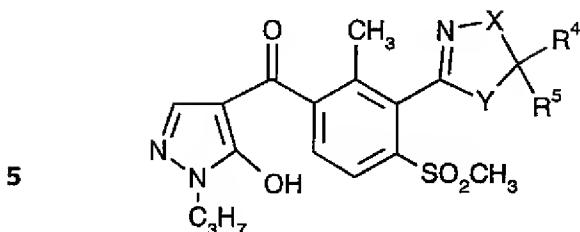


- 30 - The compounds Ib61.1-Ib61.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.



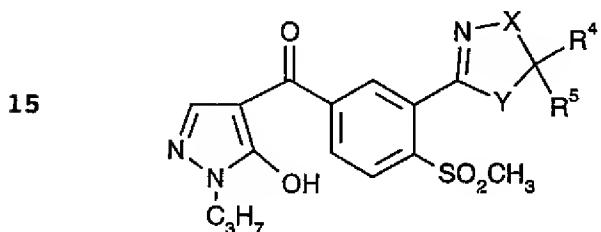
- 40 - The compounds Ib62.1-Ib62.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.

49



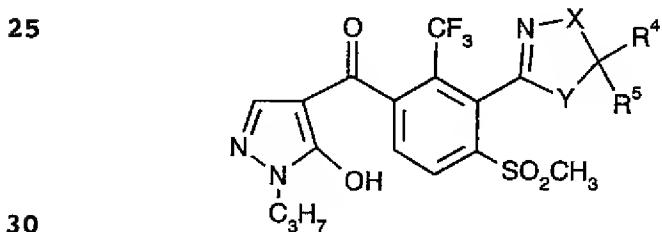
Ib62

- The compounds Ib63.1-Ib63.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is hydrogen, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.
- 10



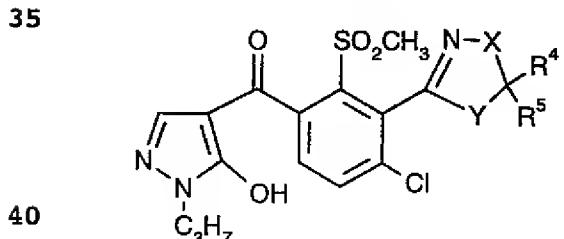
Ib63

- 20 - The compounds Ib64.1-Ib64.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is trifluoromethyl, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.



Ib64

- The compounds Ib65.1-Ib65.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.

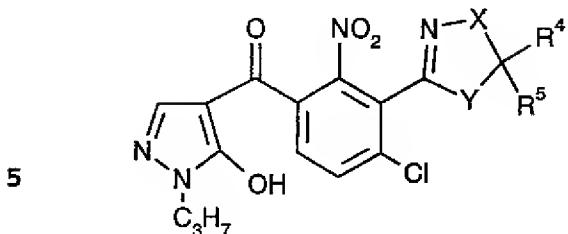


Ib65

- The compounds Ib66.1-Ib66.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.

45

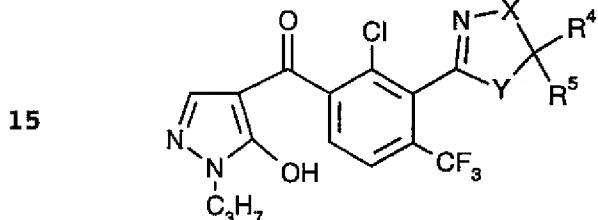
50



Ib66

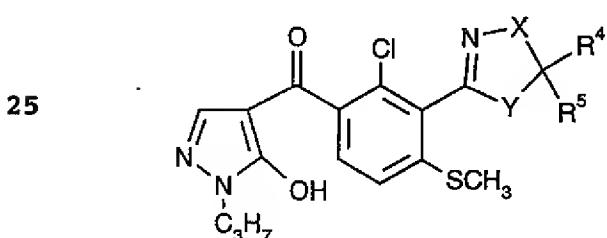
- The compounds Ib67.1-Ib67.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is trifluoromethyl, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.

Ib67



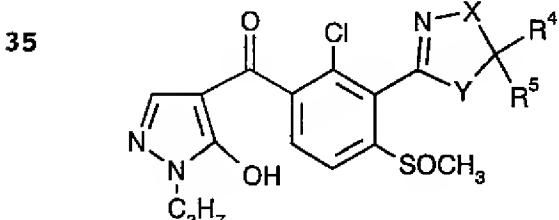
- The compounds Ib68.1-Ib68.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylthio, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.

Ib68



- 30 - The compounds Ib69.1-Ib69.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfinyl, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.

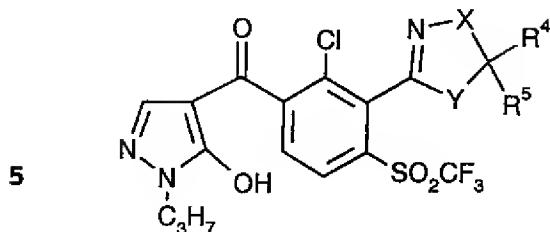
Ib69



- 40 - The compounds Ib70.1-Ib70.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is trifluoromethylsulfonyl, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.

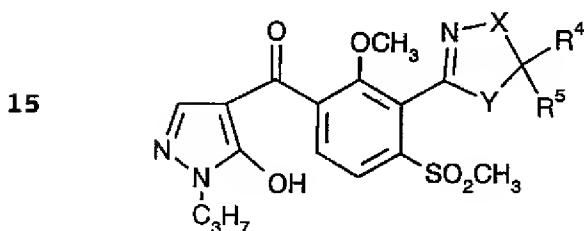
45

51



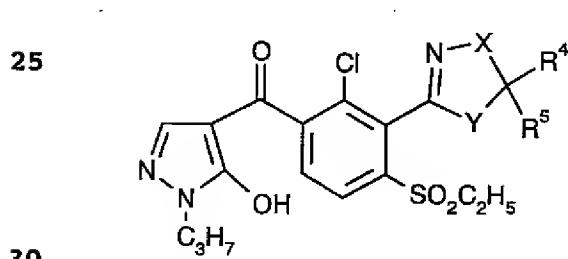
Ib70

- The compounds Ib71.1-Ib71.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methoxy, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.
- 10



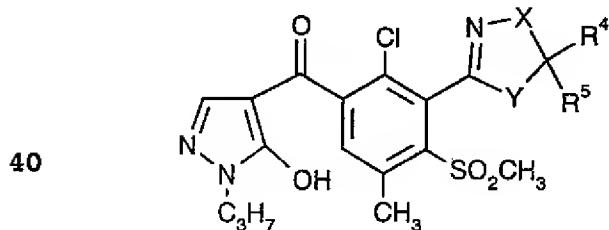
Ib71

- 20 - The compounds Ib72.1-Ib72.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.



Ib72

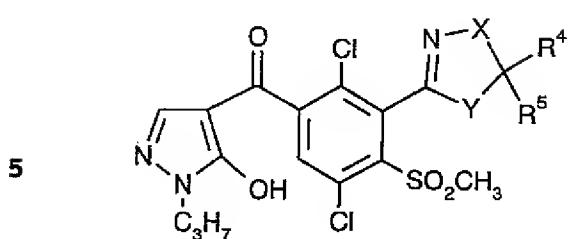
- 30
- The compounds Ib73.1-Ib73.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is methyl, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.
- 35



Ib73

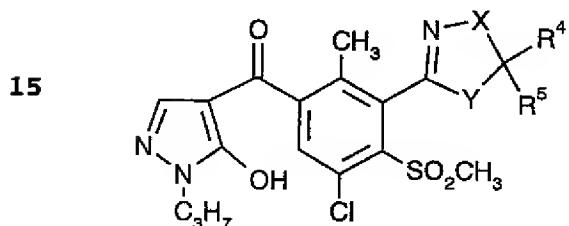
- 45
- The compounds Ib74.1-Ib74.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is chlorine, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.

52



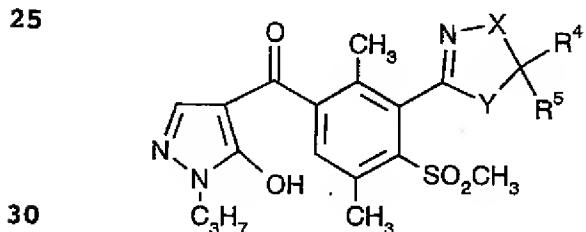
Ib74

- The compounds Ib75.1-Ib75.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is chlorine, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.
- 10 -



Ib75

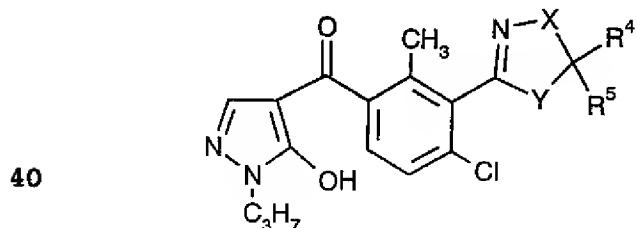
- 20 - The compounds Ib76.1-Ib76.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is methyl, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.



Ib76

- 30 - The compounds Ib77.1-Ib77.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.

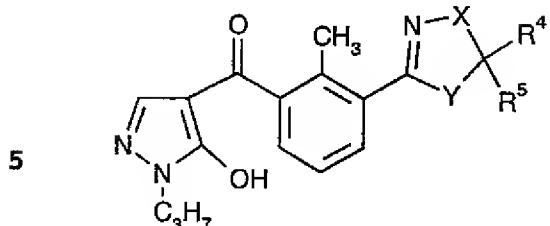
35



Ib77

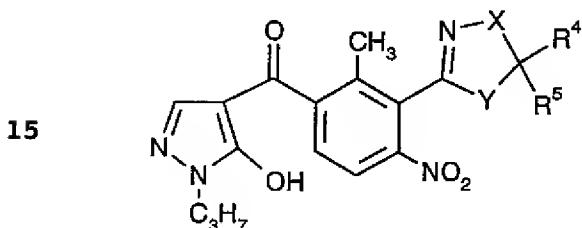
- 45 - The compounds Ib78.1-Ib78.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is hydrogen, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.

53



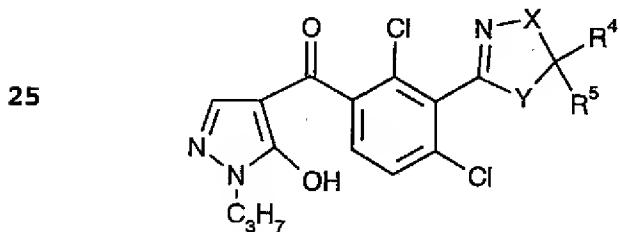
Ib78

- The compounds Ib79.1-Ib79.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is nitro, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.



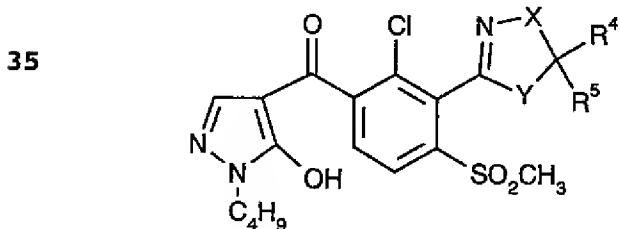
Ib79

- The compounds Ib80.1-Ib80.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.



Ib80

- 30 - The compounds Ib81.1-Ib81.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.

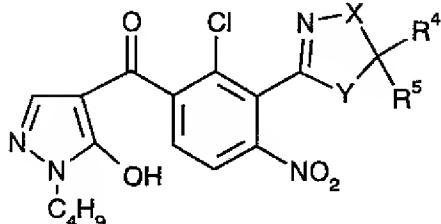


Ib81

- 40 - The compounds Ib82.1-Ib82.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.

54

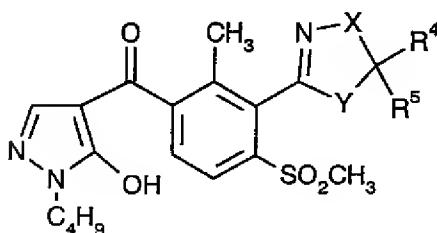
5



Ib82

- The compounds Ib83.1-Ib83.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.

15

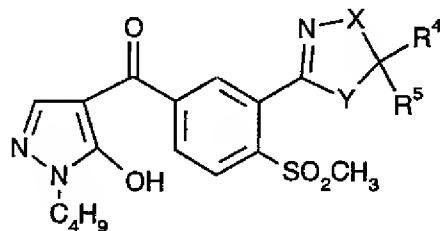


Ib83

- 20 - The compounds Ib84.1-Ib84.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is hydrogen, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.

25

30

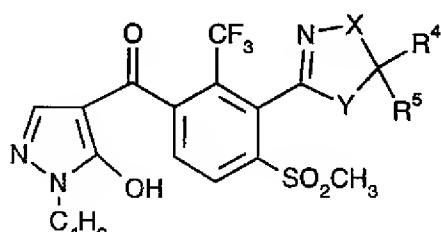


Ib84

35

- The compounds Ib85.1-Ib85.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is trifluoromethyl, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.

40

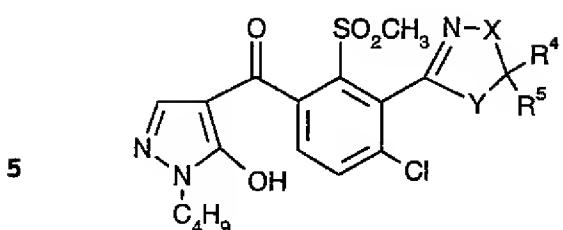


Ib85

45

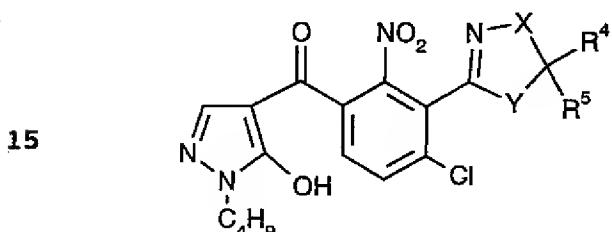
- The compounds Ib86.1-Ib86.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.

55



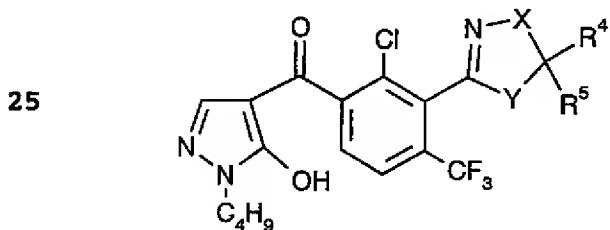
Ib86

- The compounds Ib87.1-Ib87.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.



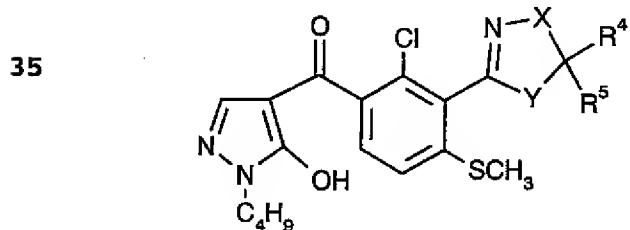
Ib87

- The compounds Ib88.1-Ib88.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is trifluoromethyl, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.



Ib88

- 30 - The compounds Ib89.1-Ib89.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylthio, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.

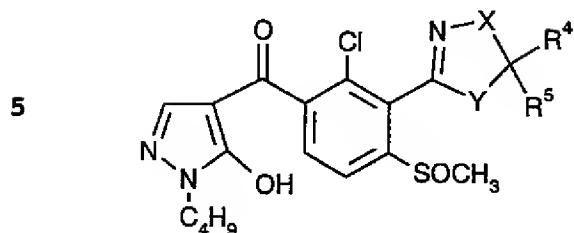


Ib89

- 40 - The compounds Ib90.1-Ib90.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfinyl, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.

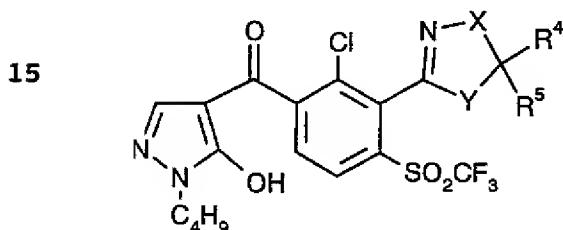
45

56



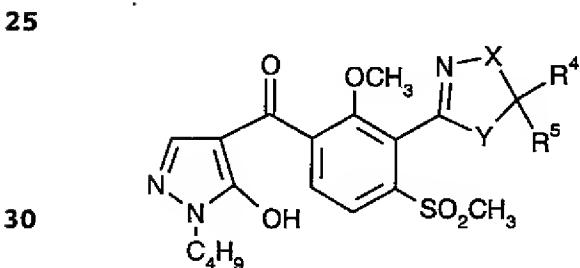
Ib90

- 10 - The compounds Ib91.1-Ib91.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $\text{R}^2$  is trifluoromethylsulfonyl,  $\text{R}^{16}$  is n-butyl and  $\text{R}^{18}$  is hydrogen.



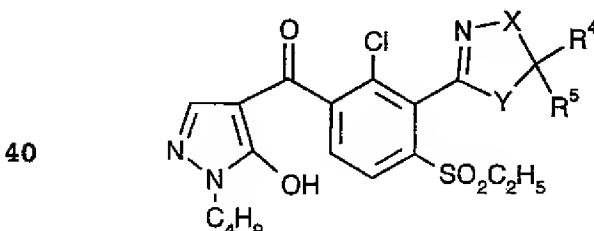
Ib91

- 20 - The compounds Ib92.1-Ib92.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $\text{R}^1$  is methoxy,  $\text{R}^2$  is methylsulfonyl,  $\text{R}^{16}$  is n-butyl and  $\text{R}^{18}$  is hydrogen.



Ib92

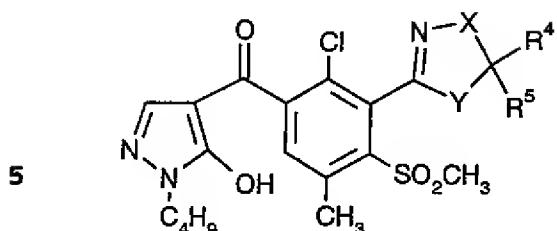
- 30 - The compounds Ib93.1-Ib93.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $\text{R}^2$  is ethylsulfonyl,  $\text{R}^{16}$  is n-butyl and  $\text{R}^{18}$  is hydrogen.



Ib93

- 40 - The compounds Ib94.1-Ib94.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $\text{R}^2$  is methylsulfonyl,  $\text{R}^3$  is methyl,  $\text{R}^{16}$  is n-butyl and  $\text{R}^{18}$  is hydrogen.

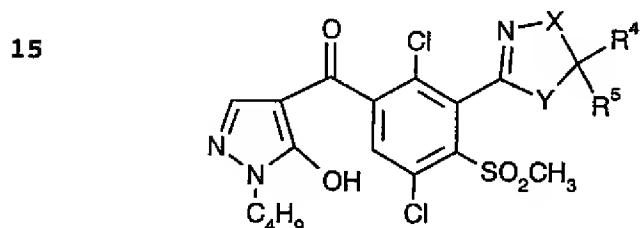
57



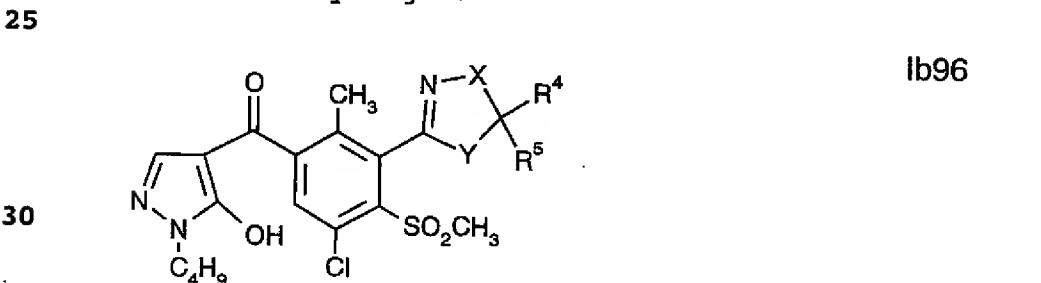
Ib94

- The compounds Ib95.1-Ib95.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is chlorine, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.

Ib95

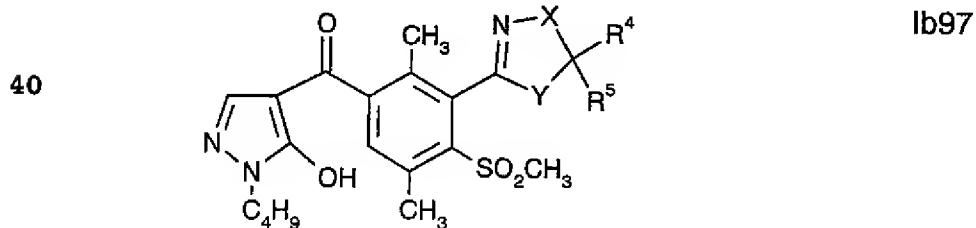


- 20 - The compounds Ib96.1-Ib96.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is chlorine, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.



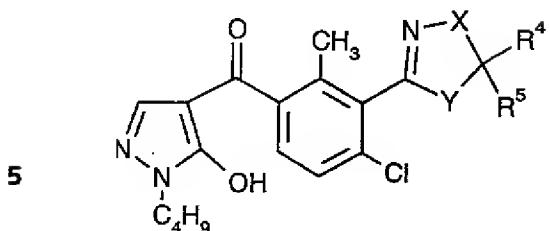
Ib96

- 35 - The compounds Ib97.1-Ib97.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is methyl, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.



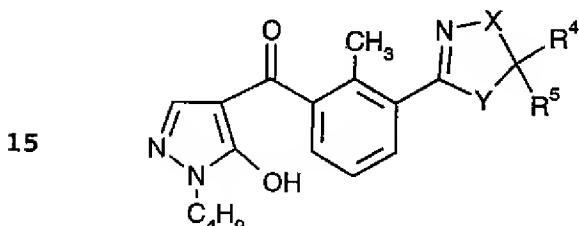
- 45 - The compounds Ib98.1-Ib98.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.

58



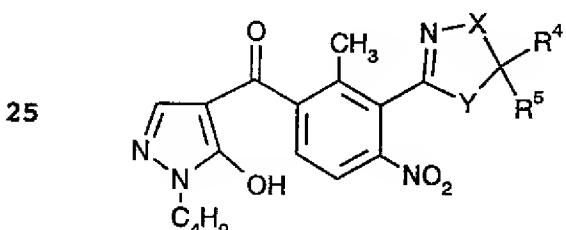
Ib98

- The compounds Ib99.1-Ib99.126, which differ from the corresponding compounds Ibl.1-Ibl.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is hydrogen, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.



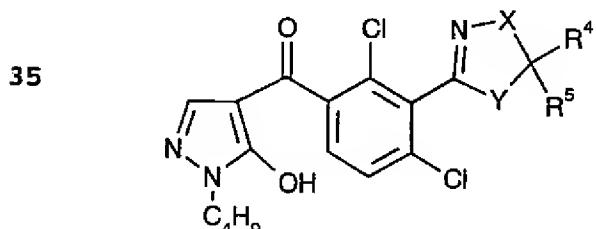
Ib99

- The compounds Ib100.1-Ib100.126, which differ from the corresponding compounds Ibl.1-Ibl.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is nitro, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.



Ib100

- 30 - The compounds Ib101.1-Ib101.126, which differ from the corresponding compounds Ibl.1-Ibl.126 by the fact that R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.

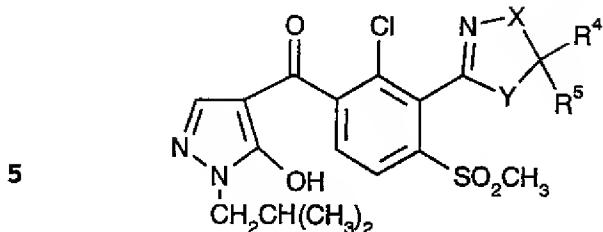


Ib101

- 40 - The compounds Ib102.1-Ib102.126, which differ from the corresponding compounds Ibl.1-Ibl.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

45

59



Ib102

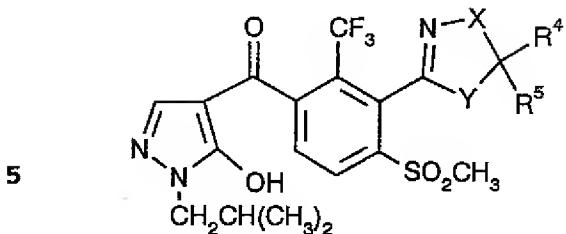
- The compounds Ib103.1-Ib103.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

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- 15
- 
- Ib103
- The compounds Ib104.1-Ib104.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

- 25
- 
- Ib104
- 30
- 35
- The compounds Ib105.1-Ib105.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is hydrogen, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

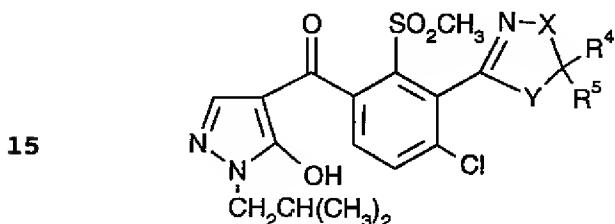
- 35
- 40
- 
- Ib105
- 45
- The compounds Ib106.1-Ib106.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is trifluoromethyl, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

60

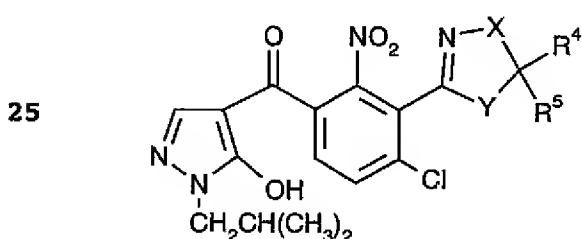


Ib106

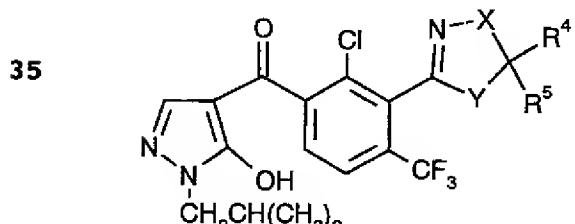
- The compounds Ib107.1-Ib107.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.



- The compounds Ib108.1-Ib108.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.



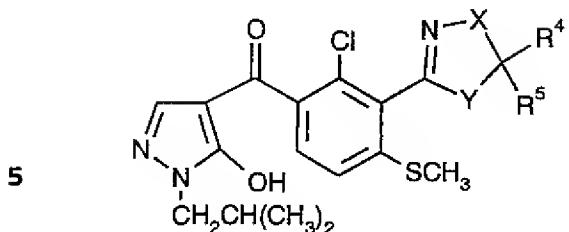
- 30 - The compounds Ib109.1-Ib109.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is trifluoromethyl, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.



- 40 - The compounds Ib110.1-Ib110.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylthio, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

45

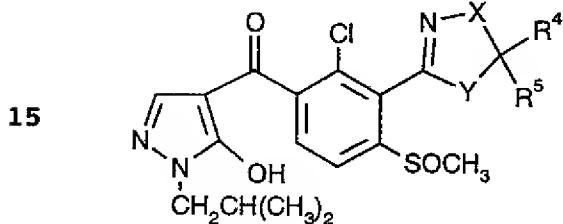
61



Ib110

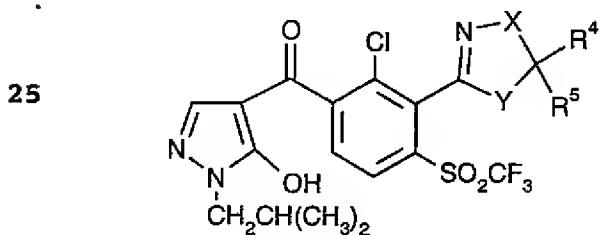
- The compounds Ib111.1-Ib111.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfinyl, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

Ib111



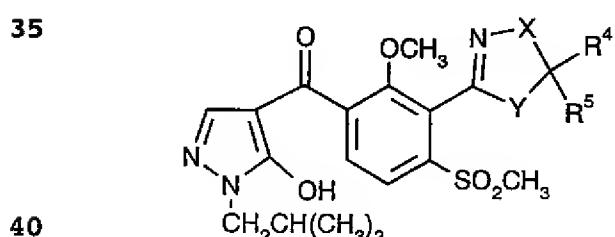
- The compounds Ib112.1-Ib112.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is trifluoromethylsulfonyl, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

Ib112



- 30 - The compounds Ib113.1-Ib113.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methoxy, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

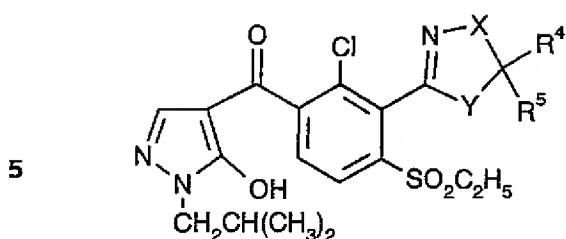
Ib113



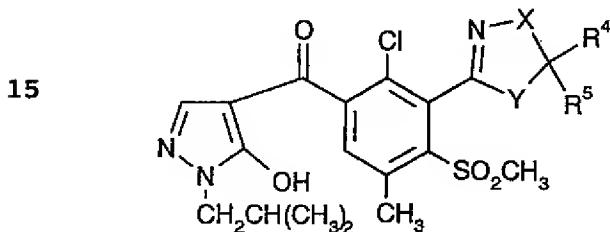
- 40 - The compounds Ib114.1-Ib114.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

62

Ib114

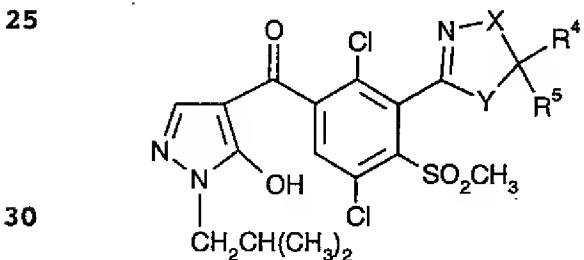


- The compounds Ib115.1-Ib115.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is methyl, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.



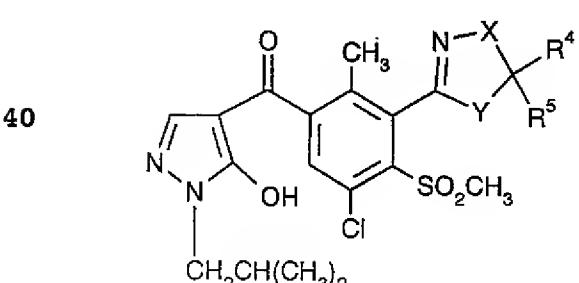
Ib115

- 20 - The compounds Ib116.1-Ib116.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is chlorine, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.



Ib116

- The compounds Ib117.1-Ib117.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is chlorine, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

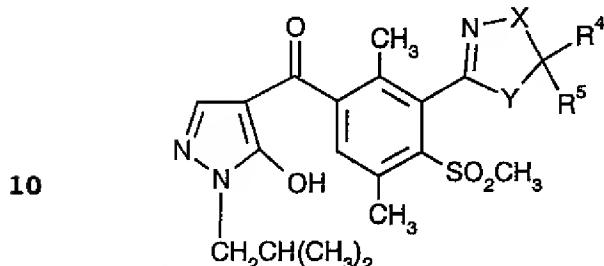


Ib117

63

- The compounds Ib118.1-Ib118.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>3</sup> is methyl, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

5

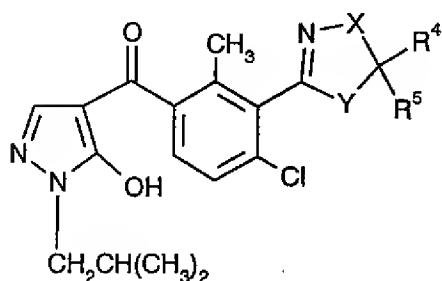


Ib118

10

- 15
- The compounds Ib119.1-Ib119.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

20



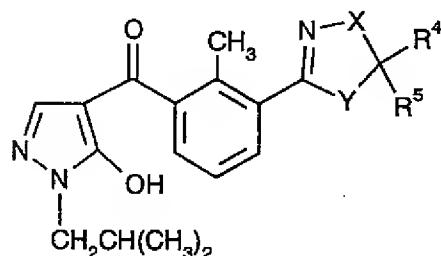
Ib119

25

- The compounds Ib120.1-Ib120.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is hydrogen, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

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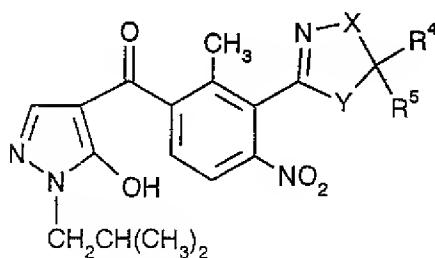


Ib120

40

45

- The compounds Ib121.1-Ib121.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is nitro, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

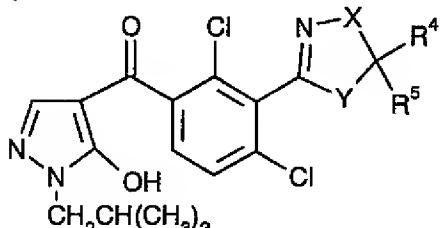


Ib121

## 64

- The compounds Ib122.1-Ib122.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

5

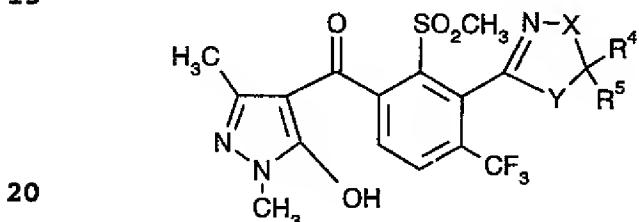


Ib122

10

- The compounds Ib123.1-Ib123.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact R<sup>1</sup> is methylsulfonyl and R<sup>2</sup> is trifluoromethyl.

15

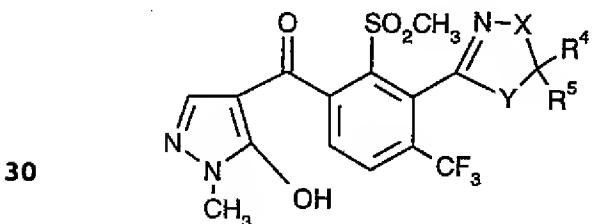


Ib123

20

- The compounds Ib124.1-Ib124.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, R<sup>2</sup> is trifluoromethyl, and R<sup>18</sup> is hydrogen.

25

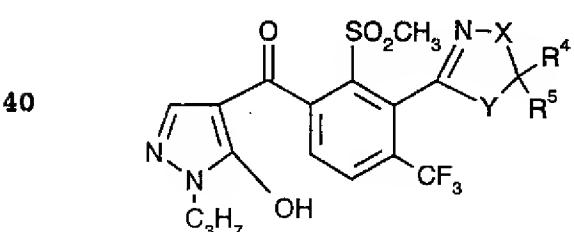


Ib124

30

- The compounds Ib125.1-Ib125.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, R<sup>2</sup> is trifluoromethyl, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.

35



Ib125

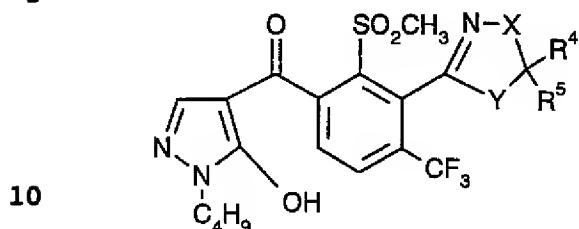
40

45

## 65

- The compounds Ib126.1-Ib126.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, R<sup>2</sup> is trifluoromethyl, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.

5

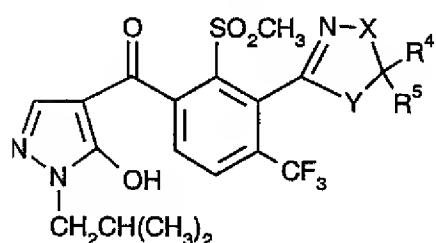


Ib126

10

- The compounds Ib127.1-Ib127.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, R<sup>2</sup> is trifluoromethyl, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

20

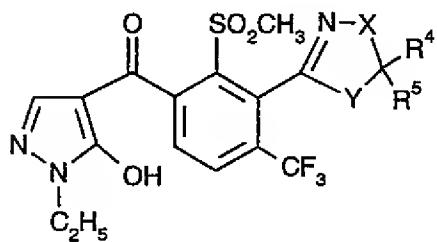


Ib127

15

- The compounds Ib128.1-Ib128.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, R<sup>2</sup> is trifluoromethyl, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.

30

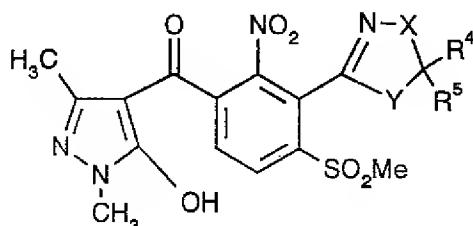


Ib128

25

- The compounds Ib129.1-Ib129.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro and R<sup>2</sup> is methylsulfonyl.

40

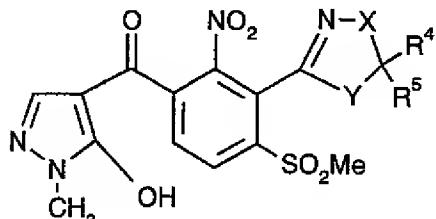


Ib129

66

- The compounds Ib130.1-Ib130.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, R<sup>2</sup> is methylsulfonyl and R<sup>18</sup> is hydrogen.

5



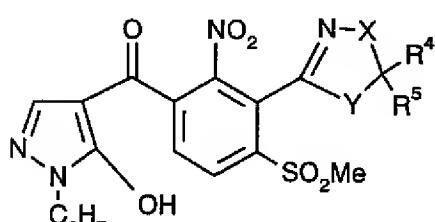
Ib130

10

- The compounds Ib131.1-Ib131.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is n-propyl and R<sup>18</sup> is hydrogen.

15

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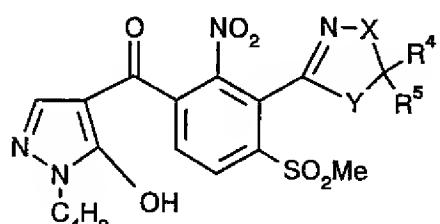


Ib131

25

- The compounds Ib132.1-Ib132.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is n-butyl and R<sup>18</sup> is hydrogen.

30



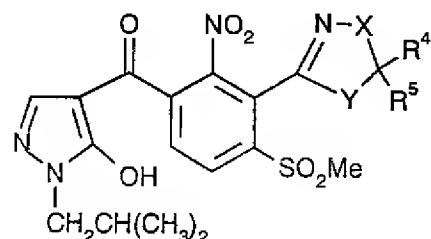
Ib132

35

- The compounds Ib133.1-Ib133.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is iso-butyl and R<sup>18</sup> is hydrogen.

40

45

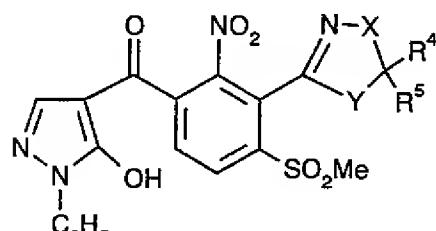


Ib133

67

- The compounds Ib134.1-Ib134.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.

5

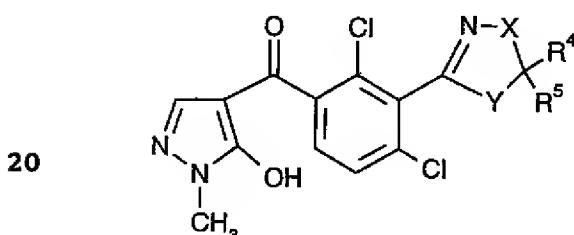


Ib134

10

- The compounds Ib135.1-Ib135.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>18</sup> is hydrogen.

15

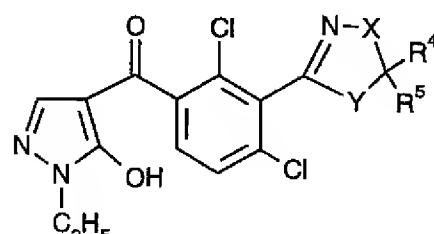


Ib135

20

- The compounds Ib136.1-Ib136.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>16</sup> is ethyl and R<sup>18</sup> is hydrogen.

30

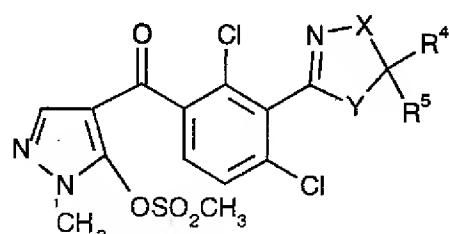


Ib136

35

- The compounds Ib137.1-Ib137.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is methylsulfonyl and R<sup>18</sup> is hydrogen.

40

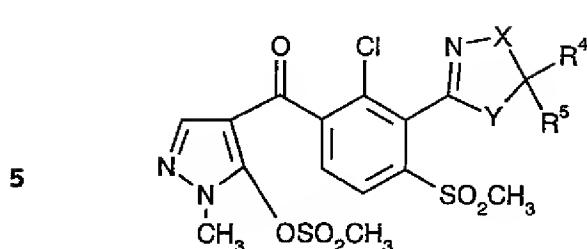


Ib137

45

- The compounds Ib138.1-Ib138.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, Z is methylsulfonyl and R<sup>18</sup> is hydrogen.

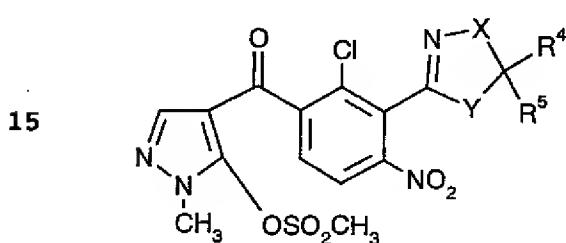
68



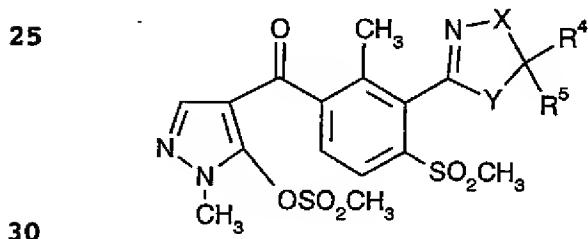
Ib138

- The compounds Ib139.1-Ib139.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro, Z is methylsulfonyl and R<sup>18</sup> is hydrogen.

Ib139

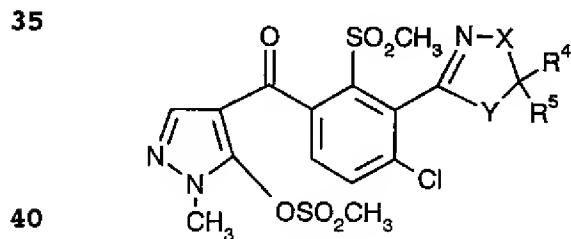


- 20 - The compounds Ib140.1-Ib140.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl , Z is methylsulfonyl and R<sup>18</sup> is hydrogen.



Ib140

- The compounds Ib141.1-Ib141.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, Z is methylsulfonyl and R<sup>18</sup> is hydrogen.

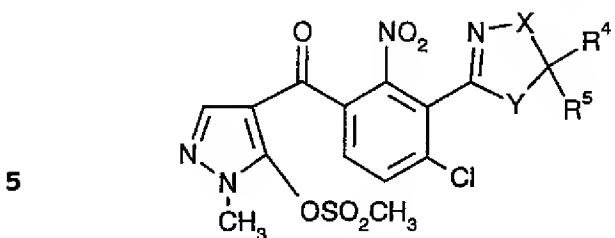


Ib141

- The compounds Ib142.1-Ib142.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, Z is methylsulfonyl and R<sup>18</sup> is hydrogen.

45

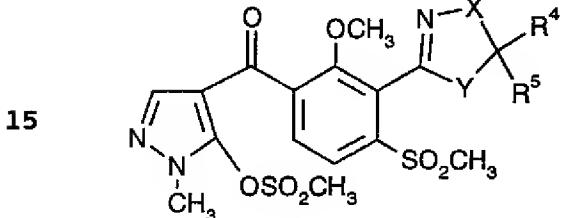
69



Ib142

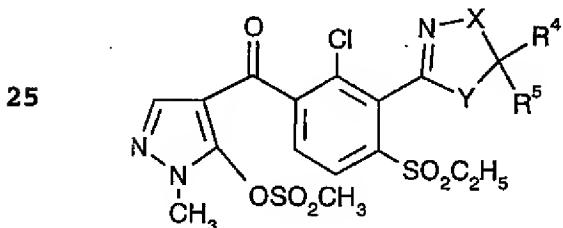
- The compounds Ib143.1-Ib143.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methoxy, R<sup>2</sup> and Z are methylsulfonyl and R<sup>18</sup> is hydrogen.

Ib143



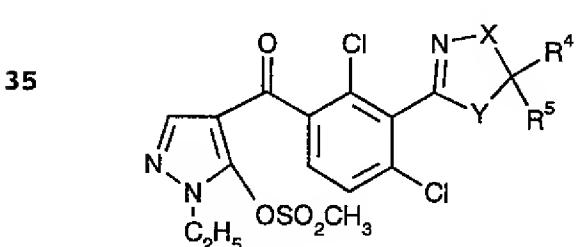
- The compounds Ib144.1-Ib144.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, Z is methylsulfonyl and R<sup>18</sup> is hydrogen.

Ib144



- 30 - The compounds Ib145.1-Ib145.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>16</sup> is ethyl, Z is methylsulfonyl and R<sup>18</sup> is hydrogen.

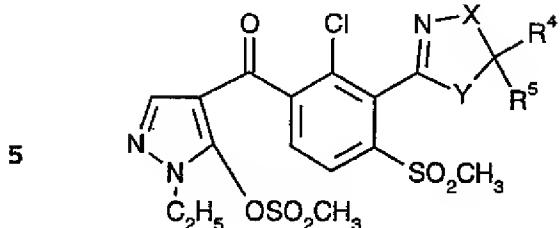
Ib145



- 40 - The compounds Ib146.1-Ib146.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is methylsulfonyl and R<sup>18</sup> is hydrogen.

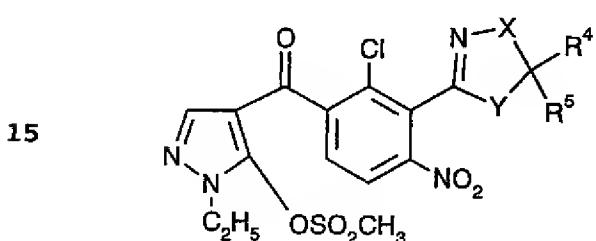
70

Ib146



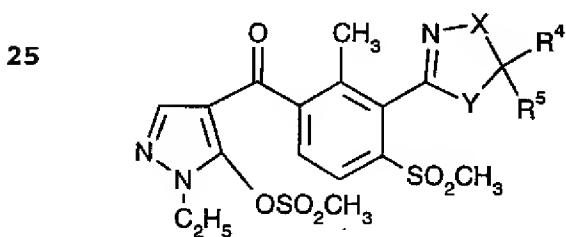
- The compounds Ib147.1-Ib147.126, which differ from the  
10 corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is  
nitro, R<sup>16</sup> is ethyl, Z is methylsulfonyl and R<sup>18</sup> is hydrogen.

Ib147



- The compounds Ib148.1-Ib148.126, which differ from the  
20 corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is  
methyl, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is  
methylsulfonyl and R<sup>18</sup> is hydrogen.

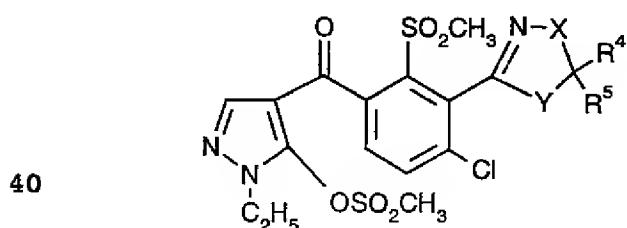
Ib148



- 30 - The compounds Ib149.1-Ib149.126, which differ from the  
corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is  
methylsulfonyl, R<sup>16</sup> is ethyl, Z is methylsulfonyl and R<sup>18</sup> is  
hydrogen.

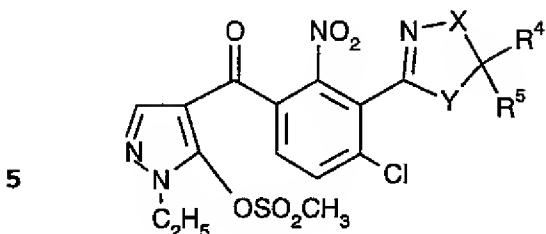
35

Ib149



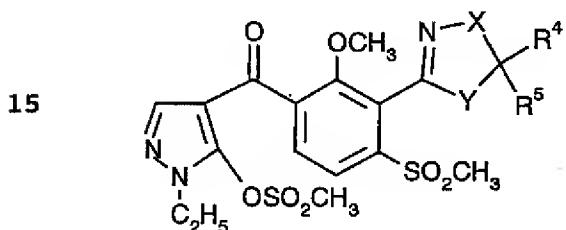
- The compounds Ib150.1-Ib150.126, which differ from the  
45 corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is  
nitro, R<sup>16</sup> is ethyl, Z is methylsulfonyl and R<sup>18</sup> is hydrogen.

71



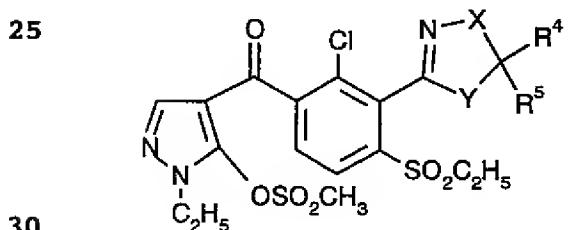
|b150

- The compounds Ib151.1-Ib151.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methoxy, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, z is methylsulfonyl and R<sup>18</sup> is hydrogen.



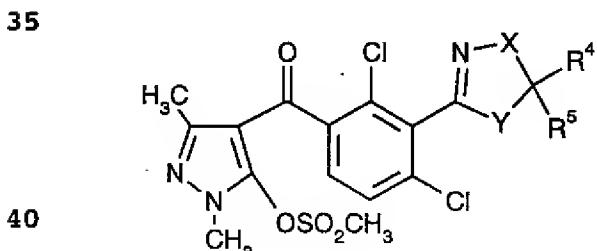
Ib151

- 20 - The compounds Ib152.1-Ib152.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, R<sup>16</sup> is ethyl, Z is methylsulfonyl and R<sup>18</sup> is hydrogen.



lb152

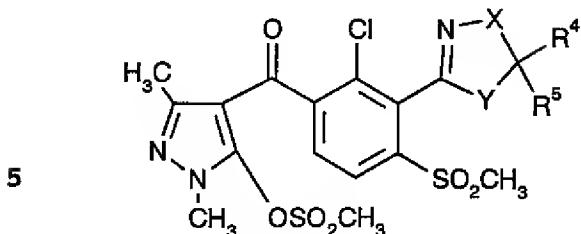
- The compounds Ib153.1-Ib153.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is methylsulfonyl.



Ib153

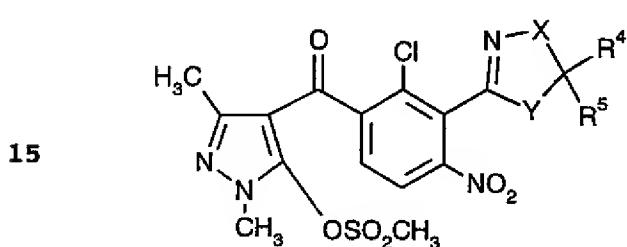
- The compounds Ib154.1-Ib154.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> and Z are methylsulfonyl.

72



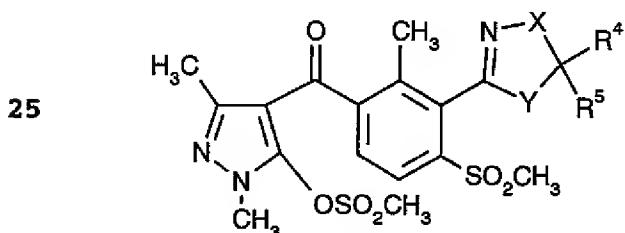
Ib154

- The compounds Ib155.1-Ib155.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro and Z is methylsulfonyl.



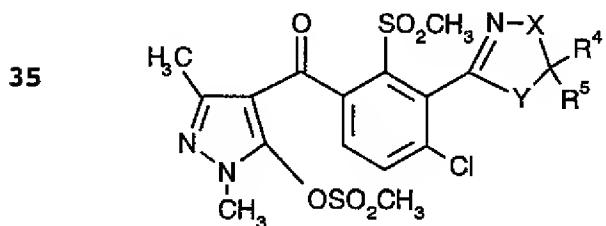
Ib155

- The compounds Ib156.1-Ib156.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> and Z are methylsulfonyl.



Ib156

- 30 - The compounds Ib157.1-Ib157.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> and Z are methylsulfonyl.

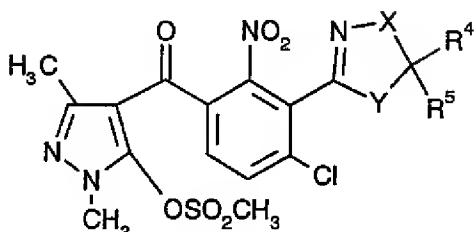


Ib157

- 40 - The compounds Ib158.1-Ib158.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro and Z is methylsulfonyl.

73

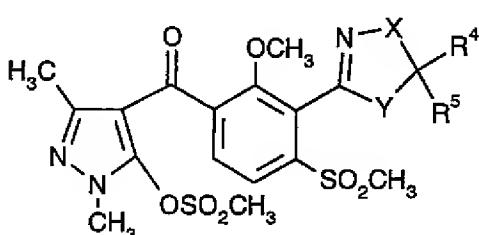
5



Ib158

- The compounds Ib159.1-Ib159.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methoxy, R<sup>2</sup> and Z are methylsulfonyl.

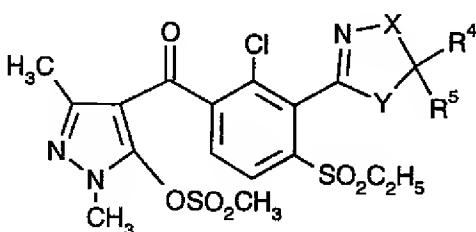
15



Ib159

- The compounds Ib160.1-Ib160.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl and Z is methylsulfonyl.

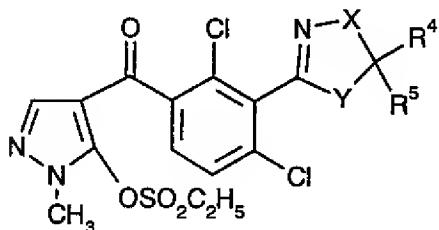
25



Ib160

- The compounds Ib161.1-Ib161.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is ethylsulfonyl and R<sup>18</sup> is hydrogen.

35



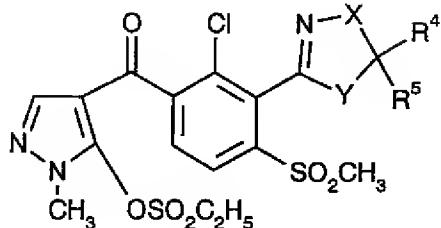
Ib161

- The compounds Ib162.1-Ib162.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, Z is ethylsulfonyl and R<sup>18</sup> is hydrogen.

74

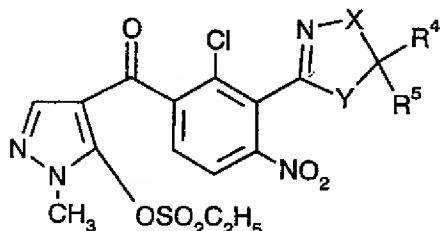
Ib162

5



- The compounds Ib163.1-Ib163.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro, Z is ethylsulfonyl and R<sup>18</sup> is hydrogen.

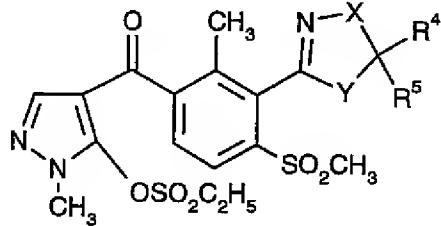
15



Ib163

- The compounds Ib164.1-Ib164.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methanesulfonate, Z is ethylsulfonyl and R<sup>18</sup> is hydrogen.

25

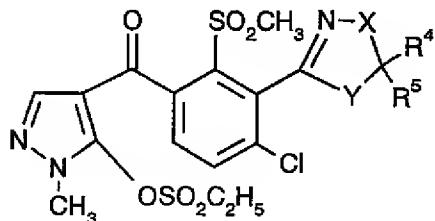


Ib164

30

- The compounds Ib165.1-Ib165.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methanesulfonate, Z is ethylsulfonyl and R<sup>18</sup> is hydrogen.

35



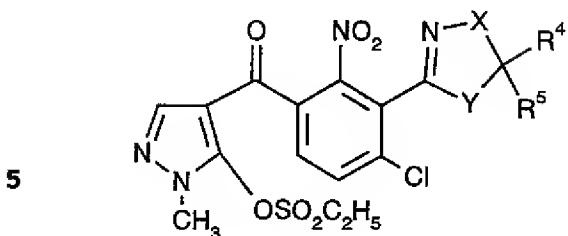
Ib165

40

- The compounds Ib166.1-Ib166.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, Z is ethylsulfonyl and R<sup>18</sup> is hydrogen.

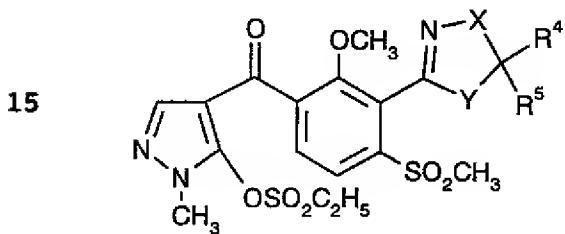
45

75



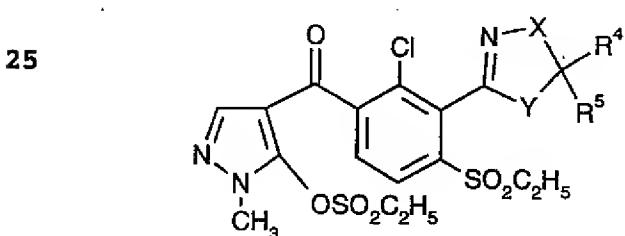
Ib166

- The compounds Ib167.1-Ib167.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $R^1$  is methoxy,  $R^2$  is methylsulfonyl,  $Z$  is ethylsulfonyl and  $R^{18}$  is hydrogen.
- 10



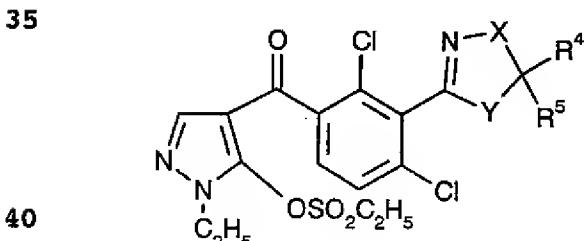
Ib167

- 20 - The compounds Ib168.1-Ib168.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $R^2$  and  $Z$  are ethylsulfonyl and  $R^{18}$  is hydrogen.



Ib168

- 30
- The compounds Ib169.1-Ib169.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $R^{16}$  is ethyl,  $Z$  is ethylsulfonyl and  $R^{18}$  is hydrogen.



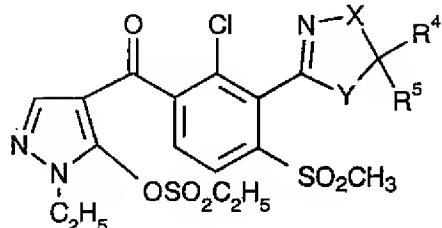
Ib169

- 40
- The compounds Ib170.1-Ib170.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $R^2$  is methylsulfonyl,  $R^{16}$  is ethyl,  $Z$  is ethylsulfonyl and  $R^{18}$  is hydrogen.
- 45

76

Ib170

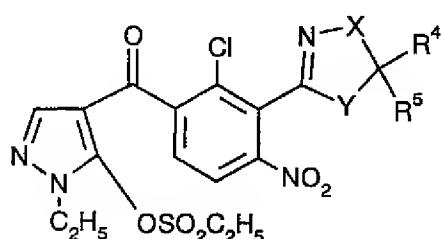
5



10

- The compounds Ib171.1-Ib171.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro, R<sup>16</sup> is ethyl, Z is ethylsulfonyl and R<sup>18</sup> is hydrogen.

15

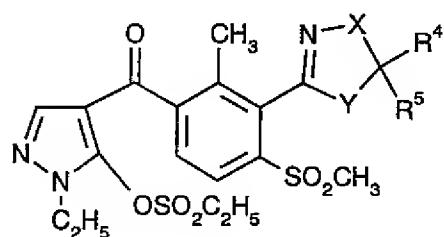


Ib171

20

- The compounds Ib172.1-Ib172.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is ethylsulfonyl and R<sup>18</sup> is hydrogen.

25



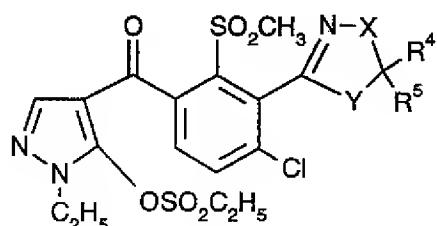
Ib172

30

35

- The compounds Ib173.1-Ib173.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is ethylsulfonyl and R<sup>18</sup> is hydrogen.

40



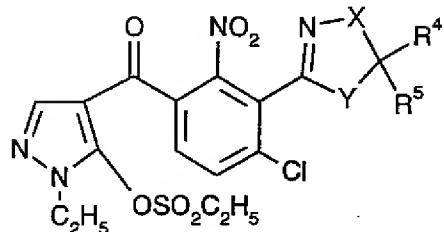
Ib173

45

- The compounds Ib174.1-Ib174.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, R<sup>16</sup> is ethyl, Z is ethylsulfonyl and R<sup>18</sup> is hydrogen.

Ib174

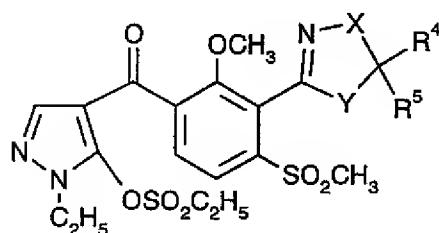
5



- The compounds Ib175.1-Ib175.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methoxy, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is ethylsulfonyl and R<sup>18</sup> is hydrogen.

15

Ib175



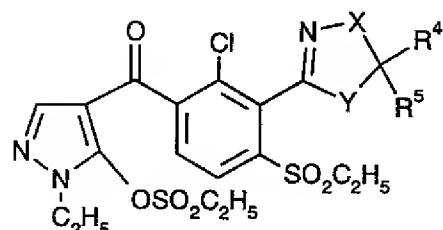
20

- The compounds Ib176.1-Ib176.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, R<sup>16</sup> is ethyl, Z is ethylsulfonyl and R<sup>18</sup> is hydrogen.

25

Ib176

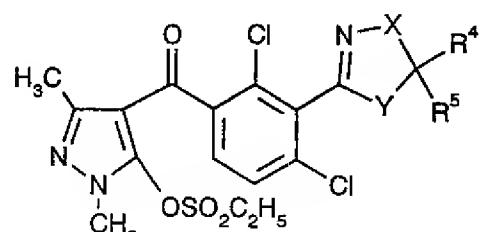
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Ib177

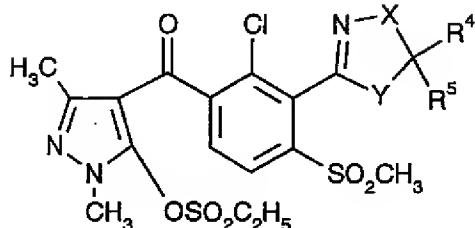


45

- The compounds Ib178.1-Ib178.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl and Z is ethylsulfonyl.

Ib178

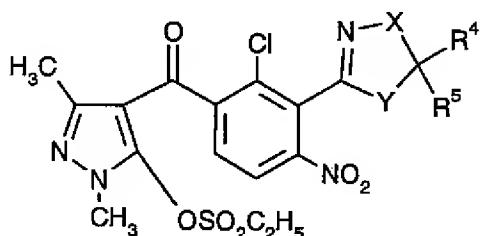
5



- 10 - The compounds Ib179.1-Ib179.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro and Z is ethylsulfonyl.

Ib179

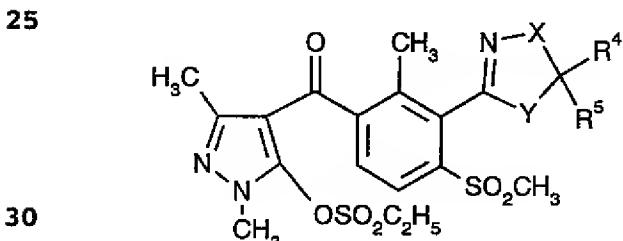
15



20

- The compounds Ib180.1-Ib180.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl and Z is ethylsulfonyl.

25



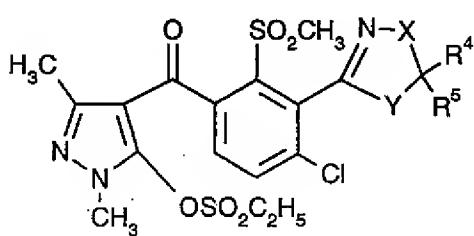
30

- The compounds Ib181.1-Ib181.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl and Z is ethylsulfonyl.

35

Ib180

40

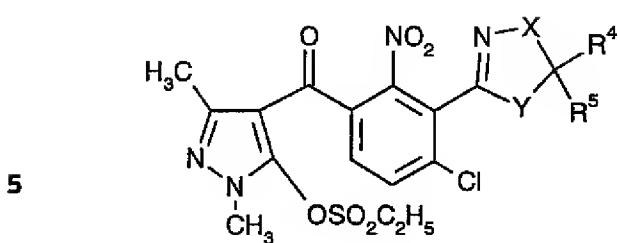


45

Ib181

- The compounds Ib182.1-Ib182.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro and Z is ethylsulfonyl.

79



Ib182

- The compounds Ib183.1-Ib183.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $\text{R}^1$  is methoxy,  $\text{R}^2$  is methylsulfonyl and  $\text{Z}$  is ethylsulfonyl.

- 10
- 15
- 
- Chemical structure 15: Similar to structure 79, but the nitro group is replaced by a methoxy group ( $\text{OCH}_3$ ) and the ethylsulfonato group ( $\text{OSO}_2\text{C}_2\text{H}_5$ ) is replaced by a methylsulfonyl group ( $\text{SO}_2\text{CH}_3$ ).
- The compounds Ib184.1-Ib184.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $\text{R}^2$  and  $\text{Z}$  are ethylsulfonyl.

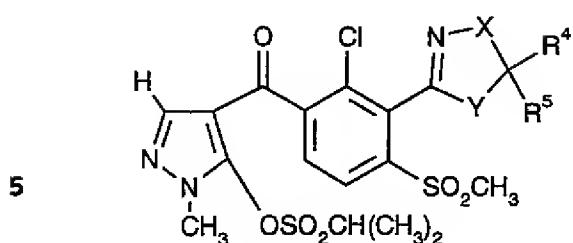
Ib183

- 20
- 25
- 
- Chemical structure 25: Similar to structure 79, but the nitro group is replaced by a chlorine atom ( $\text{Cl}$ ) and the ethylsulfonato group ( $\text{OSO}_2\text{C}_2\text{H}_5$ ) is replaced by an ethylsulfonyl group ( $\text{SO}_2\text{C}_2\text{H}_5$ ).
- 30 - The compounds Ib185.1-Ib185.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $\text{Z}$  is iso-propylsulfonyl and  $\text{R}^{18}$  is hydrogen.

Ib184

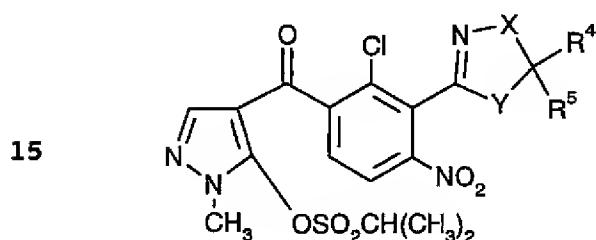
- 35
- 
- Chemical structure 35: Similar to structure 79, but the nitro group is replaced by two chlorine atoms ( $\text{Cl}$ ) and the ethylsulfonato group ( $\text{OSO}_2\text{C}_2\text{H}_5$ ) is replaced by an iso-propylsulfonyl group ( $\text{OSO}_2\text{CH}(\text{CH}_3)_2$ ).
- 40 - The compounds Ib186.1-Ib186.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $\text{R}^2$  is methylsulfonyl,  $\text{Z}$  is iso-propylsulfonyl and  $\text{R}^{18}$  is hydrogen.

80



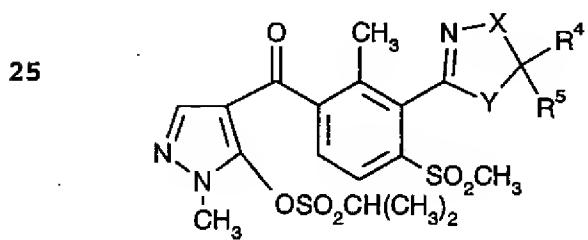
Ib186

- The compounds Ib187.1-Ib187.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro, Z is iso-propylsulfonyl and R<sup>18</sup> is hydrogen.



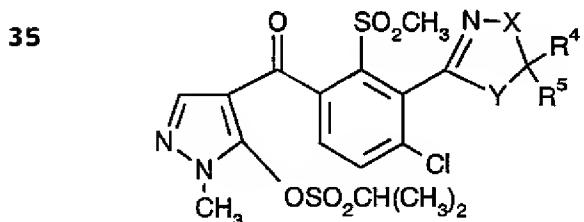
Ib187

- The compounds Ib188.1-Ib188.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, Z is iso-propylsulfonyl and R<sup>18</sup> is hydrogen.



Ib188

- The compounds Ib189.1-Ib189.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, Z is iso-propylsulfonyl and R<sup>18</sup> is hydrogen.

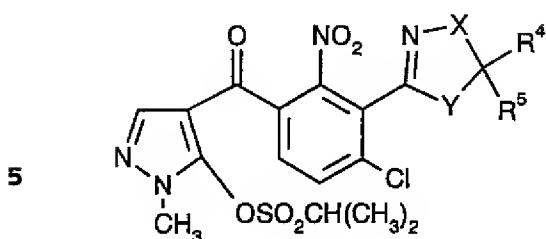


Ib189

- The compounds Ib190.1-Ib190.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, Z is iso-propylsulfonyl and R<sup>18</sup> is hydrogen.

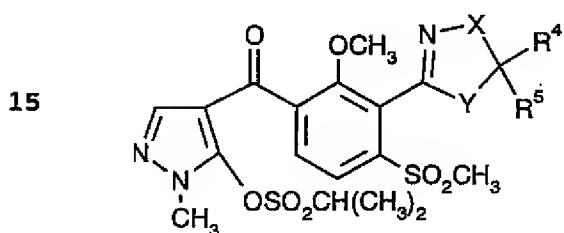
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81



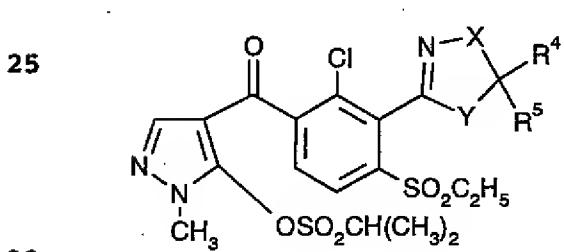
Ib190

- The compounds Ib191.1-Ib191.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methoxy, R<sup>2</sup> is methylsulfonyl, Z is iso-propylsulfonyl and R<sup>18</sup> is hydrogen.



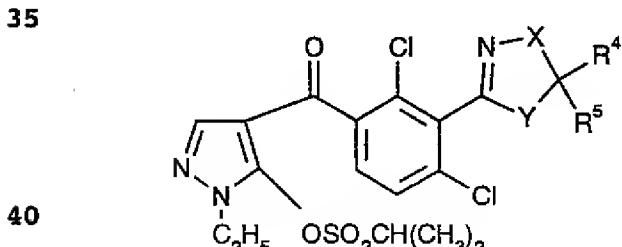
Ib191

- 20 - The compounds Ib192.1-Ib192.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, Z is iso-propylsulfonyl and R<sup>18</sup> is hydrogen.



Ib192

- 30 - The compounds Ib193.1-Ib193.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>16</sup> is ethyl, Z is iso-propylsulfonyl and R<sup>18</sup> is hydrogen.

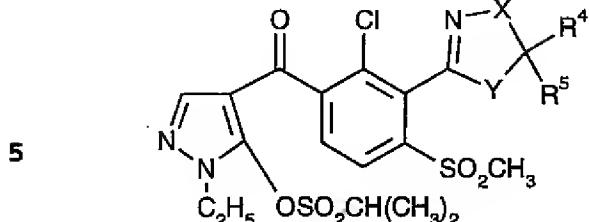


Ib193

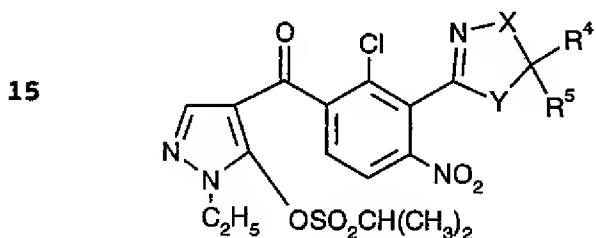
- 40 - The compounds Ib194.1-Ib194.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is iso-propylsulfonyl and R<sup>18</sup> is hydrogen.

82

Ib194

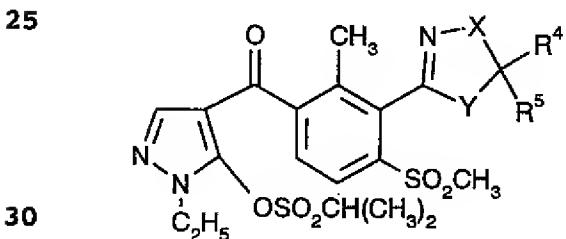


- The compounds Ib195.1-Ib195.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro, R<sup>16</sup> is ethyl, Z is iso-propylsulfonyl and R<sup>18</sup> is hydrogen.



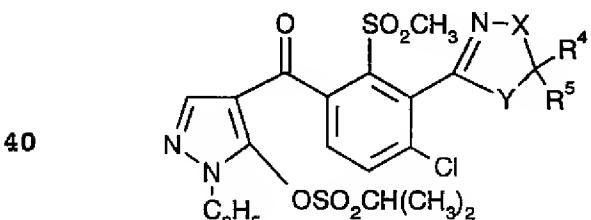
Ib195

- 20 - The compounds Ib196.1-Ib196.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is iso-propylsulfonyl and R<sup>18</sup> is hydrogen.



Ib196

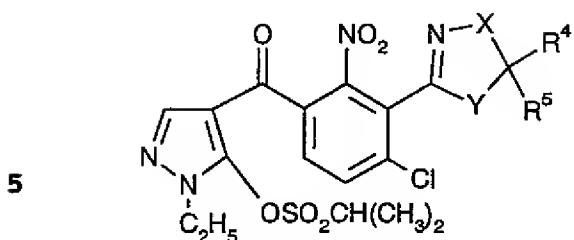
- 30 - The compounds Ib197.1-Ib197.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is iso-propylsulfonyl and R<sup>18</sup> is hydrogen.



Ib197

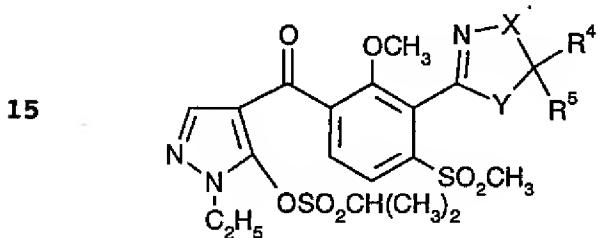
- 45 - The compounds Ib198.1-Ib198.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, R<sup>16</sup> is ethyl, Z is iso-propylsulfonyl and R<sup>18</sup> is hydrogen.

83



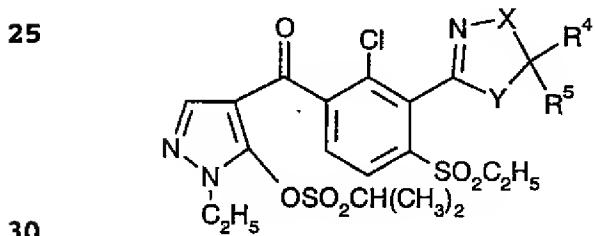
Ib198

- The compounds Ib199.1-Ib199.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methoxy, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is iso-propylsulfonyl and R<sup>18</sup> is hydrogen.



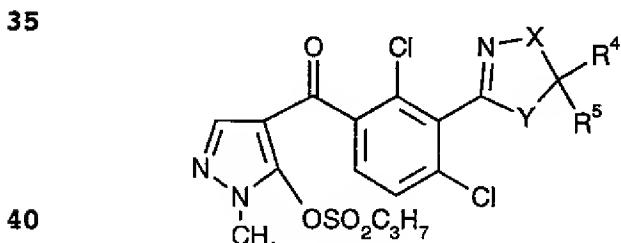
Ib199

- 20 - The compounds Ib200.1-Ib200.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, R<sup>16</sup> is ethyl, Z is iso-propylsulfonyl and R<sup>18</sup> is hydrogen.



Ib200

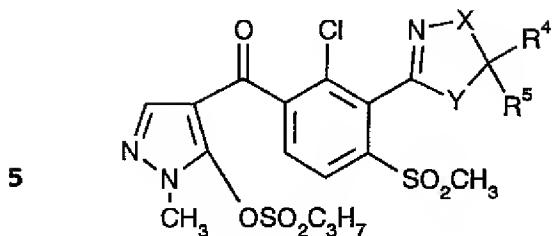
- 30
- The compounds Ib201.1-Ib201.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.



Ib201

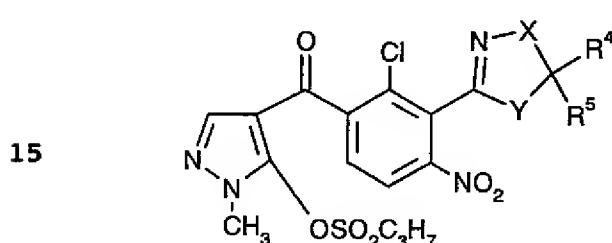
- 40
- 45
- The compounds Ib202.1-Ib202.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.

84



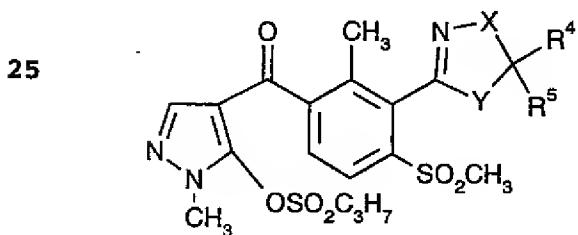
Ib202

- The compounds Ib203.1-Ib203.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro, Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.



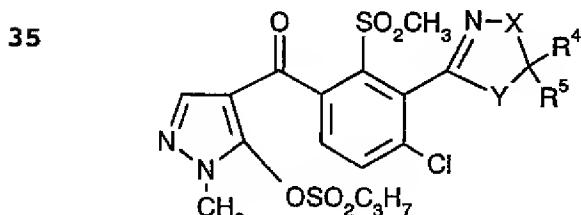
Ib203

- The compounds Ib204.1-Ib204.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.



Ib204

- The compounds Ib205.1-Ib205.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.

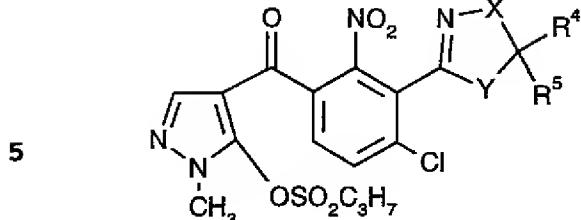


Ib205

- The compounds Ib206.1-Ib206.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.

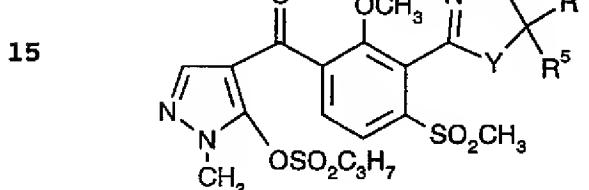
85

Ib206



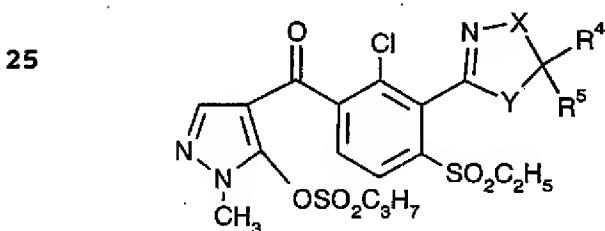
- The compounds Ib207.1-Ib207.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methoxy, R<sup>2</sup> is methylsulfonyl, Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.

Ib207



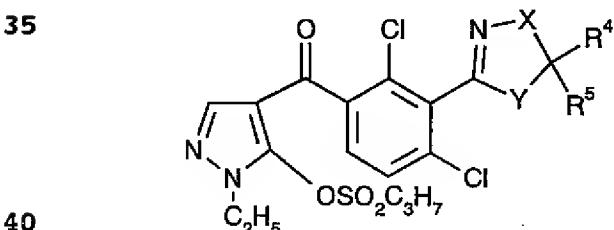
- 20 - The compounds Ib.208.1-Ib208.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.

Ib208



- 30 - The compounds Ib209.1-Ib209.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>16</sup> is ethyl, Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.

Ib209

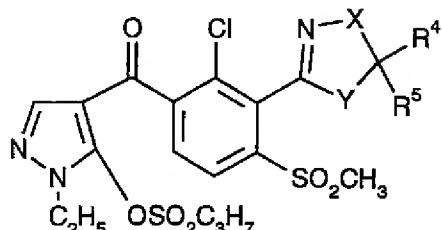


- 40 - The compounds Ib210.1-Ib210.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.

86

Ib210

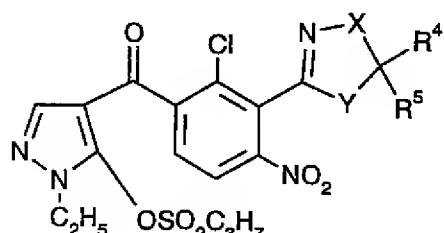
5



- The compounds Ib211.1-Ib211.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro, R<sup>16</sup> is ethyl, Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.

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Ib211



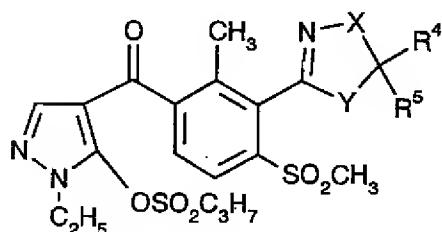
20

- The compounds Ib212.1-Ib212.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.

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Ib212

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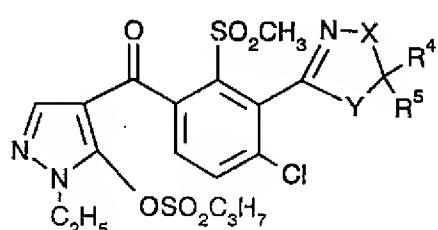


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- The compounds Ib213.1-Ib213.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.

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Ib213

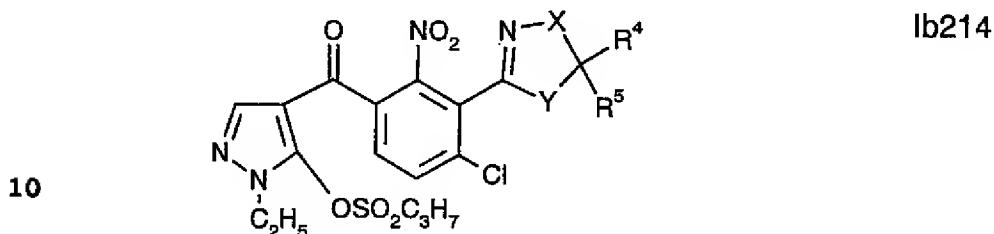


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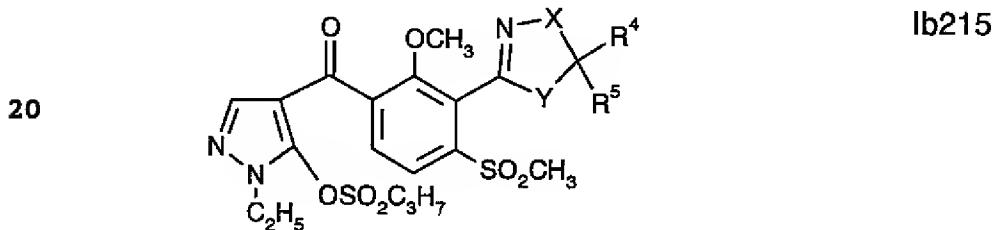
87

- The compounds Ib214.1-Ib214.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, R<sup>16</sup> is ethyl, Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.

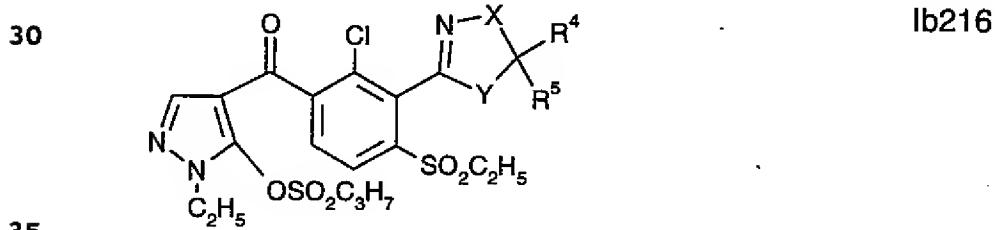
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- 15
- The compounds Ib215.1-Ib215.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methoxy, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.

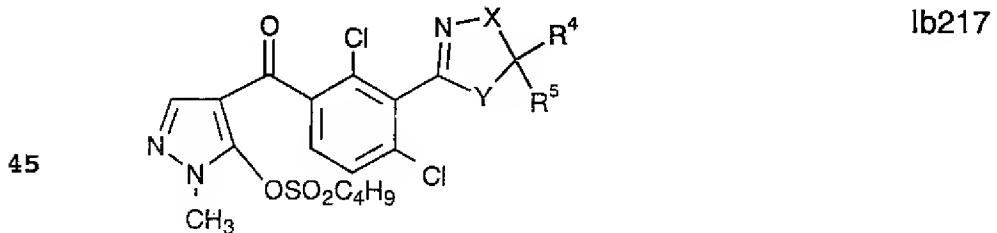


- 25
- The compounds Ib216.1-Ib216.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, R<sup>16</sup> is ethyl, Z is n-propylsulfonyl and R<sup>18</sup> is hydrogen.



- 35
- The compounds Ib217.1-Ib217.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is n-butylsulfonyl and R<sup>18</sup> is hydrogen.

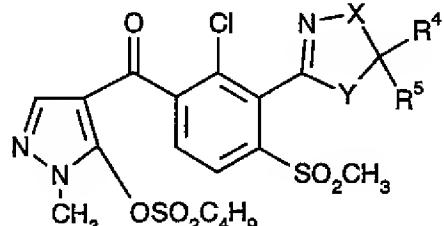
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## 88

- The compounds Ib218.1-Ib218.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, Z is n-butylsulfonyl and R<sup>18</sup> is hydrogen.

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Ib218

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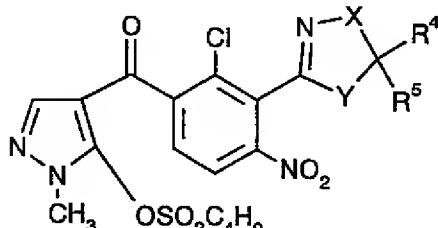
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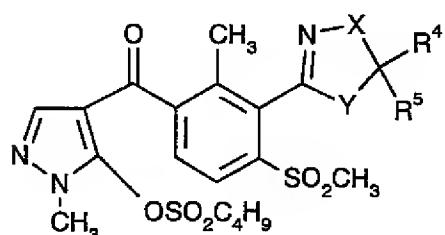
- The compounds Ib219.1-Ib219.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro, Z is n-butylsulfonyl and R<sup>18</sup> is hydrogen.

Ib 219



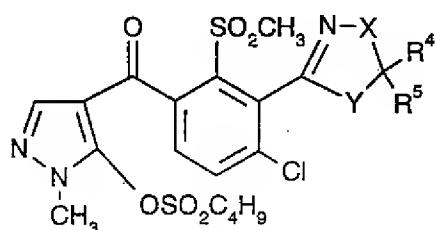
- The compounds Ib220.1-Ib220.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, Z is n-butylsulfonyl and R<sup>18</sup> is hydrogen.

Ib220



- The compounds Ib221.1-Ib221.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, Z is n-butylsulfonyl and R<sup>18</sup> is hydrogen.

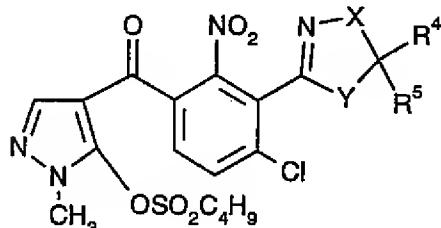
Ib221



## 89

- The compounds Ib222.1-Ib222.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, Z is n-butylsulfonyl and R<sup>18</sup> is hydrogen.

5



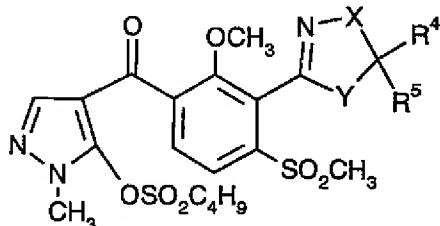
Ib222

10

- The compounds Ib223.1-Ib223.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methoxy, R<sup>2</sup> is methylsulfonyl, Z is n-butylsulfonyl and R<sup>18</sup> is hydrogen.

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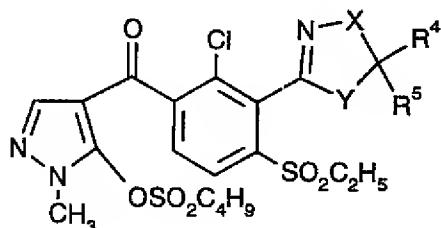


Ib223

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- The compounds Ib224.1-Ib224.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, Z is n-butylsulfonyl and R<sup>18</sup> is hydrogen.

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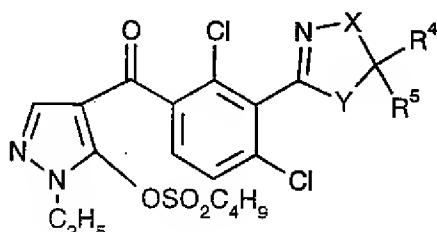


Ib224

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- The compounds Ib225.1-Ib225.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>16</sup> is ethyl, Z is n-butylsulfonyl and R<sup>18</sup> is hydrogen.

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Ib225

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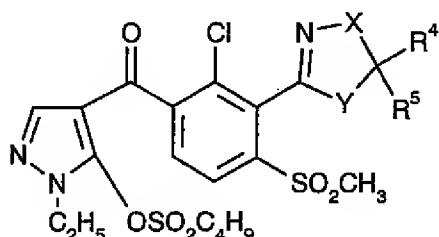
90

- The compounds Ib226.1-Ib226.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, z is n-butylsulfonyl and R<sup>18</sup> is hydrogen.

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Ib226

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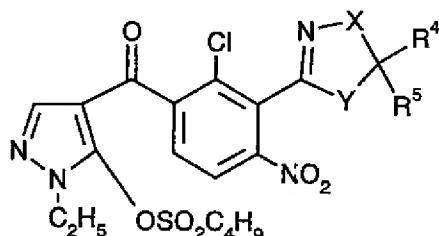


15

- The compounds Ib227.1-Ib227.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro, R<sup>16</sup> is ethyl, z is n-butylsulfonyl and R<sup>18</sup> is hydrogen.

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Ib227



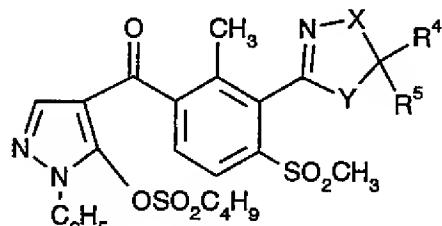
35

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- The compounds Ib228.1-Ib228.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, z is n-butylsulfonyl and R<sup>18</sup> is hydrogen.

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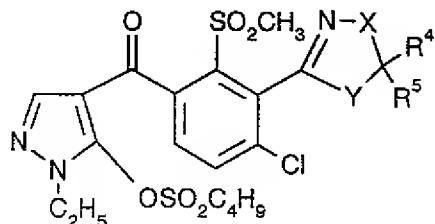
Ib228



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- The compounds Ib229.1-Ib229.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, z is n-butylsulfonyl and R<sup>18</sup> is hydrogen.

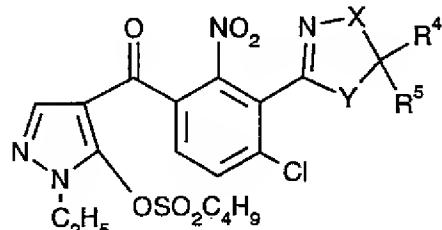


Ib229

91

- The compounds Ib230.1-Ib230.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, R<sup>16</sup> is ethyl, Z is n-butylsulfonyl and R<sup>18</sup> is hydrogen.

5



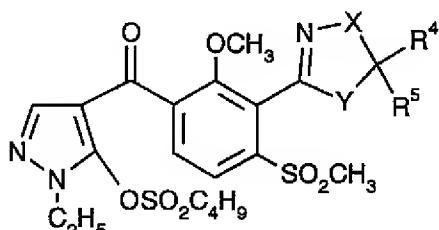
Ib230

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- The compounds Ib231.1-Ib231.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methoxy, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is n-butylsulfonyl and R<sup>18</sup> is hydrogen.

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Ib231

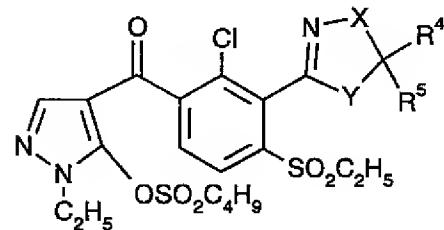
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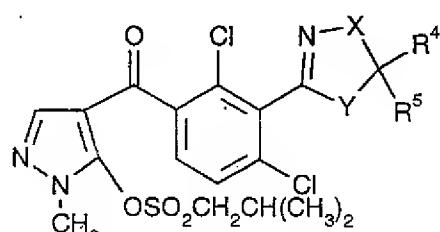
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Ib232

- The compounds Ib233.1-Ib233.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is iso-butylsulfonyl and R<sup>18</sup> is hydrogen.

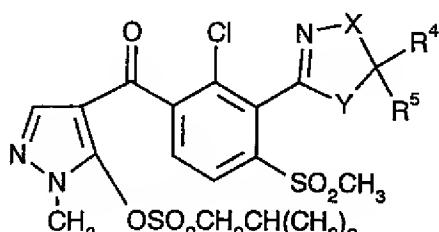


Ib233

92

- The compounds Ib234.1-Ib234.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, Z is iso-butylsulfonyl and R<sup>18</sup> is hydrogen.

5

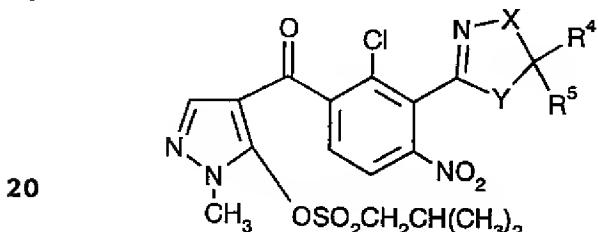


Ib234

10

- The compounds Ib235.1-Ib235.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro, Z is iso-butylsulfonyl and R<sup>18</sup> is hydrogen.

15

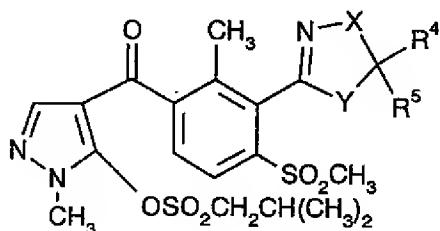


Ib235

20

- The compounds Ib236.1-Ib236.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, Z is iso-butylsulfonyl and R<sup>18</sup> is hydrogen.

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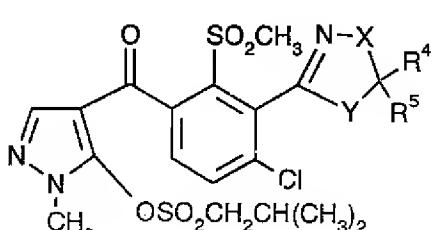


Ib236

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- The compounds Ib237.1-Ib237.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, Z is iso-butylsulfonyl and R<sup>18</sup> is hydrogen.

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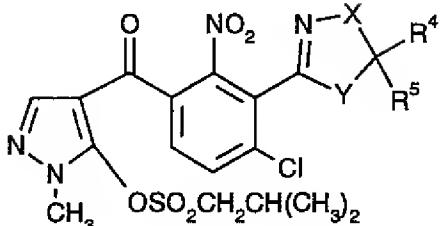
Ib237

45

- The compounds Ib238.1-Ib238.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, Z is iso-butylsulfonyl and R<sup>18</sup> is hydrogen.

93

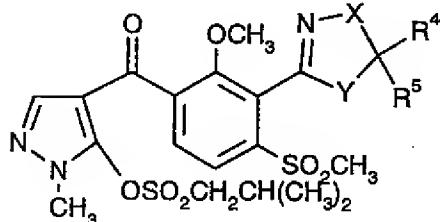
5



Ib238

- The compounds Ib239.1-Ib239.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methoxy, R<sup>2</sup> is methylsulfonyl, Z is iso-butylsulfonyl and R<sup>18</sup> is hydrogen.

15

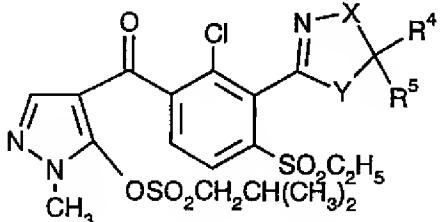


Ib239

20

- The compounds Ib240.1-Ib240.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, Z is iso-butylsulfonyl and R<sup>18</sup> is hydrogen.

25

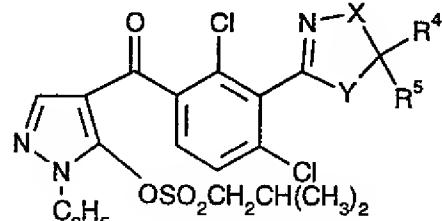


Ib240

30

- The compounds Ib241.1-Ib241.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>16</sup> is ethyl, Z is iso-butylsulfonyl and R<sup>18</sup> is hydrogen.

35



Ib241

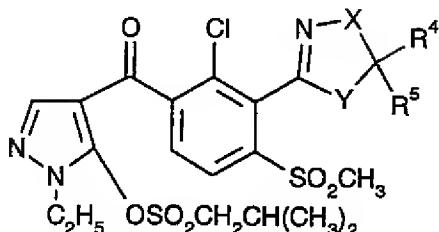
40

- The compounds Ib242.1-Ib242.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is iso-butylsulfonyl and R<sup>18</sup> is hydrogen.

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94

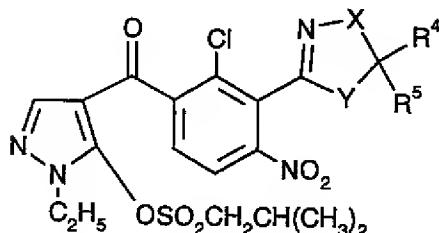
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Ib242

- The compounds Ib243.1-Ib243.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is nitro, R<sup>16</sup> is ethyl, Z is iso-butylsulfonyl and R<sup>18</sup> is hydrogen.

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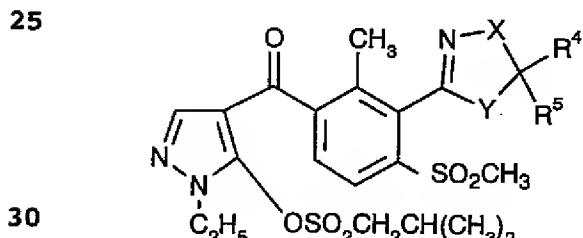


Ib243

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- The compounds Ib244.1-Ib244.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is iso-butylsulfonyl and R<sup>18</sup> is hydrogen.

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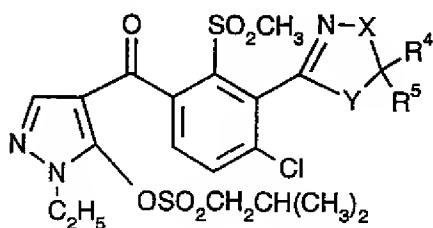


Ib244

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- The compounds Ib245.1-Ib245.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is iso-butylsulfonyl and R<sup>18</sup> is hydrogen.

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Ib245

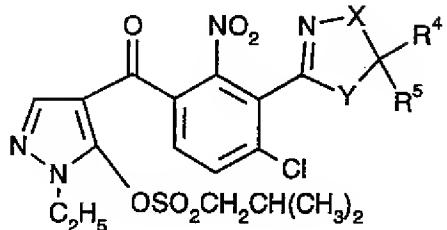
45

- The compounds Ib246.1-Ib246.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is nitro, R<sup>16</sup> is ethyl, Z is iso-butylsulfonyl and R<sup>18</sup> is hydrogen.

95

Ib246

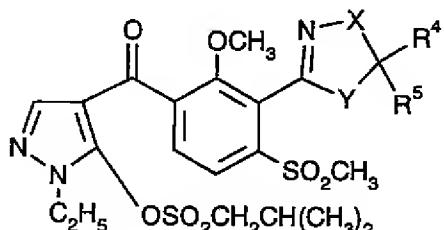
5



- The compounds Ib247.1-Ib247.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $R^1$  is methoxy,  $R^2$  is methylsulfonyl,  $R^{16}$  is ethyl,  $Z$  is iso-butyrlsulfonyl and  $R^{18}$  is hydrogen.

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Ib247



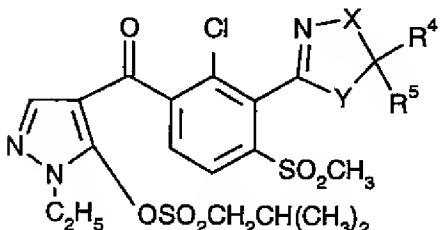
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- The compounds Ib248.1-Ib248.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $R^2$  is ethylsulfonyl,  $R^{16}$  is ethyl,  $Z$  is iso-butyrlsulfonyl and  $R^{18}$  is hydrogen.

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Ib248

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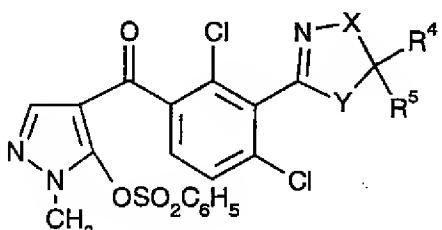


35

- The compounds Ib249.1-Ib249.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $Z$  is phenylsulfonyl and  $R^{18}$  is hydrogen.

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Ib249



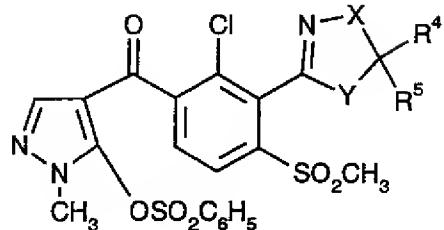
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- The compounds Ib250.1-Ib250.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that  $R^2$  is methylsulfonyl,  $Z$  is phenylsulfonyl and  $R^{18}$  is hydrogen.

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Ib250

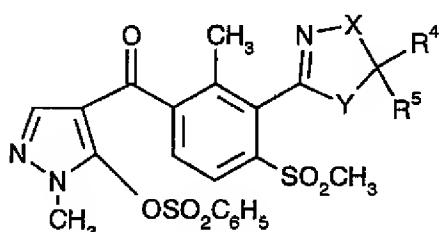
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- The compounds Ib251.1-Ib251.126 which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, Z is phenylsulfonyl and R<sup>18</sup> is hydrogen.

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Ib251

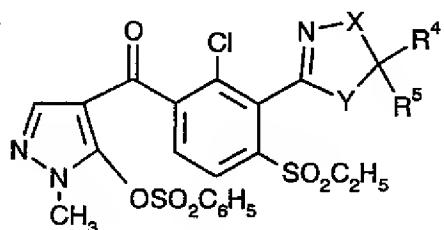


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- The compounds Ib252.1-Ib252.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, Z is phenylsulfonyl and R<sup>18</sup> is hydrogen.

25

Ib252



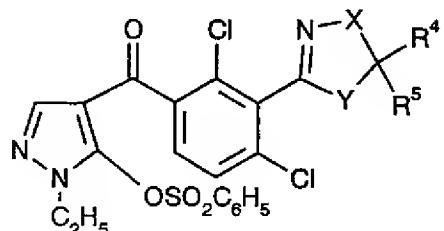
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- The compounds Ib253.1-Ib253.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>16</sup> is ethyl, Z is phenylsulfonyl and R<sup>18</sup> is hydrogen.

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Ib253

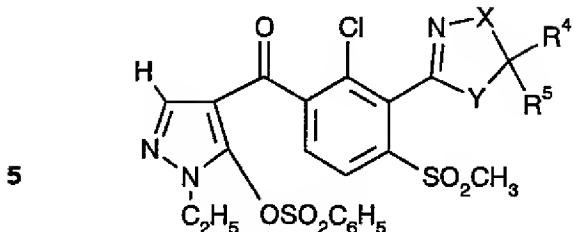
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- The compounds Ib254.1-Ib254.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is phenylsulfonyl and R<sup>18</sup> is hydrogen.

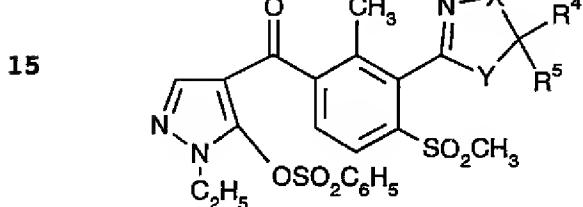
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Ib254

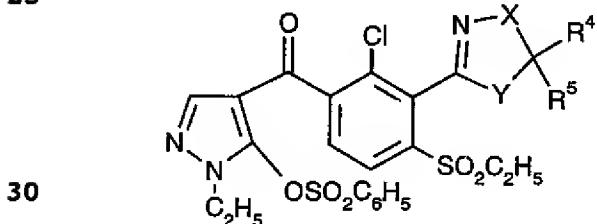
- The compounds Ib255.1-Ib255.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methysulfonyl, R<sup>16</sup> is ethyl, Z is phenylsulfonyl and R<sup>18</sup> is hydrogen.

Ib255



- 20 - The compounds Ib256.1-Ib256.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, R<sup>16</sup> is ethyl, Z is phenylsulfonyl and R<sup>18</sup> is hydrogen.

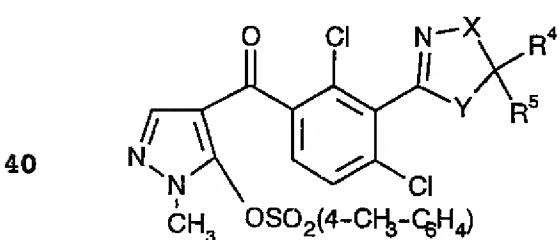
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Ib256

- The compounds Ib257.1-Ib257.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that Z is p-toluenesulfonyl and R<sup>18</sup> is hydrogen.

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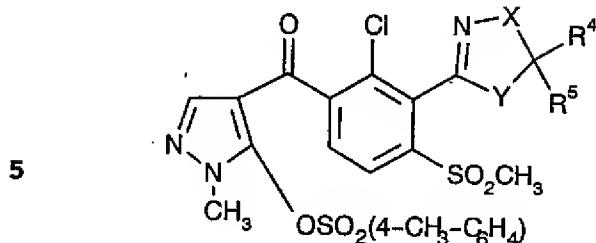


Ib257

- The compounds Ib258.1-Ib258.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methysulfonyl, Z is p-toluenesulfonyl and R<sup>18</sup> is hydrogen.

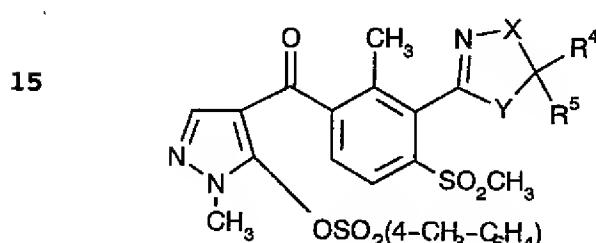
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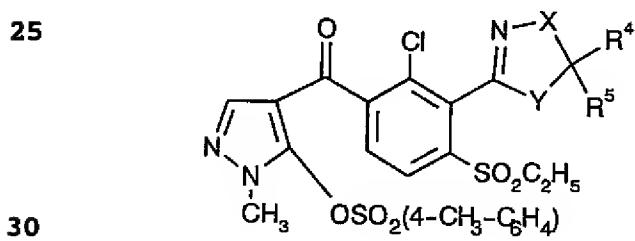
Ib258

- The compounds Ib259.1-Ib259.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, Z is p-toluenesulfonyl and R<sup>18</sup> is hydrogen.



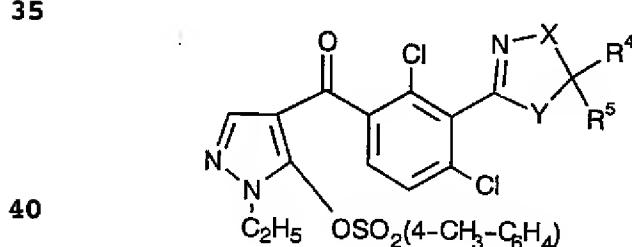
Ib259

- The compounds Ib260.1-Ib260.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, Z is p-toluenesulfonyl and R<sup>18</sup> is hydrogen.



Ib260

- The compounds Ib261.1-Ib261.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>16</sup> is ethyl, Z is p-toluenesulfonyl and R<sup>18</sup> is hydrogen.

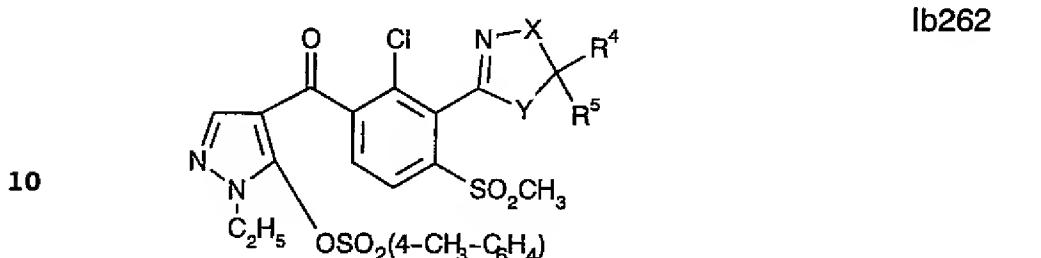


Ib261

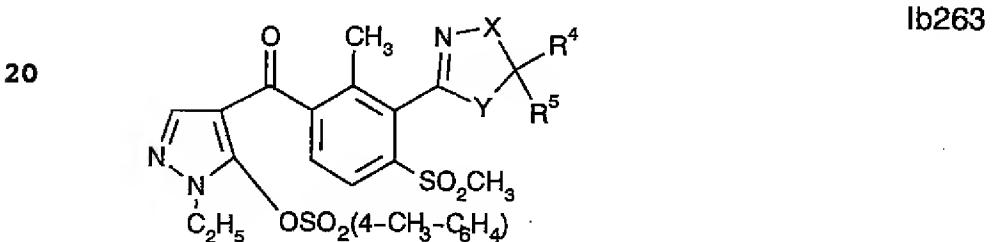
99

- The compounds Ib262.1-Ib262.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is p-toluenesulfonyl and R<sup>18</sup> is hydrogen.

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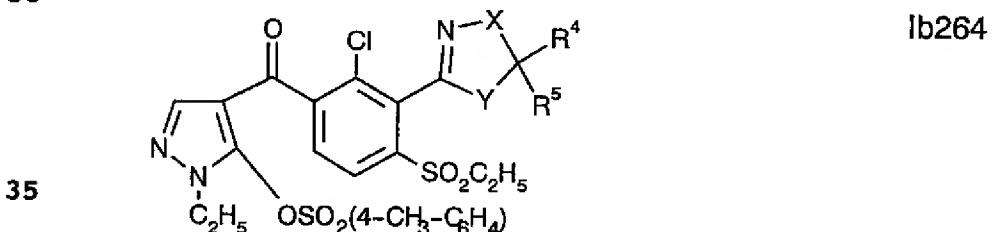


- The compounds Ib263.1-Ib263.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>1</sup> is methyl, R<sup>2</sup> is methylsulfonyl, R<sup>16</sup> is ethyl, Z is p-toluenesulfonyl and R<sup>18</sup> is hydrogen.



- 25 - The compounds Ib264-Ib264.126, which differ from the corresponding compounds Ib1.1-Ib1.126 by the fact that R<sup>2</sup> is ethylsulfonyl, R<sup>16</sup> is ethyl, Z is p-toluenesulfonyl and R<sup>18</sup> is hydrogen.

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The 3-heterocyclyl-substituted benzoyl derivatives of the formula I are obtainable by various routes, for example by the following process:

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Process A:

Reaction of pyrazoles of the formula II (where Z = H) with an activated benzoic acid III $\alpha$  or a benzoic acid III $\beta$ , which is preferably activated in situ to give the acylating product and subsequently subjecting the latter to a rearrangement reaction.

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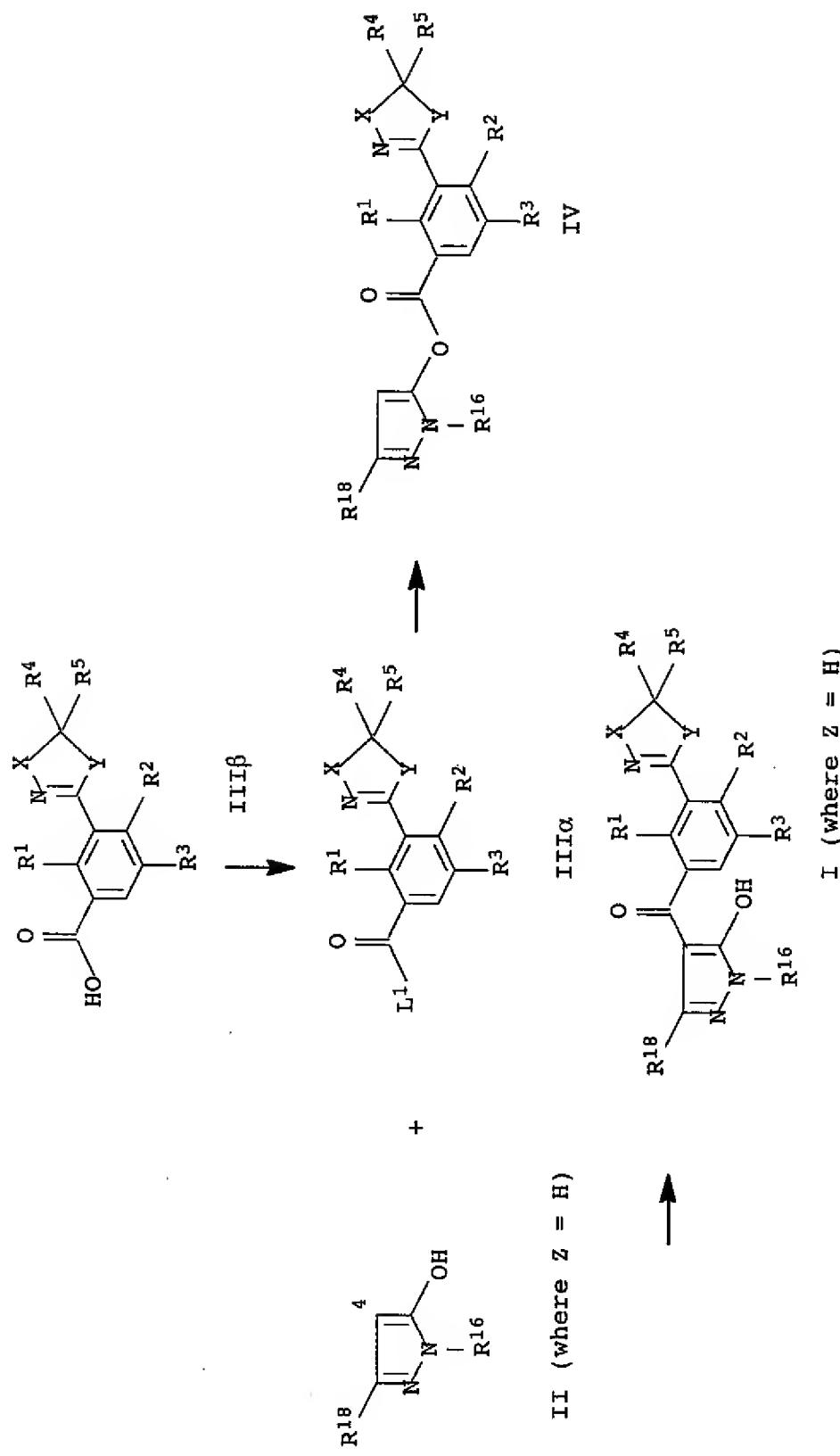
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L<sup>1</sup> is a nucleophilically displaceable leaving group such as halogen, e.g. bromine, chlorine, hetaryl, e.g. imidazolyl, pyridyl, carboxylate, e.g. acetate, trifluoroacetate, and the like.

5

The activated benzoic acid can be employed directly, as in the case of the benzoyl halides, or it can be prepared in situ, for example with dicyclohexylcarbodiimide,

10 triphenylphosphine/azodicarboxylic ester, 2-pyridine disulfide/triphenylphosphine, carbonyldiimidazole and the like.

It may be advantageous to carry out the acylation reaction in the presence of a base. The reactants and the auxiliary base are 15 expediently employed in equimolar amounts. A small excess of the auxiliary base, for example 1.2 to 1.5 mol equivalents based on II, may be advantageous under certain circumstances.

Suitable auxiliary bases are tertiary alkylamines, pyridine or 20 alkali metal carbonates. Examples of solvents which can be used are chlorinated hydrocarbons such as methylene chloride, 1,2-dichloroethane, aromatic hydrocarbons such as toluene, xylene, chlorobenzene, ethers such as diethyl ether, methyl tert-butyl ether, tetrahydrofuran, dioxane, polar aprotic 25 solvents such as acetonitrile, dimethylformamide, dimethyl sulfoxide, or esters such as ethyl acetate, or mixtures of these.

If benzoyl halides are employed as activated carboxylic acid component, it may be expedient to cool the reaction mixture to 30 0-10°C when adding this reactant. The mixture is subsequently stirred at 20 - 100°C, preferably at 25 - 50°C, until the reaction is complete. Work-up is carried out in the customary manner, for example the reaction mixture is poured into water and the product of value is extracted. Especially suitable solvents for this 35 purpose are methylene chloride, diethyl ether and ethyl acetate. After the organic phase has been dried and the solvent removed, the crude ester can be employed without further purification for the rearrangement reaction.

40 Rearrangement of the esters to give the compounds of the formula I is expediently carried out at from 20 to 40°C in a solvent and in the presence of a base and, if appropriate, with the aid of a cyano compound as catalyst.

## 103

Examples of solvents which can be used are acetonitrile, methylene chloride, 1,2-dichlorethane, dioxane, ethyl acetate, toluene or mixtures of these. Preferred solvents are acetonitrile and dioxane.

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Suitable bases are tertiary amines such triethylamine, pyridine, or alkali metal carbonates such as sodium carbonate, potassium carbonate, all of which are preferably employed in equimolar amounts or up to a fourfold excess, based on the ester.

10 Triethylamine or alkali metal carbonate are preferably used, but by preference in a ratio of twice the equimolar amount based on the ester.

15 Suitable cyano compounds are inorganic cyanides such as sodium cyanide, potassium cyanide, and organic cyano compounds such as acetone cyanohydrin, trimethylsilyl cyanide. They are employed in an amount of from 1 to 50 mol percent, based on the ester.

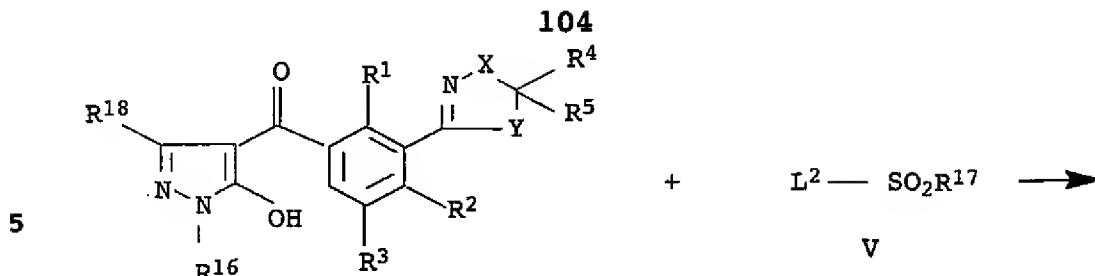
Substances which are preferably employed are acetone cyanohydrin or trimethylsilyl cyanide, for example in an amount of from 5 to 20 15, preferably 10, mol percent, based on the ester.

Work-up can be effected in a manner known per se. For example, the reaction mixture is acidified with dilute mineral acid, such 25 as 5% strength hydrochloric acid or sulfuric acid, and extracted with an organic solvent, eg. methylene chloride or ethyl acetate. The organic extract can be extracted with 5-10% strength alkali metal carbonate solution, eg. sodium carbonate or potassium carbonate solution. The aqueous phase is acidified, and the 30 precipitate which forms is filtered off with suction and/or extracted with methylene chloride or ethyl acetate, dried and concentrated.

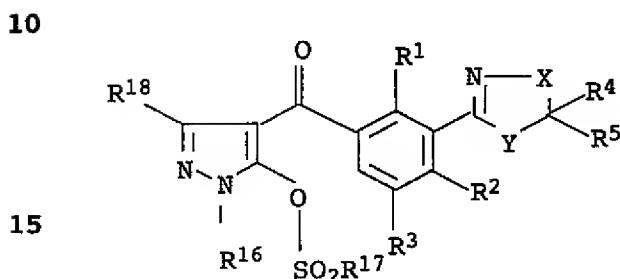
(Examples of the synthesis of esters from hydroxypyrazoles and of the rearrangement of the esters are mentioned, for example, in 35 EP-A 282 944 and US 4 643 757).

## Process B:

Reaction of 3-heterocyclyl-substituted benzoyl derivatives of 40 the formula I (where Z = H) with a compound of the formula V (where Z = SO<sub>2</sub>R<sup>17</sup>):



I (where Z = H)



I (where Z =  $SO_2R^{17}$ )

20  $L^2$  is a nucleophilically displaceable leaving group, such as halogen, eg. bromine, chlorine, hetaryl, eg. imidazolyl, pyridyl, sulfonate, eg.  $OSO_2R^{17}$ .

25 The compounds of the formula V can be employed directly such as, for example, in the case of the sulfonyl halides or sulfonic anhydrides, or they can be prepared in situ, for example activated sulfonic acids (by means of sulfonic acid and dicyclohexylcarbodiimide, carbonyldiimidazole and the like).

30 As a rule, the starting compounds are employed in an equimolar ratio. However, it may also be advantageous to employ an excess of one or the other component.

35 It may be advantageous to carry out the reaction in the presence of a base. The reactants and the auxiliary base are expediently employed in equimolar ratios. An excess of the auxiliary base, for example 1.5 to 3 mol equivalents, based on II, may be advantageous under certain circumstances.

40 Suitable auxiliary bases are tertiary alkylamines such as triethylamine or pyridine, alkali metal carbonates, eg. sodium carbonate or potassium carbonate, and alkali metal hydrides, eg. sodium hydride. Triethylamine and pyridine are preferably used.

45

## 105

Examples of suitable solvents are chlorinated hydrocarbons such as methylene chloride or 1,2-dichlorethane, aromatic hydrocarbons, eg. toluene, xylene or chlorobenzene, ethers such as diethyl ether, methyl tert-butyl ether, tetrahydrofuran or 5 dioxane, polar aprotic solvents such as acetonitrile, dimethylformamide or dimethyl sulfoxide, or esters such as ethyl acetate, or mixtures of these.

As a rule, the reaction temperature is in the range of from 0°C to 10 the boiling point of the reaction mixture.

Work-up can be effected in a manner known per se to give the product.

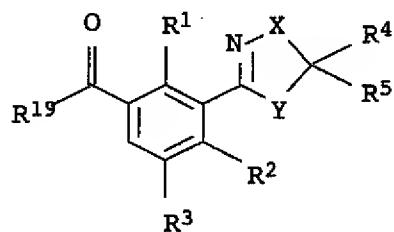
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Those pyrazoles of the formula II (where Z = H) which are used as starting materials and which are not already known can be prepared by processes known per se (for example EP-A 240 001 and J. Prakt. Chem. 315, 383 (1973)).

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Novel 3-heterocyclyl-substituted benzoic acid derivatives of the formula III

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are those where the variables have the following meanings:

35 R<sup>1</sup>, R<sup>2</sup> are hydrogen, nitro, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-haloalkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-haloalkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl or C<sub>1</sub>-C<sub>6</sub>-haloalkylsulfonyl;

40

R<sup>3</sup> is hydrogen, halogen or C<sub>1</sub>-C<sub>6</sub>-alkyl;

45 R<sup>4</sup>, R<sup>5</sup> are hydrogen, halogen, cyano, nitro, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl, di(C<sub>1</sub>-C<sub>4</sub>-alkoxy)-C<sub>1</sub>-C<sub>4</sub>-alkyl, di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino-C<sub>1</sub>-C<sub>4</sub>-alkyl, [2,2-di(C<sub>1</sub>-C<sub>4</sub>-alkyl)hydrazino-1]-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkyliminoxy-C<sub>1</sub>-C<sub>4</sub>-alkyl,

## 106

C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl-C<sub>1</sub>-C<sub>4</sub>-alkyl,  
C<sub>1</sub>-C<sub>4</sub>-alkylthio-C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl,  
C<sub>1</sub>-C<sub>4</sub>-cyanoalkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy,  
C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy,  
5 C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-haloalkylthio,  
di(C<sub>1</sub>-C<sub>4</sub>-alkyl)amino, COR<sup>6</sup>, phenyl or benzyl, it being  
possible for the two last-mentioned substituents to be  
partially or fully halogenated and/or to have attached to  
them one to three of the following groups:  
10 nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy  
or C<sub>1</sub>-C<sub>4</sub>-haloalkoxy;

or

15 R<sup>4</sup> and R<sup>5</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain which can be  
mono- to tetrasubstituted by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can  
be interrupted by oxygen or by a nitrogen which is  
unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl;

20

or

R<sup>4</sup> and R<sup>5</sup> together with the corresponding carbon form a carbonyl  
or a thiocarbonyl group;

25

R<sup>6</sup> is C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy,  
C<sub>1</sub>-C<sub>4</sub>-alkoxy-C<sub>2</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy,  
C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, C<sub>3</sub>-C<sub>6</sub>-alkynyloxy or NR<sup>7</sup>R<sup>8</sup>;

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R<sup>7</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;

R<sup>8</sup> is C<sub>1</sub>-C<sub>4</sub>-alkyl;

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X is O, S, NR<sup>9</sup>, CO or CR<sup>10</sup>R<sup>11</sup>;

Y Y is O, S, NR<sup>12</sup>, CO or CR<sup>13</sup>R<sup>14</sup>;

40

R<sup>9</sup>, R<sup>12</sup> are hydrogen or C<sub>1</sub>-C<sub>4</sub>-alkyl;

R<sup>10</sup>, R<sup>11</sup>, R<sup>13</sup>, R<sup>14</sup> are hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl,  
C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>4</sub>-haloalkoxycarbonyl or CONR<sup>7</sup>R<sup>8</sup>;

45 or

## 107

R<sup>4</sup> and R<sup>9</sup> or R<sup>4</sup> and R<sup>10</sup> or R<sup>5</sup> and R<sup>12</sup> or R<sup>5</sup> and R<sup>13</sup> together form a C<sub>2</sub>-C<sub>6</sub>-alkanediyl chain which can be mono- to tetrasubstituted by C<sub>1</sub>-C<sub>4</sub>-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub>-alkyl;

5

R<sup>19</sup> is hydroxyl or a radical which can be removed by hydrolysis;

10

with the exception of methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate and methyl 2-chloro-3-(4,5-dihydrooxazol-2-yl)-4-methylsulfonylbenzoate.

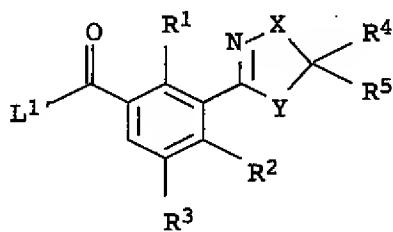
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Examples of radicals which can be removed by hydrolysis are alkoxy, phenoxy, alkylthio and phenylthio radicals which are unsubstituted or substituted, halides, hetaryl radicals which are bonded via nitrogen; amino, imino radicals which are unsubstituted or substituted, and the like.

20

Preferred are 3-heterocyclyl-substituted benzoic acid halides of the formula IIIa', where L<sup>1'</sup> = halogen ( $\cong$  III where R<sup>19</sup> = halogen)

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30

IIIa'

where the variables R<sup>1</sup> to R<sup>5</sup>, X and Y have the meanings given under the formula III and

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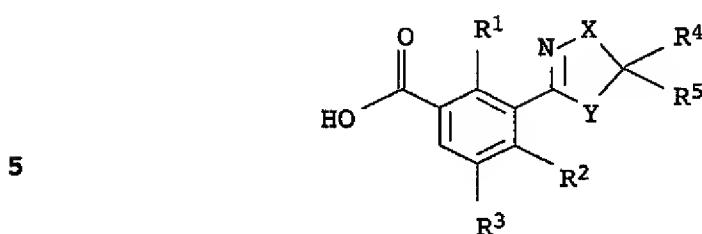
L<sup>1'</sup> is halogen, in particular chlorine or bromine.

Equally preferred are 3-heterocyclyl-substituted benzoic acids of the formula IIIβ ( $\cong$  III where R<sup>19</sup> = hydroxyl)

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45

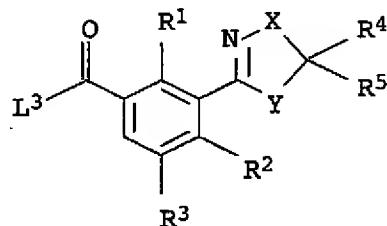
108

III $\beta$ 

10 where the variables R<sup>1</sup> to R<sup>5</sup>, X and Y have the meanings given under formula III.

15 Equally preferred are 3-heterocyclyl-substituted benzoic esters of the formula III $\gamma$  ( $\cong$  III where R<sup>19</sup> = C<sub>1</sub>-C<sub>6</sub>-alkoxy)

20

III $\gamma$ 

25 where the variables R<sup>1</sup> to R<sup>5</sup>, X and Y have the meanings given under formula III and

L<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub>-alkoxy.

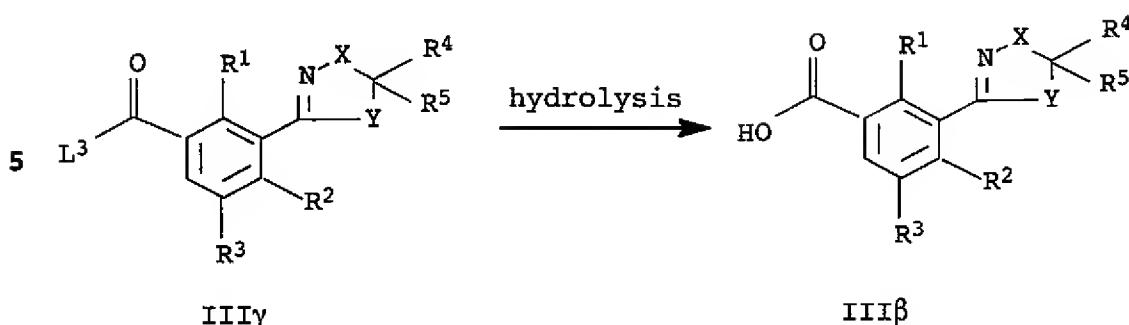
30 The specially preferred embodiments of the 3-heterocyclyl-substituted benzoic acid derivatives of the formula III with regard to the variables R<sup>1</sup> to R<sup>5</sup>, X and Y correspond to those of the 3-heterocyclyl-substituted benzoyl derivatives of the formula I.

35

The benzoyl halides of the formula III $\alpha'$  (where L<sup>1'</sup> = Cl, Br) can be prepared in a manner known per se by reacting the benzoic acids of the formula III $\beta$  with halogenating reagents such as 40 thionyl chloride, thionyl bromide, phosgene, diphosgene, triphosgene, oxalyl chloride or oxalyl bromide.

The benzoic acids of the formula III $\beta$  can be prepared in a known manner from the corresponding esters of the formula III $\gamma$  45 (L<sup>3</sup> = C<sub>1</sub>-C<sub>6</sub>-alkoxy) by means of acid or basic hydrolysis.

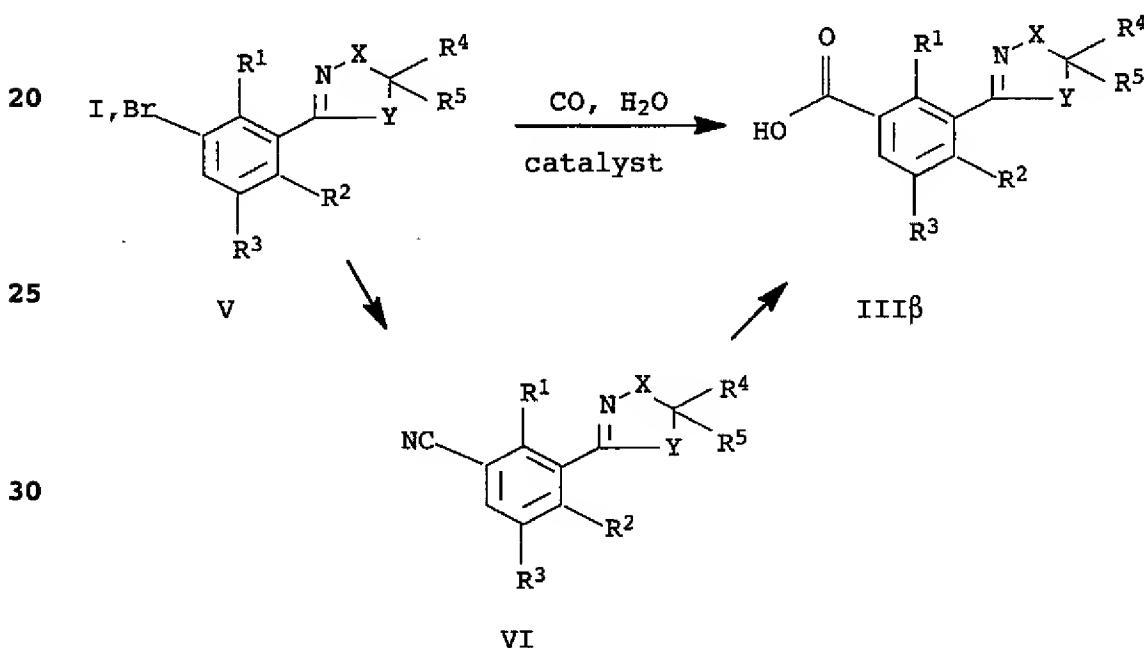
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Equally, the benzoic acids of the formula III $\beta$  can be obtained by reacting corresponding bromine- or iodine-substituted compounds of the formula V, with carbon monoxide and water under elevated pressure in the presence of a palladium, nickel, cobalt or

15 rhodium transition metal catalyst and a base.



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VI

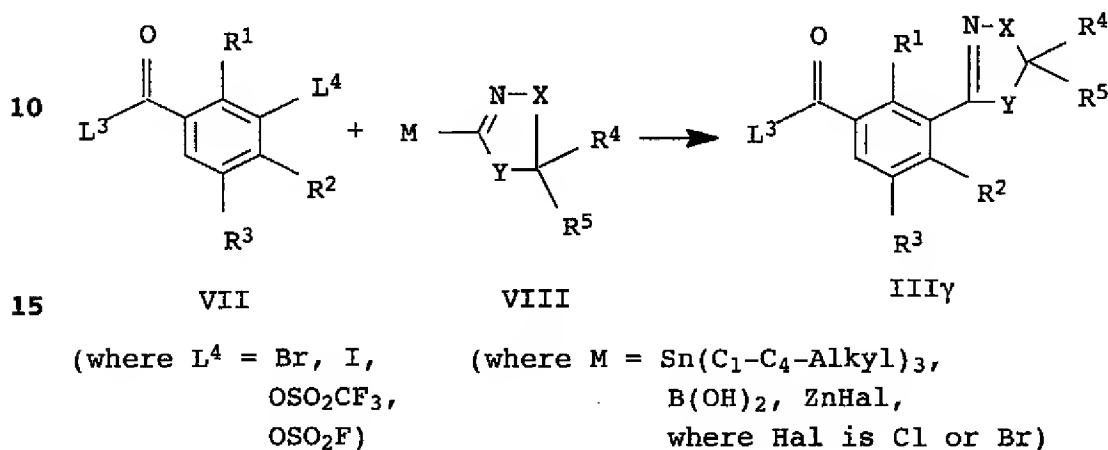
III $\beta$ 

Furthermore, it is possible to convert compounds of the formula V into the corresponding nitriles of the formula VI by a Rosenmund-von Braun reaction (cf., for example, Org. Synth. Vol 40 III (1955), 212) and to convert these nitriles into the compounds of the formula III $\beta$  by subsequent hydrolysis.

The esters of the formula III $\gamma$  can be obtained by reacting arylhalogen compounds or arylsulfonates of the formula VII, where 45 L<sup>4</sup> is a leaving group such as bromine, iodine, triflate, fluorosulfonyloxy and the like with heterocyclyl stannates (Stille couplings), heterocyclyl-boron compounds (Suzuki

110

couplings) or heterocyclyl-zinc compounds (Negishi reaction) VIII, where M is  $\text{Sn}(\text{C}_1\text{-C}_4\text{-alkyl})_3$ ,  $\text{B}(\text{OH})_2$ ,  $\text{ZnHal}$  (where Hal = chlorine, bromine) and the like, respectively, in a manner known per se (cf., for example, Tetrahedron Lett. 27 (1986), 5269) in 5 the presence of a palladium or nickel transition metal catalyst and in the presence or absence of a base.



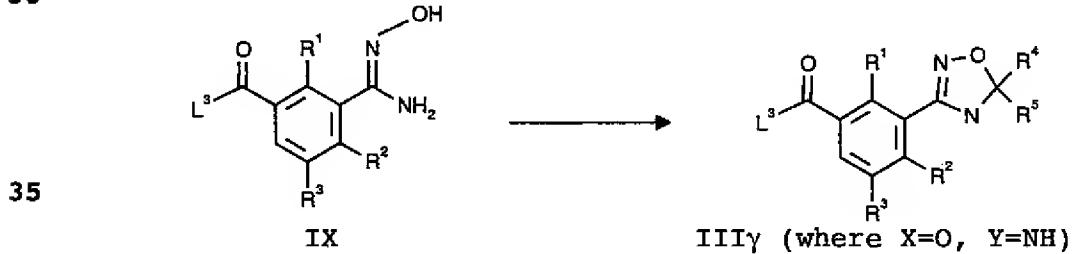
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Equally, it is possible to obtain esters of the formula IIIy by synthesizing the heterocycle which is bonded in the 3-position.

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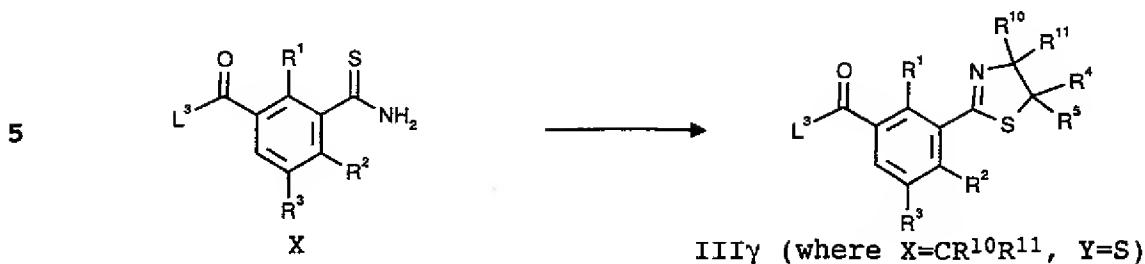
For example, 1,2,4-oxadiazolin-3-yl derivatives (IIIy where X=O, Y=NH) can be prepared from amidoximes of the formula IX by condensation with aldehydes or ketones (cf., for example, Arch. Pharm. 326 (1993), 383-389).

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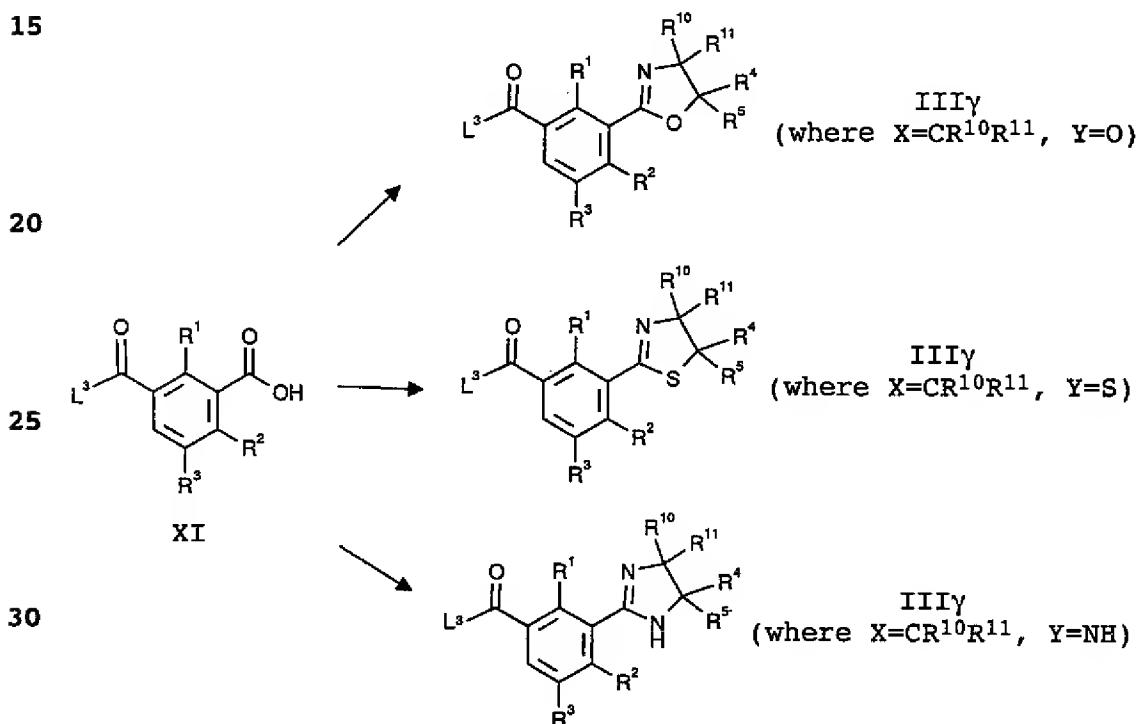


40 Thioamides of the formula X are suitable precursors for  
2-thiazolinyl derivatives I (where X=CR<sup>10</sup>R<sup>11</sup>, Y=S) (cf., for  
example, Tetrahedron 42 (1986), 1449-1460).

111



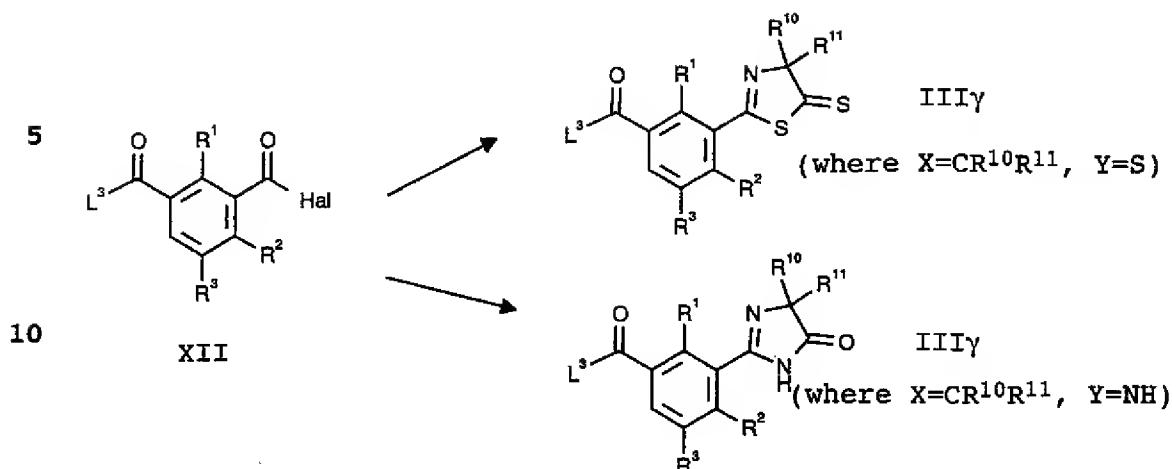
- <sup>10</sup> 2-Oxazolinyl, 2-thiazolinyl and 2-imidazolinyl derivatives (IIIy where X=CR<sup>10</sup>R<sup>11</sup>, Y=O or Y=S or Y=NH) are accessible from the carboxylic acids of the formula XI (cf., for example, Tetrahedron Let. 22 (1981), 4471-4474).



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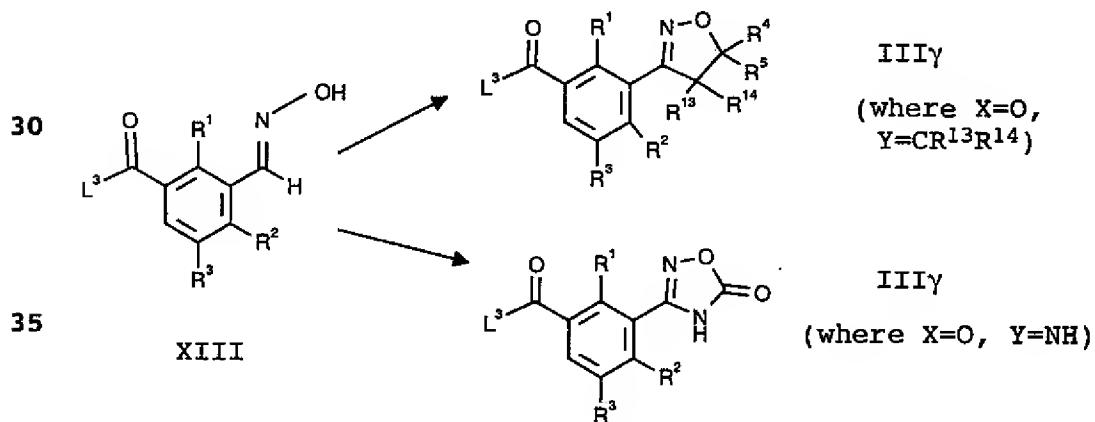
- 1,3-Thiazol-5(4H)-thion-2-yl (cf., for example, *Helv. Chim. Acta* 69 (1986), 374-388) and 5-oxo-2-imidazolin-2-yl derivatives (cf., 40 for example, *Heterocycles* 29 (1989), 1185-1189) (III where X=CR<sup>10</sup>R<sup>11</sup>, Y=S or Y=NH) can be prepared by processes known from the literature from carboxylic acid halides of the formula XII where Hal is halogen, in particular from carboxylic acid chlorides.

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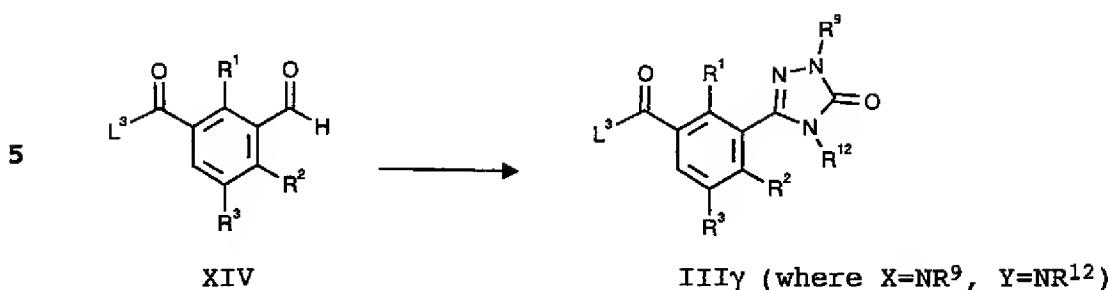
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The oximes of the formula XIII can be converted into  
 4,5-dihydroisoxazol-3-yl derivatives (III $\gamma$  where X=O, Y=CR<sup>13</sup>R<sup>14</sup>)  
 in a manner known per se via the hydroxamic acid chlorides XIV as  
 intermediates. From the latter, nitrile oxides are prepared in  
 situ, and these nitrile oxides react with alkenes to give the  
 desired products (cf., for example, Chem. Ber. 106 (1973),  
 3258-3274). 1,3-Dipolar cycloaddition reactions of chlorosulfonyl  
 isocyanate with nitrile oxides yield 1,2,4-oxadiazolin-5-on-3-yl  
 derivatives (III $\gamma$  where X=O, Y=NH) (cf., for example, Heterocycles  
 27 (1988), 683-685).

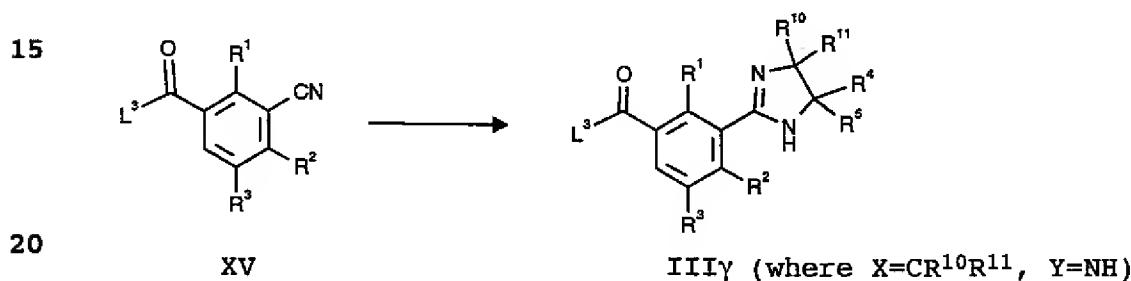


40 The aldehydes of the formula XIV can be converted into 2,4-dihydro-1,2,4-triazol-3-on-5-yl derivatives (IIIγ where X=NR<sup>9</sup>, X=NR<sup>12</sup>) via the semicarbazones as intermediates (cf., for example, J. Heterocyclic Chem. 23 (1986), 881-883).

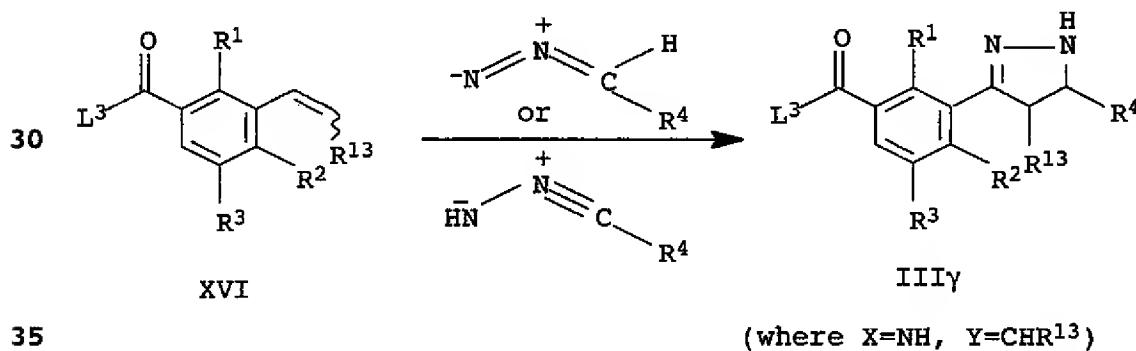
113



10 2-Imidazolinyl derivatives (IIIγ where X=CR¹⁰R¹¹, Y=NH) can also be prepared from benzonitriles of the formula XV using known methods (cf., for example, J. Org. Chem. 52 (1987), 1017-1021).



1,3-Dipolar cycloaddition reactions of diazoalkanes or nitriloimines with arylalkenes of the formula XVI can be used for 25 synthesizing 3-pyrazolinyl derivatives (IIIγ where X=NH, Y=CHR¹³).



The bromine- or iodine-substituted compounds of the formula V which are used as starting compounds can be obtained from corresponding anilines by methods similar to those known from the literature, for example by Sandmeyer reaction, and the anilines, in turn, are synthesized by reducing suitable nitro compounds. The bromine-substituted compounds of the formula V can additionally be obtained by direct bromination of suitable starting materials (cf. Monatsh. Chem. 99 (1968), 815-822).

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The nitriles of the formula VI can be obtained as described above. Equally, it is possible to synthesize them from corresponding anilines by means of a Sandmeyer reaction.

- 5 The starting compounds of the formula VII are known (cf., for example, Coll. Czech. Chem. Commun. 40 (1975), 3009-3019) or can be prepared readily by a suitable combination of known syntheses.
- 10 For example, the sulfonates XII ( $L^4 = OSO_2CF_3, OSO_2F$ ) can be obtained from the corresponding phenols, which, in turn, are known (cf., for example, EP-A 195 247) or can be prepared by known methods (cf., for example, Synthesis 1993, 735-762).
- 15 The halogen compounds VII ( $L^4 = Cl, Br$  or  $I$ ) can be obtained, for example, from the corresponding anilines of the formula XIX by a Sandmeyer reaction.

The amidoximes of the formula IX, the thioamides of the formula X and the carboxylic acids of the formula XI can be synthesized from the nitriles of the formula XV in a manner known per se.

Furthermore, it is possible to prepare the carboxylic acids of the formula XI from the aldehydes of the formula XIV by known processes (cf., for example, J. March, Advanced Organic Chemistry, 3rd edition (1985), p. 629 et seq., Wiley-Interscience Publication).

30 The carboxylic acid halides of the formula XII can be obtained from the corresponding carboxylic acids of the formula XI by methods similar to standard processes.

The oximes of the formula XIII are advantageously obtained by reacting aldehydes of the formula XIV with hydroxylamine in a manner known per se (cf., for example, J. March, Advanced Organic Chemistry, 3rd ed. (1985), pp. 805-806, Wiley-Interscience Publication).

40 Those aldehydes of the formula XIV which are not already known can be prepared by methods similar to known processes. Thus, they can be synthesized from methyl compounds of the formula XVII by means of bromination, for example with N-bromosuccinimide or 1,3-dibromo-5,5-dimethylhydantoin, followed by oxidation (cf. 45 Synth. Commun. 22 (1992), 1967 - 1971).

115

The oximes of the formula XIII can also be converted into nitriles of the formula XV by processes which are known per se (cf., for example, J. March, Advanced Organic Chemistry, 3rd ed. (1985), pp. 931-932, Wiley-Interscience Publication).

5

Arylalkenes of the formula XVI can be synthesized starting from the halogen compounds or sulfonates of the formula VII ( $L^4 = Br, Cl, OSO_2CF_3, OSO_2F$ ) by, inter alia, Heck reaction with olefins in the presence of a palladium catalyst (cf., for example, Heck,

10 Palladium Reagents in Organic Synthesis, Academic Press, London 1985; Synthesis 1993, 735 - 762).

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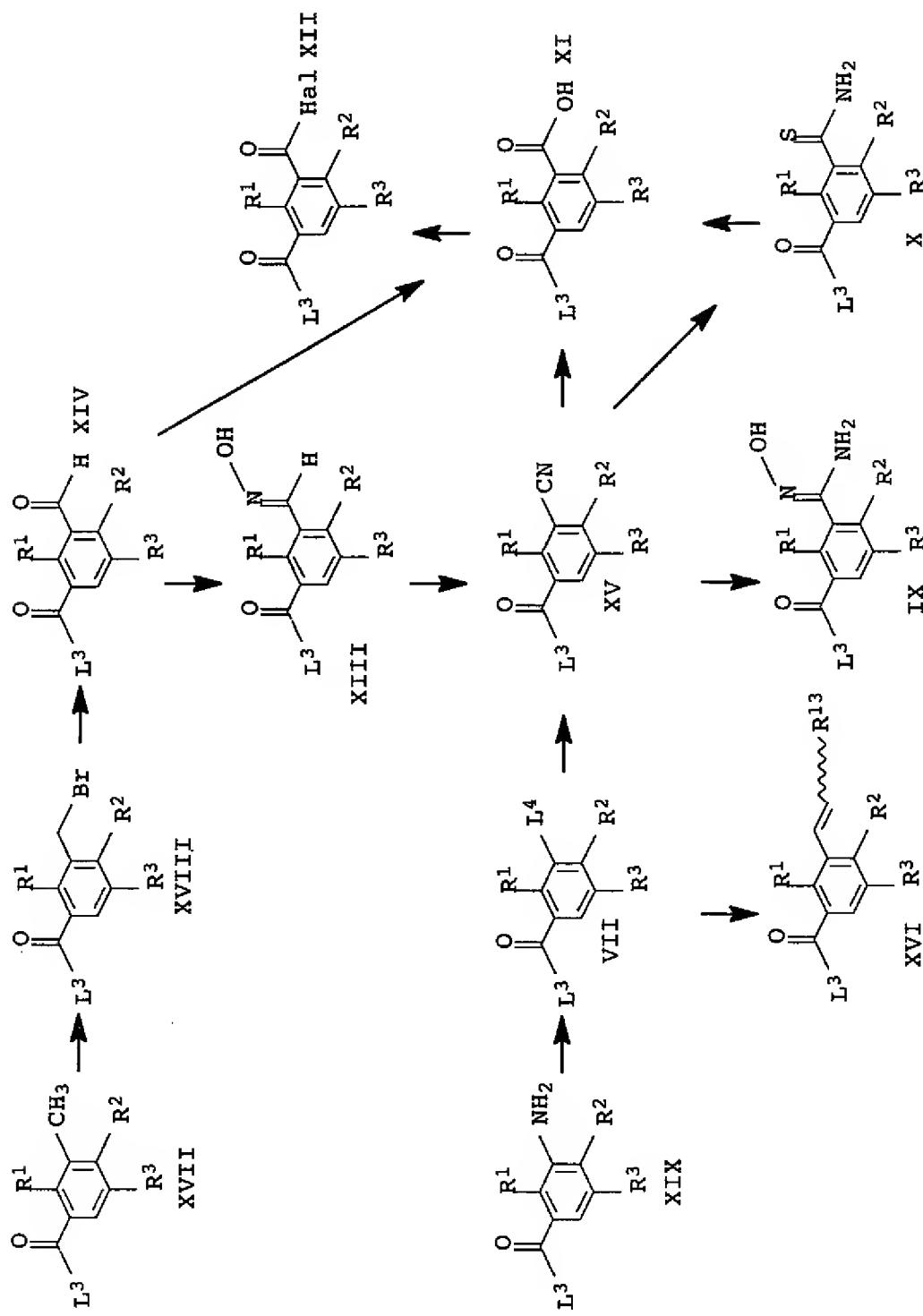
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## Preparation examples:

5 4-[2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-5-hydroxy-1-methyl-1H-pyrazole (compound 3.35)

43.60 g (0.13 mol) of 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl chloride in 375 ml of anhydrous dioxane and 13.56 g (0.134 mol) of triethylamine in 375 ml of anhydrous dioxane are simultaneously added dropwise at room temperature under a protective gas atmosphere to a solution 12.74 g (0.13 mol) of 5-hydroxy-1-methylpyrazole and 300 ml of anhydrous dioxane. After the reaction mixture had been stirred 15 for 2 hours at room temperature, it was filtered through silica gel and the residue was washed with dioxane. The eluate was concentrated in vacuo to approximately 500 ml, and 17.94 g (0.13 mol) of dried, finely powdered potassium carbonate were added. After the mixture had been refluxed for 6 hours, the 20 solvent was distilled off in vacuo and the residue was taken up in approximately 700 ml of water. Insoluble constituents were filtered off, and the pH of the filtrate was brought to 2 - 3 by slow addition of 10% strength hydrochloric acid. The precipitate which formed was filtered off with suction. This gave 46.16 g 25 (92% of theory) of 4-[2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-5-hydroxy-1-methyl-1H-pyrazole.

(m.p. > 250°C)

Table 3 shows the above compound and, in addition, other 30 3-heterocyclyl-substituted benzoyl derivatives of the formula I which were prepared, or can be prepared, in a similar manner (if the end products had not precipitated upon acidification with 10% strength hydrochloric acid, they were extracted with ethyl acetate or dichloromethane; the organic phase was subsequently 35 dried and concentrated in vacuo):

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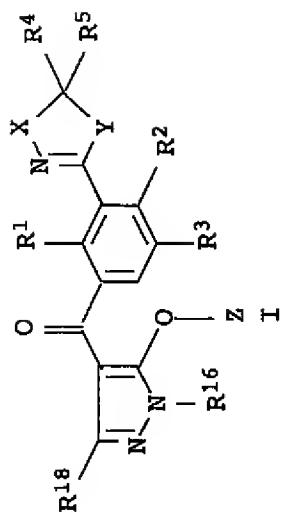


Table 3:

No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	X	R <sup>4</sup>	R <sup>5</sup>	Y	R <sup>16</sup>	Z	Physical data	
										m.p. [°C]; <sup>1</sup> H NMR [ $\delta$ in ppm]	
3.1	C1	C1	H	O	H	H	CH <sub>2</sub>	n-C <sub>4</sub> H <sub>9</sub>	H	H	116 - 117
3.2	C1	C1	H	O	H	H	CH <sub>2</sub>	i-C <sub>4</sub> H <sub>9</sub>	H	H	148 - 151
3.3	C1	C1	H	O	H	H	CH <sub>2</sub>	n-C <sub>4</sub> H <sub>9</sub>	C <sub>2</sub> H <sub>5</sub> SO <sub>2</sub>	H	0.95(t); 1.32(m); 1.62(t); 1.92(quin); 3.30(t); 3.78(quar); 4.17(t); 4.61(t); 7.42(d); 7.48(m).

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No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	X	R <sup>4</sup>	R <sup>5</sup>	Y	R <sup>16</sup>	Z	R <sup>18</sup>	Physical data
3.4	C1	C1	H	O	H	CH <sub>2</sub>	i-C <sub>4</sub> H <sub>9</sub>	i-C <sub>4</sub> H <sub>9</sub> SO <sub>2</sub>	H	0.96(d); 1.21(d); 2.33(m); 2.48(m); 3.30(t); 3.67(d); 3.97(d); 4.58(t); 7.42(d); 7.50(m).	<sup>1</sup> H NMR [δ in ppm]; m.p. [°C];
3.5	C1	C1	H	O	H	CH <sub>2</sub>	n-C <sub>3</sub> H <sub>7</sub>	i-C <sub>4</sub> H <sub>9</sub> SO <sub>2</sub>	H	0.97(t); 1.20(d); 1.96(m); 2.49(m); 3.30(t); 3.68(d); 4.12(t); 4.59(t); 7.42(d); 7.49(d); 7.52(s).	
3.6	C1	C1	H	O	H	CH <sub>2</sub>	n-C <sub>3</sub> H <sub>7</sub>	C <sub>2</sub> H <sub>5</sub> SO <sub>2</sub>	H	0.97(t); 1.12(d); 1.63(t); 1.94(m); 3.29(t); 3.76(q); 4.14(t); 4.60(t); 7.42(d); 7.48(d); 7.51(s).	
3.7	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	COOC <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub>	CH <sub>3</sub>	H	H	70 - 75
3.8	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	COOC <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	H	H	65 - 70
3.9	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	CH <sub>3</sub>	H	CH <sub>2</sub>	CH <sub>3</sub>	H	H	230 - 235
3.10	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	CH <sub>3</sub>	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	H	H	210 - 215
3.11	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	CH <sub>3</sub>	H	CH <sub>2</sub>	n-C <sub>3</sub> H <sub>7</sub>	H	H	95 - 100
3.12	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	CH <sub>3</sub>	H	CH <sub>2</sub>	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub> SO <sub>2</sub>	H	70 - 75

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NO.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	X	R <sup>4</sup>	R <sup>5</sup>	Y	R <sup>16</sup>	Z	R <sup>18</sup>	Physical data m.p. [°C]; <sup>1</sup> H NMR [ $\delta$ in ppm]
3.13	C1	SO <sub>2</sub> CH <sub>3</sub>	H	0	CH <sub>3</sub>	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub> SO <sub>2</sub>	H	78 - 83
3.14	C1	SO <sub>2</sub> CH <sub>3</sub>	H	0	CH <sub>3</sub>	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	i-C <sub>4</sub> H <sub>9</sub> SO <sub>2</sub>	H	1.24(2d); 1.53(t); 2.52(m); 3.05(dd); 3.29(s); 3.52(dd); 3.73(d); 4.24(q); 5.05(m); 7.49(s); 7.66(d); 8.18(d).
3.15	C1	SO <sub>2</sub> CH <sub>3</sub>	H	0	CH <sub>3</sub>	H	CH <sub>2</sub>	n-C <sub>3</sub> H <sub>7</sub>	C <sub>2</sub> H <sub>5</sub> SO <sub>2</sub>	H	0.96(t); 1.53(d); 1.68(t); 1.95(sext); 3.07(dd); 3.32(s); 3.58(dd); 3.86(quart); 4.15(t); 5.03(m); 7.46(d); 7.64(d); 8.18(d).
3.16	C1	SO <sub>2</sub> CH <sub>3</sub>	H	0	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>	CH <sub>3</sub>	H	H	220 - 225
3.17	C1	SO <sub>2</sub> CH <sub>3</sub>	H	0	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	H	H	82 - 86
3.18	C1	SO <sub>2</sub> CH <sub>3</sub>	H	0	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>	n-C <sub>3</sub> H <sub>7</sub>	H	H	70 - 75
3.19	C1	SO <sub>2</sub> CH <sub>3</sub>	H	0	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>	n-C <sub>4</sub> H <sub>9</sub>	H	H	68 - 73
3.20	C1	SO <sub>2</sub> CH <sub>3</sub>	H	0	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>	i-C <sub>4</sub> H <sub>9</sub>	H	H	45 - 50
3.21	C1	SO <sub>2</sub> CH <sub>3</sub>	H	0	C <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub>	CH <sub>3</sub>	H	H	220 - 225
3.22	C1	SO <sub>2</sub> CH <sub>3</sub>	H	0	C <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	H	H	170 - 175

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No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	X	R <sup>4</sup>	R <sup>5</sup>	Y	R <sup>16</sup>	Z	R <sup>18</sup>	Physical data
											m.p. [°C]; <sup>1</sup> H NMR [δ in ppm]
3.23	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	n-C <sub>3</sub> H <sub>7</sub>	H	H	65 - 70
3.24	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	n-C <sub>4</sub> H <sub>9</sub>	H	H	55 - 60
3.25	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	i-C <sub>4</sub> H <sub>9</sub>	H	H	58 - 63
3.26	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	i-C <sub>4</sub> H <sub>9</sub>	C <sub>2</sub> H <sub>5</sub> SO <sub>2</sub>	H	78 - 83
3.27	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	n-C <sub>4</sub> H <sub>9</sub>	i-C <sub>4</sub> H <sub>9</sub> SO <sub>2</sub>	H	0.94(t); 1.19(d); 1.22(t); 1.38(m); 1.74(br); 1.91(m); 2.53(m); 3.26(s); 4.45(t); 3.76(d); 4.18(t); 4.62(t); 7.45(s); 7.64(d); 8.16(d).
3.28	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	i-C <sub>4</sub> H <sub>9</sub>	i-C <sub>4</sub> H <sub>9</sub> SO <sub>2</sub>	H	0.96(d); 1.21(d); 2.33(m); 2.51(m); 3.28(s); 3.44(t); 3.75(d); 3.99(d); 4.61(t); 7.45(s); 7.66(d); 8.17(d).
3.29	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	i-C <sub>4</sub> H <sub>9</sub>	C <sub>2</sub> H <sub>5</sub> SO <sub>2</sub>	H	0.97(d); 1.66(t); 2.36(m); 3.29(s); 3.43(t); 3.82(q); 3.99(d); 4.60(t); 7.47(s); 7.68(d); 8.18(d).

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No.	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	X	R <sub>4</sub>	R <sub>5</sub>	Y	R <sub>16</sub>	Z	R <sub>18</sub>	Physical data
3.30	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	CH <sub>2</sub>	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub> SO <sub>2</sub>	H	1.68(t); 3.29(s); 3.43(t); 3.78(q); 3.92(s); 3.63(t); 7.46(s); 7.62(d); 8.17(d).	<sup>1</sup> H NMR [δ in ppm]; m.p. [°C];
3.31	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	CH <sub>2</sub>	CH <sub>3</sub>	I-C <sub>4</sub> H <sub>9</sub> SO <sub>2</sub>	H	1.23(d); 2.53(m); 3.28(s); 3.43(t); 3.70(d); 3.91(s); 4.61(t); 7.48(s); 7.66(d); 8.18(d).	
3.32	C1	C1	H	O	H	CH <sub>2</sub>	n-C <sub>3</sub> H <sub>7</sub>		H	H	119 - 121
3.33	C1	C1	H	O	H	CH <sub>2</sub>	CH <sub>3</sub>		H	CH <sub>3</sub>	115 - 117
3.34	C1	NO <sub>2</sub>	H	O	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>		H		217 - 218
3.35	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	CH <sub>2</sub>	CH <sub>3</sub>		H		> 250
3.36	C1	C1	H	O	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>		H		125 - 128
3.37	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	n-C <sub>3</sub> H <sub>7</sub> SO <sub>2</sub>	H		78 - 83
3.38	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub> SO <sub>2</sub>	H	1.52(t); 1.68(t); 3.29(s); 3.43(t); 3.82(q); 4.24(q); 4.63(t); 7.48(s); 7.65(d); 8.07(d).	
3.39	C1	SO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	H	O	CH <sub>3</sub>	CH <sub>2</sub>	CH <sub>3</sub>		H		> 200

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No.	R1	R2	R3	X	R4	R5	Y	R16	Z	R18	Physical data
											m.p. [°C]; <sup>1</sup> H NMR [ $\delta$ in ppm]
3.40	C1	SO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	H	O	CH <sub>3</sub>	H	CH <sub>2</sub>	CH <sub>3</sub>	H	H	220 - 223
3.41	C1	SO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	H	O	CH <sub>3</sub>	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	H	H	> 230
3.42	C1	SO <sub>2</sub> -n-C <sub>3</sub> H <sub>7</sub>	H	O	CH <sub>3</sub>	H	CH <sub>2</sub>	CH <sub>3</sub>	H	H	1.12(t); 1.53(d); 1.76(quin); 3.18(dd); 3.38(t); 3.55(dd); 3.73(s); 5.04(m); 5.55(s,br.); 7.37(s); 7.68(d); 8.13(d).
3.43	C1	SO <sub>2</sub> -n-C <sub>3</sub> H <sub>7</sub>	H	O	CH <sub>3</sub>	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	H	H	1.07(t); 1.50(m); 1.78(quin); 3.07(dd); 3.39(t); 3.55(dd); 4.12(t); 5.08(m); 7.38(s); 7.69(d); 8.11(d).
3.44	C1	SO <sub>2</sub> CH <sub>3</sub>	H	CH <sub>2</sub>	H	H	O	CH <sub>3</sub>	H	H	
3.45	C1 a)	SO <sub>2</sub> CH <sub>3</sub>	H	C(CH <sub>3</sub> ) <sub>2</sub>	H	H	O	CH <sub>3</sub>	H	H	1.33(s); 3.40(s); 4.17(s); 7.43(s); 7.79(d); 8.04(d).
3.46	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	Na <sup>+</sup>	H	218 - 220
3.47	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	K <sup>+</sup>	H	193
3.48	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	Li <sup>+</sup>	H	> 230

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No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	X	R <sup>4</sup>	R <sup>5</sup>	Y	R <sup>16</sup>	Z	R <sup>18</sup>	Physical data m.p. [°C]; 1H NMR [ $\delta$ in ppm]
3.49	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	NH <sub>4</sub> <sup>+</sup>	H	170 - 175
3.50	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	CH <sub>3</sub>	Na <sup>+</sup>	H	> 240
3.51	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	CH <sub>3</sub>	K <sup>+</sup>	H	206 - 214
3.52	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	CH <sub>3</sub>	Li <sup>+</sup>	H	> 240
3.53	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	CH <sub>3</sub>	NH <sub>4</sub> <sup>+</sup>	H	
3.54	C1 a)	SO <sub>2</sub> CH <sub>3</sub>	H	C(CH <sub>3</sub> ) <sub>2</sub>	H	H	O	C <sub>2</sub> H <sub>5</sub>	H	H	1.27(t); 1.36(s); 3.41(q); 4.01(q); 4.18(s); 7.47(s); 7.83(d); 8.07(d).
3.55	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	-	(CH <sub>2</sub> ) <sub>3</sub> CH-	C <sub>2</sub> H <sub>5</sub>	H	H	99-104
3.56	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	-	(CH <sub>2</sub> ) <sub>3</sub> CH-	CH <sub>3</sub>	H	H	95-100
3.57	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	-	(CH <sub>2</sub> ) <sub>4</sub> -	CH <sub>2</sub>	CH <sub>3</sub>	H	H	230-235
3.58	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	-	(CH <sub>2</sub> ) <sub>4</sub> -	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	H	H	190-195
3.59	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	-	(CH <sub>2</sub> ) <sub>2</sub> O(CH <sub>2</sub> ) <sub>2</sub>	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	H	H	95-100
3.60	C1	SO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	H	O	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>	CH <sub>3</sub>	H	H	< 230
3.61	C1	SO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	H	O	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	H	H	198-200
3.62	C1	SO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	H	O	H	H	CH <sub>2</sub>	CH <sub>3</sub>	H	H	215-218
3.63	C1	SO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	H	O	H	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	H	H	213-215
3.64	C1	SO <sub>2</sub> -n-C <sub>3</sub> H <sub>7</sub>	H	O	H	H	CH <sub>2</sub>	CH <sub>3</sub>	H	H	186-190

No.	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	X	R <sub>4</sub>	R <sub>5</sub>	Y	R <sub>16</sub>	Z	R <sub>18</sub>	Physical data
											m.p. [°C]; <sup>1</sup> H NMR [ $\delta$ in ppm]
3.65	C1	SO <sub>2</sub> -n-C <sub>3</sub> H <sub>7</sub>	H	O	H	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	H	H		84-86
3.66	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	-(CH <sub>2</sub> ) <sub>2</sub> O(CH <sub>2</sub> ) <sub>2</sub> -	CH <sub>2</sub>	CH <sub>3</sub>	H	H		90-95
3.67	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub>	CH <sub>2</sub>	CH <sub>3</sub>	H	H	70-75
3.68	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub>	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	H	H	50-55
3.69	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	-(CH <sub>2</sub> ) <sub>4</sub> -	CH <sub>2</sub>	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	H		148-153
3.70	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	-(CH <sub>2</sub> ) <sub>4</sub> -	CH <sub>2</sub>	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub>	H		

a) Prepared from 2-chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoyl chloride with two equivalents of potassium carbonate.

The syntheses of some starting materials are given below:

5 2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl chloride (compound 4.5)

Step a) 2-Chloro-3-methyl-4-methylthioacetophenone

10 A solution of 157 g (2 mol) of acetyl chloride in 420 ml of 1,2-dichlorethane was added dropwise to a suspension of 286 g (2.14 mol) of aluminum trichloride in 420 ml of 1,2-dichloroethane at 15-20°C. A solution of 346 g (2 mol) of 2-chloro-6-methylthiotoluene in 1 l of 1,2-dichlorethane was subsequently added dropwise. After the reaction mixture had been stirred for 12 hours, it was poured into a mixture of 3 l of ice and 1 l of concentrated HCl. The mixture was extracted with methylene chloride, and the organic phase was washed with water, dried with sodium sulfate and concentrated. The residue was distilled in vacuo. This gave 256 g (60% of theory) of 2-chloro-3-methyl-4-methylthioacetophenone. (m.p.: 46°C)

25 Step b) 2-Chloro-3-methyl-4-methylsulfonylacetophenone

30 163.0 g (0.76 mol) of 2-chloro-3-methyl-4-methylthioacetophenone were dissolved in 1.5 l of glacial acetic acid, 18.6 g of sodium tungstate were added, and 173.3 g of a 30% strength hydrogen peroxide solution were added dropwise with cooling. Stirring was continued for 2 days and the mixture was subsequently diluted with water. The solid which had precipitated was filtered off with suction, washed with water and dried. This gave 164.0 g (88% of theory) of 2-chloro-3-methyl-4-methylsulfonylacetophenone. (m.p.: 110-111°C)

40 Step c) 2-Chloro-3-methyl-4-methylsulfonylbenzoic acid

45 82 g (0.33 mol) of 2-chloro-3-methyl-4-methylsulfonylacetophenone were dissolved in 700 ml of dioxane, and 1 l of a 12.5% strength sodium hypochlorite solution was added at room temperature. Stirring was continued for 1 hour at 80°C. After cooling, two phases formed, of which the bottom phase was diluted with water and

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acidified weakly. The solid which had precipitated was filtered off with suction, washed with water and dried. This gave 60 g (73% of theory) of 2-chloro-3-methyl-4-methylsulfonylbenzoic acid.  
5 (m.p.: 230-231°C)

## Step d) Methyl 2-chloro-3-methyl-4-methylsulfonylbenzoate

10 100 g (0.4 mol) of 2-chloro-3-methyl-4-methylsulfonylbenzoic acid were dissolved in 1 l of methanol and hydrogen chloride gas was passed in for 5 hours at reflux temperature. The mixture was subsequently concentrated. This gave 88.5 g (84% of theory) of methyl  
15 2-chloro-3-methyl-4-methylsulfonylbenzoate.  
(m.p.: 107-108°C)

## Step e) Methyl 3-bromomethyl-2-chloro-4-methylsulfonylbenzoate

20 82 g (0.1 mol) of methyl 2-chloro-3-methyl-4-methylsulfonylbenzoate are dissolved in 2 l of tetrachloromethane, and 56 g (0.31 mol) of N-bromosuccinimide are added in portions with exposure to light. The reaction mixture was filtered, the filtrate was concentrated, and the residue was taken up in 200 ml of methyl tert-butyl ether. The solution was treated with petroleum ether and the solid which had precipitated was filtered off with suction and dried. This gave 74.5 g (70% of theory) of methyl 3-bromomethyl-2-chloro-4-methylsulfonylbenzoate.  
25  
30 (m.p.: 74-75°C)

## Step f) Methyl 2-chloro-3-formyl-4-methylsulfonylbenzoate

35 A solution of 41.0 g (0.12 mol) of methyl 3-bromomethyl-2-chloro-4-methylsulfonylbenzoate in 250 ml of acetonitrile was treated with 42.1 g (0.36 mol) of N-methylmorphline N-oxide. The batch was stirred for 12 hours at room temperature and subsequently concentrated, and the residue was taken up in ethyl acetate. The solution was extracted with water, dried with sodium sulfate and concentrated. This gave 31.2 g (94% of theory) of methyl 2-chloro-3-formyl-4-methylsulfonylbenzoate  
40  
45 (m.p.: 98-105°C)

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Step g) 2-Chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoic acid

5        15.00 g (54 mmol) of methyl 2-chloro-3-formyl-4-methylsulfonylbenzoate and 4.20 g (60 mmol) of hydroxylamine hydrochloride were taken up in 300 ml of methanol, and a solution of 3.18 g (30 mmol) of sodium carbonate in 80 ml of water was added dropwise. After the mixture had been stirred for 12 hours at room temperature, the methanol  
10      was distilled off, the residue was diluted with water and the mixture was extracted with diethyl ether. After the organic phase had been dried, the solvent was removed. This gave 14.40 g (91% of theory) of methyl 2-chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoate.  
15      (m.p.: 126-128°C).

Step h) Methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate (compound 4.3)

20      Ethylene was passed for 30 minutes at 15-20°C into a solution of 158.0 g (0.54 mol) of methyl 2-chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoate and 1 l of dichloromethane. After 1.6 g of sodium acetate had been added, 454 ml of sodium hypochlorite solution were added dropwise at 10°C while simultaneously passing in ethylene. Ethylene was subsequently passed in at 10°C for a further 15 minutes. After the mixture had been stirred for 12 hours, the phases were separated, and the organic phase was washed with water, dried and concentrated. This gave 156.5 g (90% of theory) of methyl 2-chloro-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate.  
30      (1H NMR ( $\delta$  in ppm): 3.24 (s); 3.42 (t); 3.99 (s); 4.60 (t); 7.96 (d); 8.10 (d)).  
35

Step i) 2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid (compound 4.4)

40      A solution of 32.8 g of sodium hydroxide, dissolved in 330 ml of methanol, was slowly added dropwise to a mixture of 170.0 g (0.54 mol) of methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate and 1 l of methanol at 40-45°C. The suspension was stirred for  
45      5 hours at 50°C. After the solvent had been distilled off, the residue was taken up in 1.5 l of water, and the aqueous phase was extracted three times with ethyl

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acetate. The aqueous phase was acidified with hydrochloric acid and extracted three times with ethyl acetate. The combined organic phases were subsequently washed to neutrality with water, dried and concentrated.

5 This gave 148.8 g (91% of theory) of 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid.

(<sup>1</sup>H NMR ( $\delta$  in ppm): 3.26 (s); 3.45 (t); 4.63 (t); 8.15 (s); 8.53 (s, br)).

10

Step j) 2-Chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl chloride (compound 4.5)

15 74.8 g (0.63 mol) of thionyl chloride in 50 ml of dry toluene were added dropwise at 50°C to a solution of 139.0 g of 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid, 1 ml of dimethylformamide and 1 l of dry toluene. After the mixture had been heated for 6 hours at 110°C, the solvent was distilled off. This gave 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl chloride in quantitative yield.

20 ( <sup>1</sup>H NMR ( $\delta$  in ppm): 3.25 (s); 3.46 (t); 4.62 (t); 8.21 (dd)).

25

2-Chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl chloride (compound 4.39)

30 Step a) Methyl 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate (compound 4.25)

35 Propene was passed for 30 minutes at room temperature into a solution of 15.0 g (52 mmol) of methyl 2-chloro-3-hydroxyiminomethyl-4-methylsulfonylbenzoate and 200 ml of dichloromethane. After 1.6 g of sodium acetate had been added, 42.8 ml of sodium hypochlorite solution were added dropwise at room temperature while simultaneously passing in propene. Propene was subsequently passed in for a further 15 minutes at room temperature. After the mixture had been refluxed for 3 hours, it was stirred for 12 hours at room temperature, propene was again passed in for 5 hours under reflux, and the mixture was stirred for a further 12 hours at room temperature. After the phases had been separated, the organic phase was washed with water, dried and concentrated. This gave 15.5 g (89% of theory) of methyl 40 45 2-chloro-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-

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sulfonylbenzoate.  
(m.p.: 130-135°C).

5 Step b) 2-Chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoic acid (compound 4.26)

A solution of 3.52 g (88 mmol) of sodium hydroxide, dissolved in 100 ml of methanol, was slowly added dropwise to a mixture of 15.00 g (45 mmol) of methyl 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoate and 200 ml of methanol. The suspension was stirred for 48 hours at room temperature. After the solvent had been distilled off, the residue was taken up in water, and the aqueous phase was washed three times with ethyl acetate. The aqueous phase was acidified with hydrochloric acid and extracted three times with ethyl acetate. The combined organic phases were subsequently washed to neutrality with water, dried and concentrated. This gave 13.20 g (92% of theory) of 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoic acid.  
(m.p.: 173-178°C).

25 Step c) 2-Chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoyl chloride (compound 4.39)

30 5.7 g (51 mmol) of thionyl chloride were added dropwise at room temperture to a solution of 13.0 g (41 mmol) of 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-sulfonylbenzoic acid, 1 ml of dimethylformamide and 250 ml of dry toluene. The mixture was subsequently refluxed until the reaction was complete. After cooling, the solvent was distilled off. This gave 14.2 g of  
35 2-chloro-3-(5-methyl-4,5-dihydroisoxazol-3-yl)-4-methyl-benzoyl chloride in quantitative yield.

40 2-Chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-4-methyl-sulfonylbenzoyl chloride

Step a) Methyl 2-chloro-3-hydroxycarbonyl-4-methyl-sulfonylbenzoate

45 13.8 g (0.11 mol) of sodium hydrogen phosphate monohydrate in 170 ml of water, 49.3 g (0.43 mol) of 30% strength hydrogen peroxide solution and 66.2 g (0.59 mol)

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of 80% strength aqueous sodium chlorite solution were added in succession at 5°C to a solution of 115.3 g (0.42 mol) of methyl 2-chloro-3-formyl-4-methylsulfonylbenzoate in 2000 ml of acetonitrile. The reaction  
5 solution was subsequently stirred for 1 hour at 5°C and for 12 hours at room temperature. The pH was then brought to 1 with 10% strength hydrochloric acid, and 1500 ml of aqueous 40% strength sodium hydrogen sulfite solution were added. After the mixture had been stirred for 1 hour  
10 at room temperature, the aqueous phase was extracted three times with ethyl acetate. The combined organic phases were washed with sodium hydrogen sulfite solution and dried. After the solvent had been distilled off,  
15 102.0 g of methyl 2-chloro-3-hydroxycarbonyl-4-methylsulfonylbenzoate were obtained.

(<sup>1</sup>H NMR ( $\delta$  in ppm): 3.34 (s); 3.93 (s); 8.08 (s); 14.50 (s, br.)..)

20 Step b) Methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate

2 drops of dimethylformamide and 11.9 g (0.1 mol) of thionyl chloride were added to a solution of 6.0 g (0.021 mol) of methyl 2-chloro-3-hydroxycarbonyl-4-methylsulfonylbenzoate and 50 ml of dry toluene. The  
25 solution was refluxed for 4 hours. After the solvent had been removed in vacuo, 6.2 g of methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate were obtained.  
(<sup>1</sup>H NMR ( $\delta$  in ppm): 3.21 (s); 4.02 (s); 8.02 (d); 8.07 (d)..)

30 Step c) Methyl 2-chloro-3-(1'-hydroxy-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate

35 A solution of 7.80 g (25 mmol) of methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate was added dropwise at 0-5°C to a solution of 4.54 g (50 mmol) of 2,2-dimethylethanolamine in 40 ml of dichloromethane. After the reaction solution had been stirred for 6 hours  
40 at room temperature, it was extracted three times with water, dried and concentrated. This gave 8.20 g (80% of theory) of methyl 2-chloro-3-(1'-hydroxy-2',2'-dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate.  
(m.p.: 70-72°C).

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Step d) Methyl 2-chloro-3-(1'-chloro-2',2'-dimethyl-ethylaminocarbonyl)-4-methylsulfonylbenzoate

A mixture of 6.9 g (20 mmol) of methyl  
5 2-chloro-3-(1'-hydroxy-2',2'-dimethylethylamino-  
carbonyl)-4-methylsulfonylbenzoate and 5 ml of thionyl  
chloride was stirred for 6 hours at room temperature. The  
solution was diluted with 50 ml of dichloromethane and  
subsequently concentrated. The residue was dissolved in  
10 20 ml of dichloromethane. The addition of cyclohexane  
resulted in a crystalline precipitate which was filtered  
off with suction and dried. This gave 6.4 g (88% of  
theory) of methyl 2-chloro-3-(1'-chloro-2',2'-  
dimethylethylaminocarbonyl)-4-methylsulfonylbenzoate.

15

Step e) 2-Chloro-3-(4',4'-dimethyl-4',5'-dihydrooxazol-2-yl)-4-  
methylsulfonylbenzoic acid (compound 4.38)

20 A solution of 5.82 g (15 mmol) of methyl  
2-chloro-3-(1'-chloro-2',2'-dimethylethyl-  
aminocarbonyl)-4-methylsulfonylbenzoate and 0.81 g  
(20 mmol) of sodium hydroxide in 80 ml of methanol was  
stirred for 8 hours at room temperature. After the solvent  
25 had been distilled off, the residue was taken up in water  
and the mixture was washed three times with ethyl  
acetate. The aqueous phase was acidified with  
hydrochloric acid and extracted three times with ethyl  
acetate. After the organic phase had been dried, the  
solvent was removed in vacuo. This gave 3.10 g (56% of  
30 theory) of 2-chloro-3-(4',4'-dimethyl-4',5'-  
dihydrooxazol-2-yl)-4-methylsulfonylbenzoic acid.  
(<sup>1</sup>H NMR ( $\delta$  in ppm): 1.34 (s); 3.40 (s); 4.13 (s); 8.07  
(s); 13.95 (s, br)).

35

Step f) 2-Chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-  
4-methylsulfonylbenzoyl chloride.

40 A solution of 3.00 g (9 mmol) of 2-chloro-3-(4',4'-  
dimethyl-4',5'-dihydrooxazol-2-yl)-4-methylsulfonyl-  
benzoic acid, 1.43 g of thionyl chloride and 1 drop of  
dimethylformamide in 80 ml of dry toluene was refluxed  
for 3 hours. After cooling, the solvent was distilled off  
in vacuo. This gave 3.43 g (86% of theory) of  
45 2-chloro-3-(1'-chloro-2',2'-dimethylethylaminocarbonyl)-  
4-methylsulfonylbenzoyl chloride.

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Methyl 2-chloro-3-(1,3,4-oxathiazolin-2-on-5-yl)-4-methylsulfonylbenzoate (compound 4.22)

Step a) Methyl 3-aminocarbonyl-2-chloro-4-methylsulfonylbenzoate  
5

Ammonia was passed for 2 hours into a solution of 15.0 g (48 mmol) of methyl 2-chloro-3-chlorocarbonyl-4-methylsulfonylbenzoate and 300 ml of dry dioxane. The precipitate formed was filtered off with suction and the filtrate was concentrated. This gave 15.2 g of methyl 3-aminocarbonyl-2-chloro-4-methylsulfonylbenzoate in quantitative yield.  
10

15 Step b) Methyl 2-chloro-3-(1,3,4-oxathiazolin-2-on-5-yl)-4-methylsulfonylbenzoate

9.80 g (75 mmol) of chlorocarbonylsulfenyl chloride were added dropwise to a solution of 4.37 g (15 mmol) of methyl 3-aminocarbonyl-2-chloro-4-methylsulfonylbenzoate in 150 ml of dry toluene. After the mixture had been stirred for 48 hours under reflux, the solvent was removed in vacuo and the residue was chromatographed on silica gel (eluent: ethyl acetate/cyclohexane = 1/1).  
20  
25 This gave 3.70 g (70% of theory) of methyl 2-chloro-3-(1,3,4-oxathiazolin-2-on-5-yl)-4-methylsulfonylbenzoate.

Table 4 which follows lists the compounds which have been described above and also further benzoic acid derivatives of the formula III which were prepared, or can be prepared, by a similar method.  
30

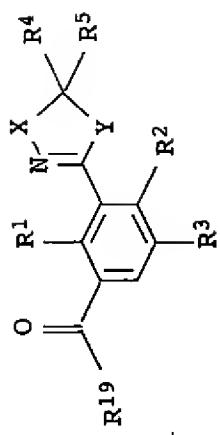
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45

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Table 4:



No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	X	R <sup>4</sup>	R <sup>5</sup>	Y	R <sup>19</sup>	Physical data	
									m.p. [°C];	<sup>1</sup> H NMR [ $\delta$ in ppm]
4.1	C1	C1	H	O	H	CH <sub>2</sub>	OCH <sub>3</sub>	3.29 (t); 7.46 (d);	3.91 (s); 7.83 (d);	4.58 (t);
4.2	C1	C1	H	O	H	CH <sub>2</sub>	OH	3.28 (t); 7.46 (d);	4.60 (t); 7.98 (d);	7.02 (s, br);
4.3	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	CH <sub>2</sub>	OCH <sub>3</sub>	3.24 (s); 4.60 (t);	3.42 (t); 7.96 (d);	3.99 (s); 8.10 (d);
4.4	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	CH <sub>2</sub>	OH	3.26 (s); 8.15 (s);	3.45 (t); 8.53 (s, br);	4.63 (t);
4.5	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	CH <sub>2</sub>	Cl	3.25 (s); 8.21 (dd);	3.46 (t); 7.90 (d);	4.62 (t); 13.8 (s, br).
4.6	C1	C1	H	C(CH <sub>3</sub> ) <sub>2</sub>	H	H	O	1.31 (s); 7.90 (d);	4.16 (s); 13.8 (s, br);	7.69 (d);

135

No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	X	R <sup>4</sup>	R <sup>5</sup>	Y	R <sup>19</sup>	Physical data m.p. [°C]; <sup>1</sup> H NMR [ $\delta$ in ppm]		
4.7	C1	SO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	H	O	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>	OCH <sub>3</sub>	1.25 (t); 3.42 (q); 8.07 (d).	1.57 (s); 3.99 (s); 8.06 (s);	3.21 (s); 7.94 (d); 13.8 (s, br).
4.8	C1	SO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	H	O	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>	OH	1.13 (t); 3.43 (q); 4.62 (t);	1.47 (s); 3.41 (m); 7.95 (d);	3.15 (s); 4.02 (s); 8.06 (d).
4.9	C1	SO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	H	O	H	H	CH <sub>2</sub>	OCH <sub>3</sub>	1.28 (t); 4.62 (t);	3.41 (m); 7.95 (d);	4.02 (s); 8.06 (d).
4.10	C1	SO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	H	O	H	H	CH <sub>2</sub>	OH			137-140
4.11	C1	SO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	H	O	CH <sub>3</sub>	H	CH <sub>2</sub>	OCH <sub>3</sub>	1.26 (t); 3.42 (q); 7.95 (d);	1.53 (d); 3.49 (dd); 8.07 (d);	3.06 (dd); 5.05 (m); 8.08 (d).
4.12	C1	SO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	H	O	CH <sub>3</sub>	H	CH <sub>2</sub>	OH			140-143
4.13	C1	SO <sub>2</sub> CH <sub>3</sub>	H	CH <sub>2</sub>	H	H	O	OCH <sub>3</sub>	3.30 (s); 4.55 (t);	3.98 (s); 7.97 (d);	4.11 (t); 8.08 (d).
4.14	C1	SO <sub>2</sub> CH <sub>3</sub>	H	CH <sub>2</sub>	H	H	O	OH	3.38 (s); 8.08 (s).	4.00 (t);	4.46 (t);
4.15	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	H	CH <sub>2</sub>	OH	3.30 (s); 4.50 (t);	3.35 (t); 8.05 (s).	4.15 (s); 8.05 (s).
4.16	C1	SO <sub>2</sub> -n-C <sub>3</sub> H <sub>7</sub>	H	O	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>	OCH <sub>3</sub>	0.95 (t); 3.12 (s); 3.93 (s);	1.47 (s); 3.31 (s); 8.09 (dd).	1.58 (quin); 3.43 (t);
4.17	C1	SO <sub>2</sub> -n-C <sub>3</sub> H <sub>7</sub>	H	O	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>	OH	0.93 (t); 3.15 (s);	1.47 (s); 3.42 (t);	1.58 (quin); 8.05 (s).

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No.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	X	R <sup>4</sup>	R <sup>5</sup>	Y	R <sup>19</sup>	Physical data	
									m.p. [°C];	<sup>1</sup> H NMR [ $\delta$ in ppm]
4.18	C1	SO <sub>2</sub> -n-C <sub>3</sub> H <sub>7</sub>	H	O	H	CH <sub>2</sub>	OCH <sub>3</sub>	0.92 (t); 1.55 (quin); 3.39 (m); 3.93 (s); 4.50 (t); 8.08 (dd).		
4.19	C1	SO <sub>2</sub> -n-C <sub>3</sub> H <sub>7</sub>	H	O	H	CH <sub>2</sub>	OH		148-150	
4.20	C1	SO <sub>2</sub> -n-C <sub>3</sub> H <sub>7</sub>	H	O	CH <sub>3</sub>	H	CH <sub>2</sub>	OCH <sub>3</sub>	0.93 (t); 1.49 (d); 1.58 (quin); 2.94 (dd); 3.42 (m); 3.93 (s); 4.97 (m); 8.10 (dd).	
4.21	C1	SO <sub>2</sub> -n-C <sub>3</sub> H <sub>7</sub>	H	O	CH <sub>3</sub>	H	CH <sub>2</sub>	OH	0.94 (t); 1.39 (d); 1.58 (quin); 2.96 (dd); 3.50 (m); 4.95 (m); 8.05 (s).	
4.22	C1	SO <sub>2</sub> CH <sub>3</sub>	H	S	=O	O	OCH <sub>3</sub>	3.24 (s); 4.02 (s); 8.14 (dd).		
4.23	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	COOC <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub>	OCH <sub>3</sub>		118-121.
4.24	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	COOC <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub>	OH		
4.25	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	CH <sub>3</sub>	H	CH <sub>2</sub>	OCH <sub>3</sub>		130-135
4.26	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	CH <sub>3</sub>	H	CH <sub>2</sub>	OH		173-178
4.27	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>	OCH <sub>3</sub>	1.57 (s); 3.18 (s); 3.27 (s); 4.01 (s); 7.97 (d); 8.12 (d).	
4.28	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>2</sub>	OH	1.48 (s); 3.15 (s); 3.34 (s); 8.08 (dd).	
4.29	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	C <sub>2</sub> H <sub>5</sub>	H	CH <sub>2</sub>	OCH <sub>3</sub>	0.97 (t); 1.72 (m); 3.10 (dd); 3.32 (s); 3.37 (dd); 4.72 (m); 8.08 (dd).	

NO.	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	X	R <sup>4</sup>	R <sup>5</sup>	Y	R <sup>19</sup>	Physical data	
									m.p. [°C];	
									<sup>1</sup> H NMR [ $\delta$ in ppm]	
4.30	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	-(CH <sub>2</sub> ) <sub>3</sub> -CH-	OCH <sub>3</sub>	1.57 (m); 1.81 (m); 2.21 (m); 3.20 (s); 4.02 (s); 4.32 (t); 5.35 (dd); 7.92 (d); 8.18 (d).		
4.31	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	H	-(CH <sub>2</sub> ) <sub>3</sub> -CH-	OH	1.72 (m); 2.01 (m); 3.27 (s); 4.24 (t); 5.23 (dd); 8.05 (d); 8.15 (d); 13.8 (s, br).		
4.32	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	-(CH <sub>2</sub> ) <sub>2</sub> -O-(CH <sub>2</sub> ) <sub>2</sub> -	CH <sub>2</sub>	OCH <sub>3</sub>	2.00 (m); 3.23 (s); 3.27 (s); 3.72 (m); 4.00 (s); 7.96 (d); 8.04 (d).		
4.33	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	-(CH <sub>2</sub> ) <sub>2</sub> -O-(CH <sub>2</sub> ) <sub>2</sub> -	CH <sub>2</sub>	OH	78-83		
4.34	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	-(CH <sub>2</sub> ) <sub>4</sub> -	CH <sub>2</sub>	OCH <sub>3</sub>	1.78 (m); 2.24 (m); 3.27 (s); 3.36 (s); 3.98 (s); 7.94 (d); 8.12 (d).		
4.35	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	-(CH <sub>2</sub> ) <sub>4</sub> -	CH <sub>2</sub>	OH	1.76 (m); 2.05 (m); 3.30 (s); 3.33 (s); 8.09 (dd).		
4.36	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub>	OH	1.00 (t); 1.85 (m); 3.13 (s); 3.27 (s); 3.98 (s); 7.94 (d); 8.11 (d).		
4.37	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	C <sub>2</sub> H <sub>5</sub>	C <sub>2</sub> H <sub>5</sub>	OH	0.91 (t); 1.76 (m); 3.12 (s); 3.33 (s); 8.07 (dd); 13.75 (s, br).		
4.38	C1	SO <sub>2</sub> CH <sub>3</sub>	H	C(CH <sub>3</sub> ) <sub>2</sub>	H	H	O	1.34 (s); 3.40 (s); 4.13 (s); 8.07 (s); 13.95 (s, br).		
4.39	C1	SO <sub>2</sub> CH <sub>3</sub>	H	O	CH <sub>3</sub>	H	CH <sub>2</sub>	C1		

The 3-heterocyclyl-substituted benzoyl derivatives of the formula I and their agriculturally useful salts are suitable as herbicides, both in the form of isomer mixtures and in the form 5 of the pure isomers. The herbicidal compositions comprising compounds of the formula I effect very good control of vegetation on non-crop areas, especially at high rates of application. In crops such as wheat, rice, maize, soybeans and cotton they act against broad-leaved weeds and grass weeds without damaging the 10 crop plants substantially. This effect is observed especially at low rates of application.

Depending on the application method in question, the compounds of 15 the formula I, or herbicidal compositions comprising them, can additionally be employed in a further number of crop plants for eliminating undesirable plants. Examples of suitable crops are the following:

20 Allium cepa, Ananas comosus, Arachis hypogaea, Asparagus officinalis, Beta vulgaris spec. altissima, Beta vulgaris spec. rapa, Brassica napus var. napus, Brassica napus var. napobrassica, Brassica rapa var. silvestris, Camellia sinensis, Carthamus tinctorius, Carya illinoinensis, Citrus limon, Citrus 25 sinensis, Coffea arabica (Coffea canephora, Coffea liberica), Cucumis sativus, Cynodon dactylon, Daucus carota, Elaeis guineensis, Fragaria vesca, Glycine max, Gossypium hirsutum, (Gossypium arboreum, Gossypium herbaceum, Gossypium vitifolium), Helianthus annuus, Hevea brasiliensis, Hordeum vulgare, Humulus 30 lupulus, Ipomoea batatas, Juglans regia, Lens culinaris, Linum usitatissimum, Lycopersicon lycopersicum, Malus spec., Manihot esculenta, Medicago sativa, Musa spec., Nicotiana tabacum (N.rustica), Olea europaea, Oryza sativa, Phaseolus lunatus, Phaseolus vulgaris, Picea abies, Pinus spec., Pisum sativum, 35 Prunus avium, Prunus persica, Pyrus communis, Ribes sylvestre, Ricinus communis, Saccharum officinarum, Secale cereale, Solanum tuberosum, Sorghum bicolor (s. vulgare), Theobroma cacao, Trifolium pratense, Triticum aestivum, Triticum durum, Vicia faba, Vitis vinifera and Zea mays.

40

Moreover, the compounds of the formula I can also be used in crops which tolerate the action of herbicides due to breeding including genetic engineering methods.

45

The compounds of the formula I, or the herbicidal compositions comprising them, can be employed, for example, in the form of directly sprayable aqueous solutions, powders, suspensions, also

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highly-concentrated aqueous, oily or other suspensions or dispersions, emulsions, oil dispersions, pastes, dusts, materials for spreading or granules, by means of spraying, atomizing, dusting, spreading or pouring. The use forms depend on the 5 intended purposes; in any case, they should guarantee the finest possible distribution of the active ingredients according to the invention.

The herbicidal compositions comprise a herbicidally active amount 10 of at least one compound of the formula I or of an agriculturally useful salt of I and auxiliaries conventionally used for the formulation of crop protection products.

Suitable inert auxiliaries are essentially: 15 mineral oil fractions of medium to high boiling point such as kerosene and diesel oil, furthermore coal tar oils and oils of vegetable or animal origin, aliphatic, cyclic and aromatic hydrocarbons, eg. paraffins, tetrahydronaphthalene, alkylated naphthalenes and their derivatives, alkylated benzenes and their 20 derivatives, alcohols such as methanol, ethanol, propanol, butanol and cyclohexanol, ketones such as cyclohexanone, strongly polar solvents, eg. amines such as N-methylpyrrolidone and water.

Aqueous use forms can be prepared from emulsion concentrates, suspensions, pastes, wettable powders or water-dispersible granules by adding water. To prepare emulsions, pastes or oil dispersions, the substances, as such or dissolved in an oil or solvent, can be homogenized in water by means of wetting agent, 25 tackifier, dispersant or emulsifier. However, it is also possible to prepare concentrates composed of active substance, wetting agent, tackifier, dispersant or emulsifier and, if appropriate, solvent or oil, and these concentrates are suitable for dilution with water.

Suitable surfactants (adjuvants) are the alkali metal, alkaline earth metal and ammonium salts of aromatic sulfonic acids, eg. ligno-, phenol-, naphthalene- and dibutynaphthalenesulfonic acid, and of fatty acids, of alkyl- and alkylaryl sulfonates, of 40 alkyl sulfates, lauryl ether sulfates and fatty alcohol sulfates, and salts of sulfated hexa-, hepta- and octadecanols, and of fatty alcohol glycol ether, condensates of sulfonated naphthalene and its derivatives with formaldehyde, condensates of naphthalene, or of the naphthalenesulfonic acids, with phenol and 45 formaldehyde, polyoxyethylene octylphenyl ether, ethoxylated isoctyl-, octyl- or nonylphenol, alkylphenyl, tributylphenyl polyglycol ether, alkylaryl polyether alcohols, isotridecyl

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alcohol, fatty alcohol ethylene oxide condensates, ethoxylated castor oil, polyoxyethylene alkyl ethers or polyoxypropylene alkyl ethers, lauryl alcohol polyglycol ether acetate, sorbitol esters, lignin-sulfite waste liquors or methylcellulose.

5

Powders, materials for spreading and dusts can be prepared by mixing or concomitantly grinding the active substances with a solid carrier.

10

Granules, eg. coated granules, impregnated granules and homogeneous granules, can be prepared by binding the active ingredients to solid carriers. Solid carriers are mineral earths such as silicas, silica gels, silicates, talc, kaolin, limestone,

15

lime, chalk, bolus, loess, clay, dolomite, diatomaceous earth, calcium sulfate, magnesium sulfate, magnesium oxide, ground synthetic material, fertilizers such as ammonium sulfate, ammonium phosphate, ammonium nitrate, ureas and products of vegetable origin such as cereal meal, tree bark meal, wood meal

20

and nutshell meal, cellulose powders or other solid carriers.

25

The concentrations of the compounds of the formula I in the ready-to-use products can be varied within wide ranges. In general, the formulations comprise approximately from 0.001 to 98% by weight. preferably 0.01 to 95% by weight, of at least one active ingredient. The active ingredients are employed in a purity of from 90% to 100%, preferably 95% to 100% (according to NMR spectrum).

30

The formulation examples below illustrate the preparation of such products:

35

I. 20 parts by weight of the compound No. 3.2 are dissolved in a mixture composed of 80 parts by weight of alkylated benzene, 10 parts by weight of the adduct of 8 to 10 mol of ethylene oxide and 1 mol of oleic acid N-monoethanolamide, 5 parts by weight of calcium dodecylbenzenesulfonate and 5 parts by weight of the adduct of 40 mol of ethylene oxide and 1 mol of castor oil. Pouring the solution into 100,000 parts by weight of water and finely distributing it therein gives an aqueous dispersion which comprises 0.02% by weight of the active ingredient.

40

45

II. 20 parts by weight of the compound No. 3.9 are dissolved in a mixture composed of 40 parts by weight of cyclohexanone, 30 parts by weight of isobutanol, 20 parts by weight of the adduct of 7 mol of ethylene oxide and 1 mol of

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5        isooctylphenol and 10 parts by weight of the adduct of 40 mol of ethylene oxide and 1 mol of castor oil. Pouring the solution into 100,000 parts by weight of water and finely distributing it therein gives an aqueous dispersion which comprises 0.02% by weight of the active ingredient.

10      III. 20 parts by weight of the active ingredient No. 3.10 are dissolved in a mixture composed of 25 parts by weight of cyclohexanone, 65 parts by weight of a mineral oil fraction of boiling point 210 to 280°C and 10 parts by weight of the adduct of 40 mol of ethylene oxide and 1 mol of castor oil. Pouring the solution into 100,000 parts by weight of water and finely distributing it therein gives an aqueous dispersion which comprises 0.02% by weight of the active ingredient.

15      IV. 20 parts by weight of the active ingredient No. 3.16 are mixed thoroughly with 3 parts by weight of sodium diisobutylnaphthalenesulfonate, 17 parts by weight of the sodium salt of a lignosulfonic acid from a sulfite waste liquor and 60 parts by weight of pulverulent silica gel and the mixture is ground in a hammer mill. Finely distributing the mixture in 20,000 parts by weight of water gives a spray mixture which comprises 0.1% by weight of the active ingredient.

20      V. 3 parts by weight of the active ingredient No. 3.21 are mixed with 97 parts by weight of finely divided kaolin. This gives a dust which comprises 3% by weight of the active ingredient.

25      VI. 20 parts by weight of the active ingredient No. 3.22 are mixed intimately with 2 parts by weight of calcium dodecylbenzenesulfonate, 8 parts by weight of fatty alcohol polyglycol ether, 2 parts by weight of the sodium salt of a phenol/urea/formaldehyde condensate and 68 parts by weight of a paraffinic mineral oil. This gives a stable oily dispersion.

30      VII. 1 part by weight of the active ingredient No. 3.34 is dissolved in a mixture composed of 70 parts by weight of cyclohexanone, 20 parts by weight of ethoxylated isooctylphenol and 10 parts by weight of ethoxylated castor oil. This gives a stable emulsion concentrate.

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- VIII. 1 part by weight of active ingredient No. 3.35 is dissolved in a mixture composed of 80 parts by weight of cyclohexanone and 20 parts by weight of Wettol® EM 31 (= nonionic emulsifier based on ethoxylated castor oil).  
5 This gives a stable emulsion concentrate.

The compounds of the formula I, or the herbicidal compositions comprising them, can be applied pre- or post-emergence. If the active ingredients are less well tolerated by certain crop  
10 plants, application techniques may be used in which the herbicidal compositions are sprayed, with the aid of the spray apparatus, in such a way that they come into as little contact as possible, if any, with the leaves of the sensitive crop plants while reaching the leaves of undesirable plants which grow  
15 underneath, or the bare soil (post-directed, lay-by).

Depending on the intended aim of the control measures, the season, the target plants and the growth stage, the application  
20 rates of the compound of the formula I are from 0.001 to 3.0, preferably 0.01 to 1.0 kg/ha of active substanz (a.s.).

To widen the spectrum of action and to achieve synergistic effects, the 3-heterocyclyl-substituted benzoyl derivatives of  
25 the formula I can be mixed and applied jointly with a large number of representatives of other groups of herbicidally or growth-regulatory active ingredients. Suitable components in mixtures are, for example, 1,2,4-thiadiazoles,  
1,3,4-thiadiazoles, amides, aminophosphoric acid and its  
30 derivatives, aminotriazoles, anilides, aryloxy-/hetarylxyloxyalkanic acids and their derivatives, benzoic acid and its derivatives, benzothiadiazinones, 2-(hetaroyl/aryl)-1,3-cyclohexandiones, hetaryl aryl ketones, benzylisoxazolidinones, meta-CF<sub>3</sub>-phenyl derivatives, carbamates, quinolinecarboxylic acid and its  
35 derivatives, chloroacetanilides, cyclohexenone oxime ether derivatives, diazines, dichloropropionic acid and its derivatives, dihydrobenzofuranes, dihydrofuran-3-ones, dinitroanilines, dinitrophenols, diphenyl ethers, dipyridyls, halocarboxylic acids and their derivatives, ureas,  
40 3-phenyluracils, imidazoles, imidazolinones, N-phenyl-3,4,5,6-tetrahydropthalimides, oxadiazoles, oxiranes, phenols, aryloxy- and hetarylxyphenoxypropionic esters, phenylacetic acid and its derivatives, 2-phenylpropionic acid and its derivatives, pyrazoles, phenylpyrazoles, pyridazines,  
45 pyridinecarboxylic acid and its derivatives, pyrimidyl ethers,

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sulfonamides, sulfonylureas, triazines, triazinones,  
triazolinones, triazolcarboxamides and uracils.

Moreover, it may be advantageous to apply the compounds of the  
5 formula I, alone or in combination with other herbicides, in the  
form of a mixture with additional other crop protection agents,  
for example with pesticides or agents for controlling  
phytopathogenic fungi or bacteria. Also of interest is the  
miscibility with mineral salt solutions which are employed for  
10 treating nutritional and trace element deficiencies.  
Non-phytotoxic oils and oil concentrates can also be added.

#### Use Examples

15

The herbicidal action of 3-heterocycl-substituted benzoyl  
derivatives of the formula I was demonstrated by the following  
greenhouse experiments:

20 The culture containers used were plastic flowerpots containing  
loamy sand with approximately 3.0% of humus as substrate. The  
seeds of the test plants were sown separately for each species.

25 For the pre-emergence treatment, the active ingredients,  
suspended or emulsified in water, were applied directly after  
sowing by means of finely distributing nozzles. The containers  
were irrigated gently to promote germination and growth and  
subsequently covered with transparent plastic hoods until the  
plants had rooted. This cover caused uniform germination of the  
30 test plants unless this was adversely affected by the active  
ingredients.

For the post-emergence treatment, the test plants were grown to a  
35 plant height of from 3 to 15 cm, depending on the plant habit, and  
only then treated with the active ingredients which had been  
suspended or emulsified in water. To this end, the test plants  
were either sown directly and grown in the same containers, or  
they were first grown separately as seedlings and transplanted  
40 into the test containers a few days prior to treatment. The rate  
of application for the post-emergence treatment was 31.2 or 15.6  
g/ha a.s. (active substance).

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Depending on the species, the plants were kept at from 10 to 25°C and 20 to 35°C, respectively. The test period extended over 2 to 4 weeks. During this time, the plants were tended, and their response to the individual treatments was evaluated.

5

Evaluation was carried out using a scale of from 0 to 100. 100 means no emergence of the plants, or complete destruction of at least the aerial parts, and 0 means no damage or normal course of growth.

10

The plants used in the greenhouse experiments belonged to the following species:

	Scientific name	Common name
15	<i>Chenopodium album</i>	lambsquarters (goosefoot)
	<i>Setaria faberii</i>	giant foxtail
20	<i>Sinapsis alba</i>	white mustard
	<i>Solanum nigrum</i>	black nightshade
	<i>Triticum aestivum</i>	wheat
	<i>Zea mays</i>	Indian corn

25 Compound 3.33 (Table 3) was very effective against the abovementioned mono- and dicotyledonous harmful plants and was well tolerated in winter wheat and maize when applied post-emergence at rates of application of 31.2 and 15.6 g/ha, respectively.

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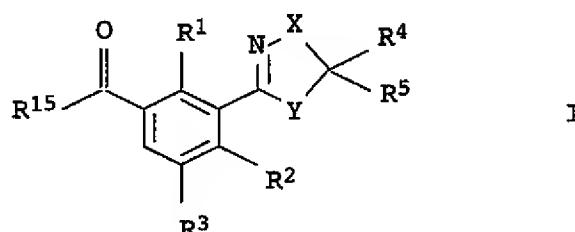
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## 3-Heterocyclyl-substituted benzoyl derivatives

## 5 Abstract

Benzoyl derivatives of the formula I

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where the variables have the following meanings:

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$R^1$ ,  $R^2$  are hydrogen, nitro, halogen, cyano, alkyl, haloalkyl, alkoxy, haloalkoxy, alkylthio, haloalkylthio, alkylsulfinyl, haloalkylsulfinyl, alkylsulfonyl or  $C_1-C_6$ -haloalkylsulfonyl;

25

$R^3$  is hydrogen, halogen or alkyl;

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$R^4$ ,  $R^5$  are hydrogen, halogen, cyano, nitro, alkyl, alkoxy, alkylthio, dialkylamino, phenyl or carbonyl, it being possible for the 6 last-mentioned radicals to be substituted;

$X$  is O, S,  $NR^9$ , CO or  $CR^{10}R^{11}$ ;

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$Y$  is O, S,  $NR^{12}$ , CO or  $CR^{13}R^{14}$ ;

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$R^{15}$  is pyrazole which is unsubstituted or substituted, linked in the 4-position and has attached to it in the 5-position a hydroxyl or sulfonyloxy radical;

and the agriculturally useful salts thereof; processes and intermediates for the preparation of the 3-heterocyclyl-substituted benzoyl derivatives; compositions comprising them; and the use of these derivatives or compositions comprising them for controlling undesirable plants.